5993 80141

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

59193

Requester's Full Name: BERCH Examiner # : ~~10/070305~~ Date: 11/14

Art Unit: 1624 Phone Number 30 8 4718 Serial Number: 10/070305

Mail Box and Bldg/Room Location: 4D15 Results Format Preferred (circle): PAPER DISK E-MAIL

4E12

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

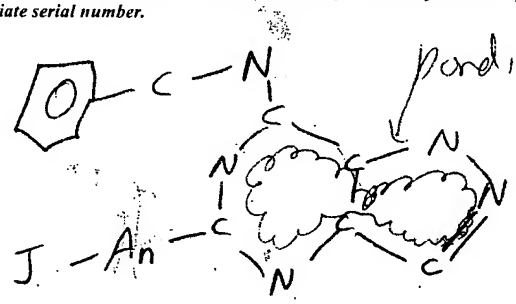
Title of Invention: _____

Inventors (please provide full names): _____

_____

Earliest Priority Filing Date: _____

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



pending undefined in org

RECEIVED NOV 14 2005 STIC

Mary Jane Ruhl
Tech. Info. Specialist, STIC
TC-1600
CM-1, Room 6A-06
Phone: 605-1155

J= C^{11^0} / CN

A= 1-10 atoms, R or C

rep (1-10)A chain only

****

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: Ruhl	NA Sequence (#)_____	STN _____
Searcher Phone #: _____	AA Sequence (#)_____	Dialog _____
Searcher Location: _____	Structure (#) _____	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr.Link _____
Date Completed: _____	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: _____	Other _____	Other (specify) _____

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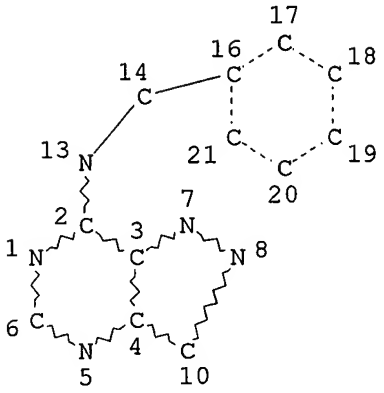


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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  17

STEREO ATTRIBUTES: NONE
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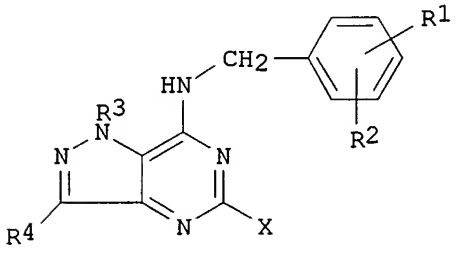
L53 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:591551 HCAPLUS
DOCUMENT NUMBER: 137:154938
TITLE: Preparation of pyrazolo[4,3-d]pyrimidines as inhibitors of cGMP- and cAMP-phosphodiesterase (PDE V)
INVENTOR(S): Eggenweiler, Hans-Michael; Eiermann, Volker; Schelling, Pierre
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10104800	A1	20020808	DE 2001-10104800	20010202
WO 2002062343	A2	20020815	WO 2002-EP256	20020114

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2001-10104800 A 20010202
DE 2001-10104801 A 20010202
DE 2001-10104802 A 20010202
OTHER SOURCE(S): MARPAT 137:154938
GI



I

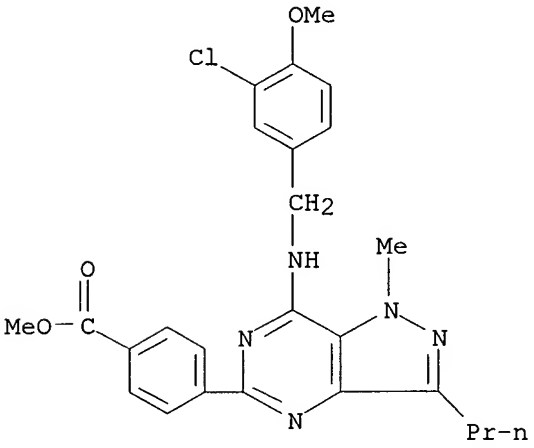
AB Pharmaceutical formylation contg. title compds. [I; R1, R2 = H, A, OA, OH, halo; or R1R2 = C3-5 alkylene, OCH2CH2, CH2OCH2, OCH2O, OCH2CH2O; R3, R4 = H, A; X = (CO2H-, CO2A-, CONH2-, CONHA-, CONA2-, cyano-substituted) (interrupted) alkylene, cycloalkyl, cycloalkylalkylene, Ph, PhMe; A = C1-6 alkyl] and/or salts, and/or solvates thereof, and .gtoreq.1 endothelin receptor antagonist, is claimed. Thus, Me 4-[7-chloro-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]phenylcarboxylic acid ester was heated at 110.degree. with 3-chloro-4-methoxybenzylamine in N-methylpyrrolidone for 4 h to give ca. 54% Me 4-[7-(3-chloro-4-methoxybenzylamino)-1-methyl-3-

propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]benzoate. I were said to show affinity for cGMP- and cAMP-phosphodiesterase (PDE V) (no data).

IT **428438-43-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of pyrazolopyrimidines as inhibitors of cGMP- and cAMP-phosphodiesterase (PDE V))

RN 428438-43-1 HCAPLUS

CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)



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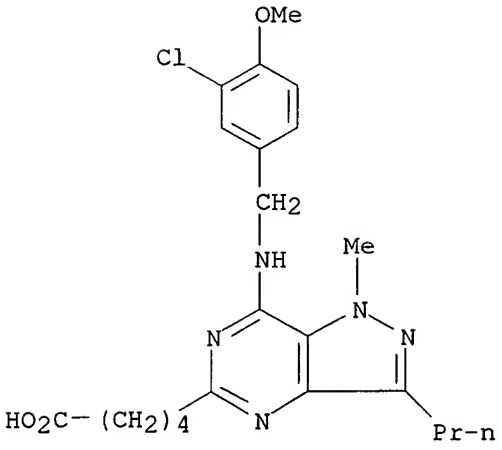
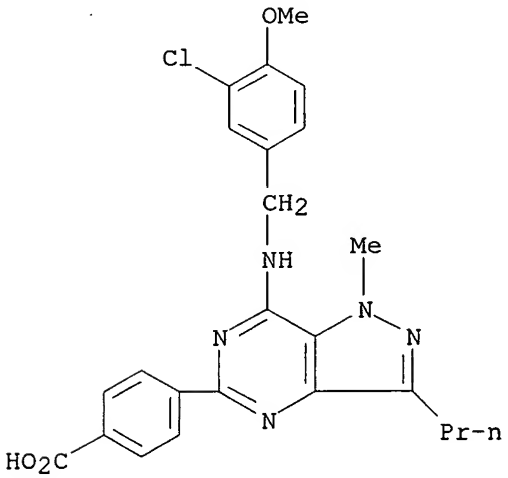
329746-23-8P 329746-25-0P 329746-26-1P
329746-27-2P 329746-28-3P 329746-29-4P
329746-31-8P 329746-32-9P 329746-33-0P
329746-34-1P 329746-35-2P 329746-36-3P
329746-37-4P 329746-42-1P 428438-42-0P
428438-44-2P 428438-45-3P 428438-47-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolopyrimidines as inhibitors of cGMP- and cAMP-phosphodiesterase (PDE V))

RN 329746-15-8 HCAPLUS

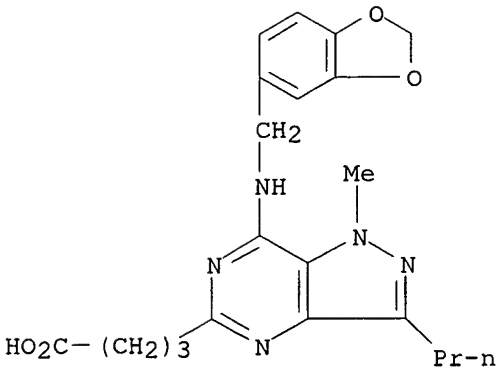
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-16-9 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)



RN 329746-17-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



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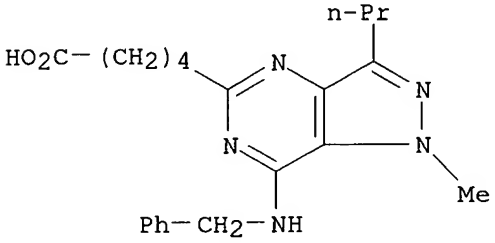
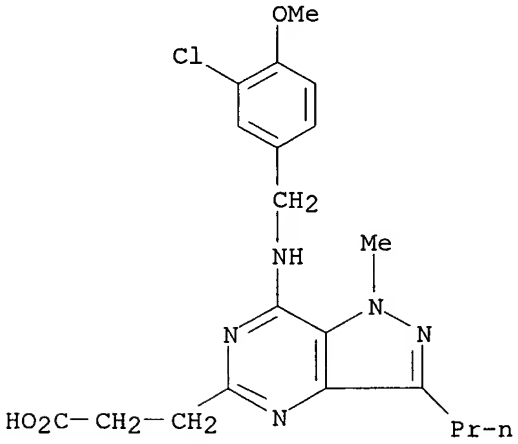
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 1-methyl-7-[(phenylmethyl)amino]-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-20-5 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



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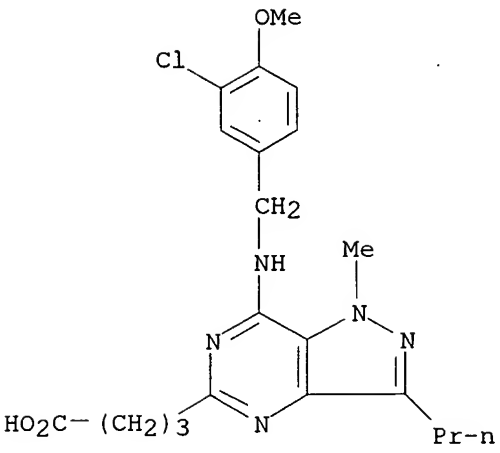
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-23-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

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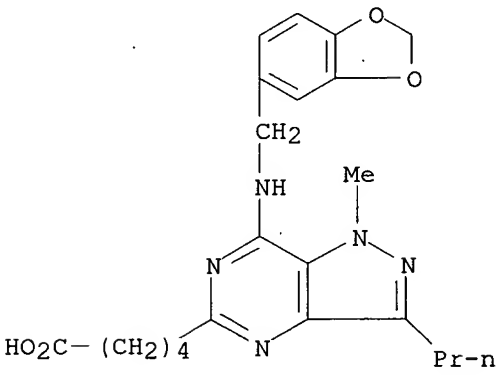
CRN 329746-22-7
CMF C22 H27 N5 O4



CM 2

CRN 141-43-5
CMF C2 H7 N O

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RN 329746-25-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-

methoxyphenyl)methyl]amino]-1-methyl-3-(1-methylethyl)-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

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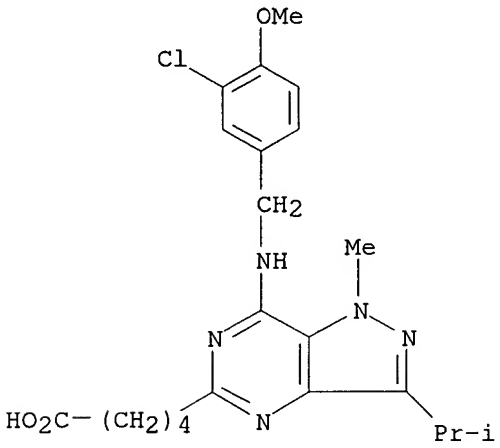
CRN 329746-24-9
CMF C22 H28 Cl N5 O3



CM 2

CRN 108-91-8
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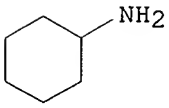
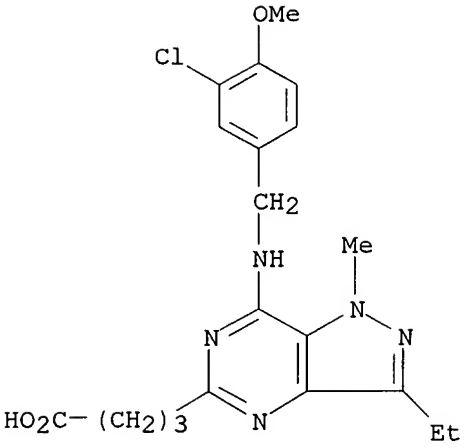
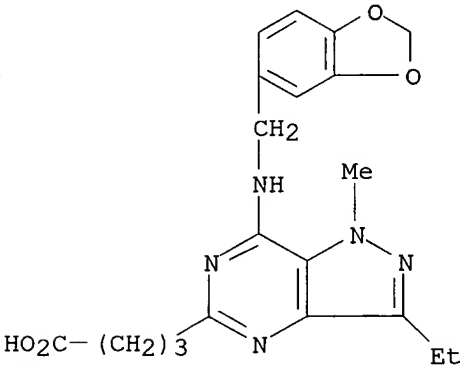


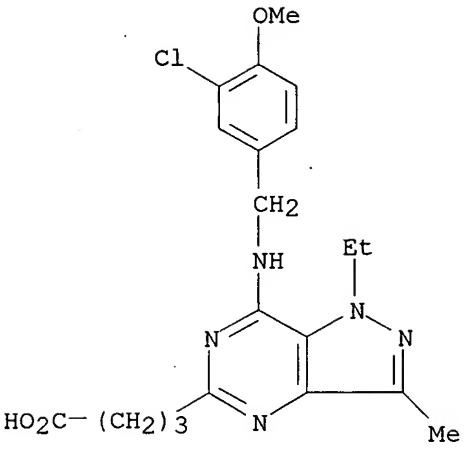
RN 329746-26-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



RN 329746-27-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



RN 329746-28-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



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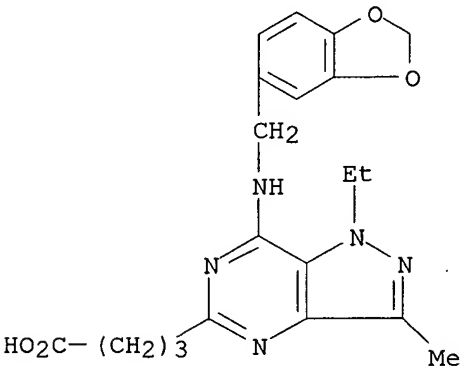
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



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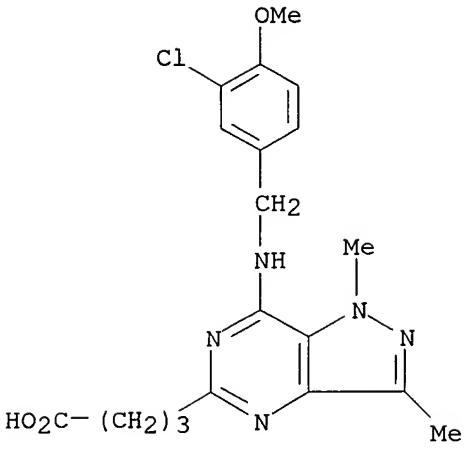
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



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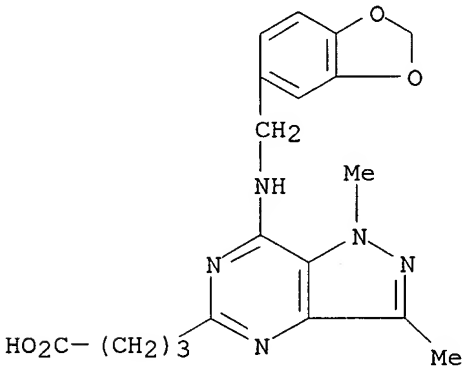
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 329746-33-0 HCAPLUS
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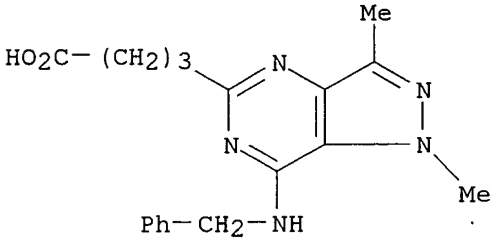
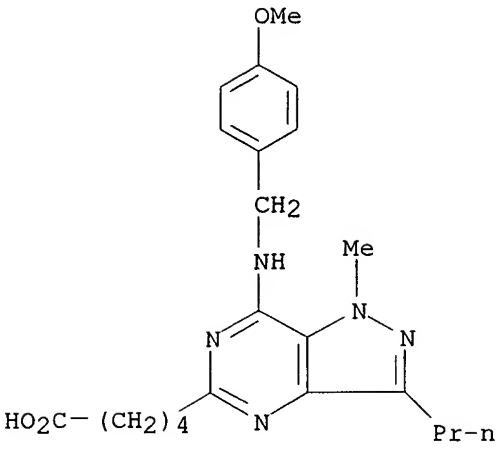
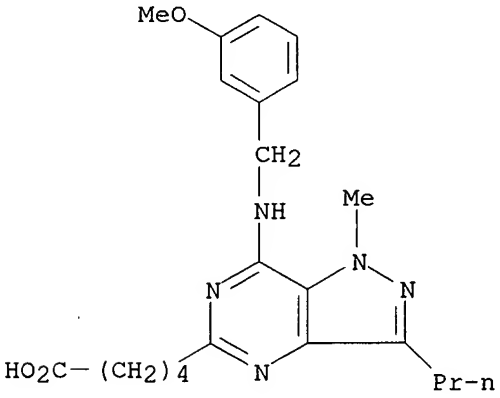


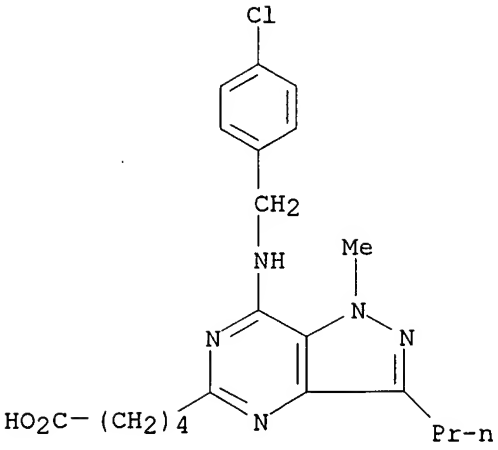
RN 329746-34-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



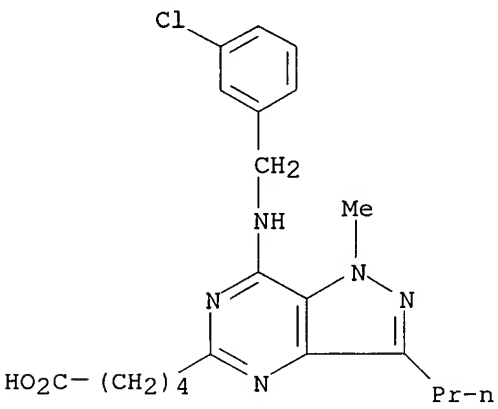
RN 329746-35-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



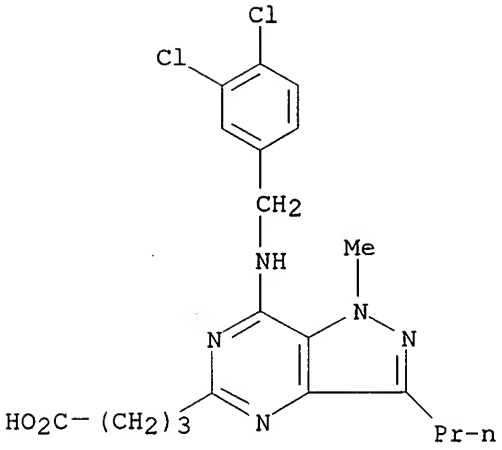
RN 329746-36-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



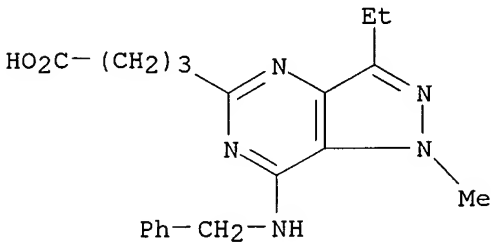
RN 329746-37-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-42-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3,4-dichlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 428438-42-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 3-ethyl-1-methyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



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CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 329746-16-9
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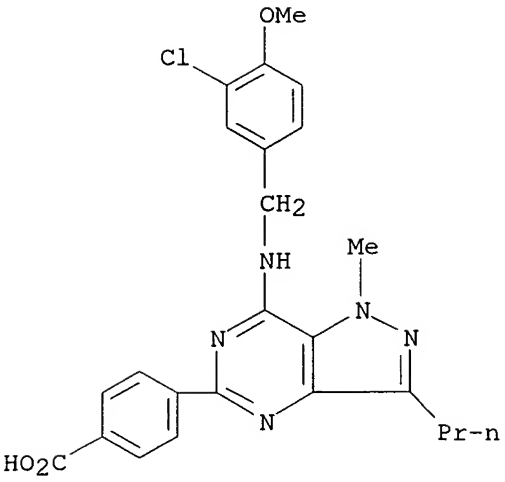


CM 2

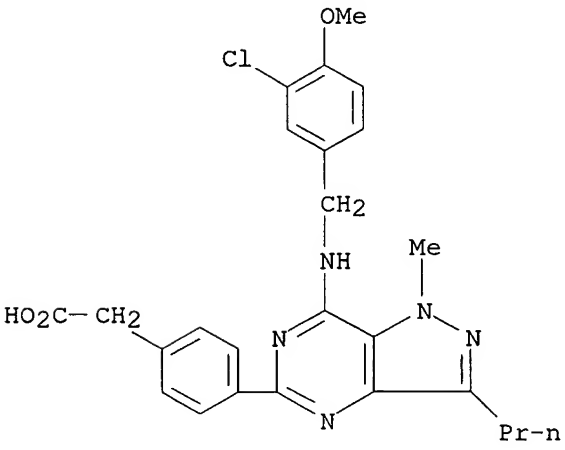
CRN 141-43-5
CMF C2 H7 N O

$H_2N-CH_2-CH_2-OH$

RN 428438-45-3 HCAPLUS
CN D-Glucitol, 1-amino-1-deoxy-, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]benzeneacetate (salt) (9CI) (CA INDEX NAME)

CM 1

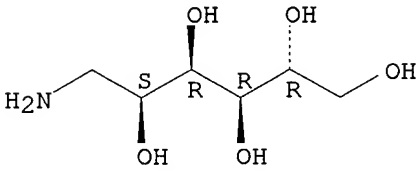
CRN 329746-41-0
CMF C25 H26 Cl N5 O3



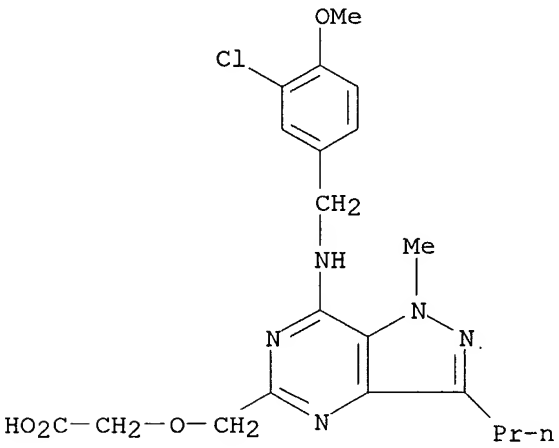
CM 2

CRN 488-43-7
CMF C6 H15 N O5

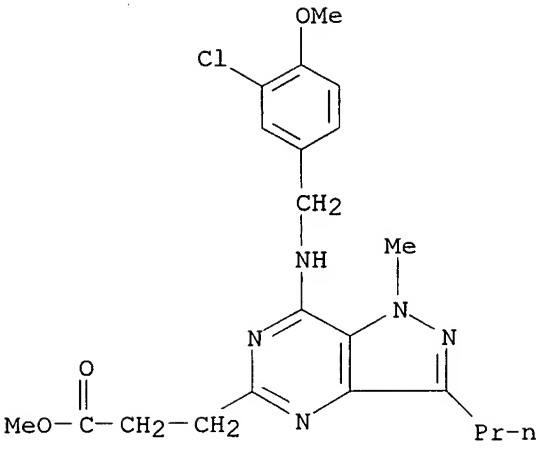
Absolute stereochemistry.



RN 428438-47-5 HCAPLUS
CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]- (9CI) (CA INDEX NAME)



IT **329746-19-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrazolopyrimidines as inhibitors of cGMP- and cAMP-phosphodiesterase (PDE V))
RN 329746-19-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-, methyl ester (9CI) (CA INDEX NAME)



NOVS

L53 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:575084 HCAPLUS
DOCUMENT NUMBER: 137:125175
TITLE: Process for the preparation of [7-(3-chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-ylmethoxy]acetic acid
INVENTOR(S): Eggenweiler, Hans-Michael
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059126	A1	20020801	WO 2001-EP15372	20011229
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10103647	A1	20020801	DE 2001-10103647	20010127

PRIORITY APPLN. INFO.: DE 2001-10103647 A 20010127
OTHER SOURCE(S): CASREACT 137:125175

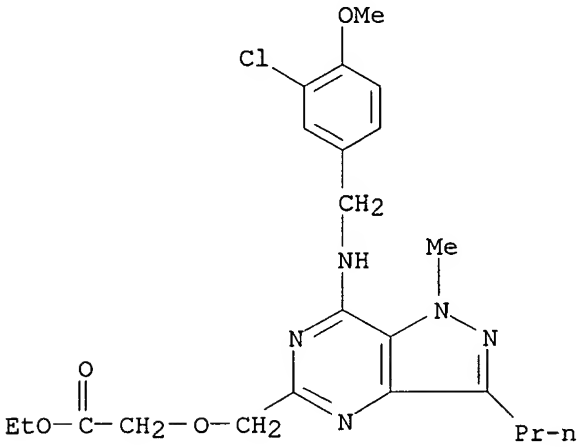
AB Diglycolic anhydride was amidated by 4-amino-1-methyl-3-propyl-1H-pyrazole-5-carboxamide and the product cyclized to give [7-oxo-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-ylmethoxy]acetic acid which was converted in 4 steps to the title compd.

IT **444308-54-7P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the prepn. of [7-(3-chloro-4-methoxybenzylamino)-1-methyl-

3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-ylmethoxy]acetic acid)

RN 444308-54-7 HCAPLUS

CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]-, ethyl ester (9CI) (CA INDEX NAME)



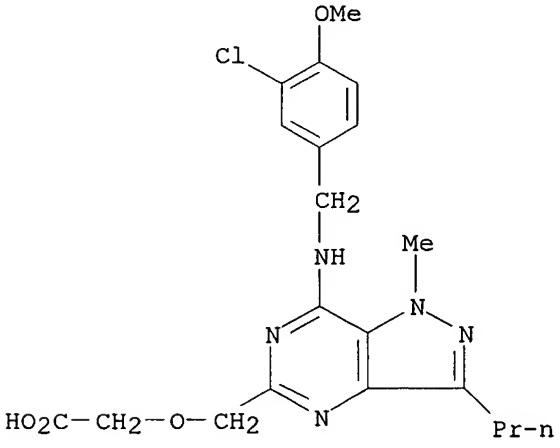
IT **428438-47-5P 428438-48-6P**

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(process for the prepn. of [7-(3-chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-ylmethoxy]acetic acid)

RN 428438-47-5 HCAPLUS

CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]- (9CI) (CA INDEX NAME)

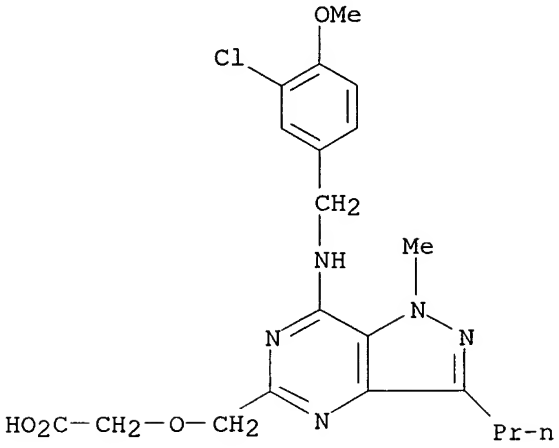


RN 428438-48-6 HCAPLUS

CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

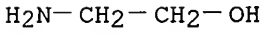
CM 1

CRN 428438-47-5
CMF C20 H24 Cl N5 O4



CM 2

CRN 141-43-5
CMF C2 H7 N O



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:573252 HCAPLUS
DOCUMENT NUMBER: 137:125171
TITLE: Preparation of 4-(benzylamino)-1H-pyrazolo[4,3-d]pyrimidines as inhibitors of cGMP- and cAMP-phosphodiesterase (PDE V)
INVENTOR(S): Eggenweiler, Hans-Michael; Eiermann, Volker; Schelling, Pierre
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10104095	A1	20020801	DE 2001-10104095	20010131
WO 2002060449	A2	20020808	WO 2001-EP15324	20011227

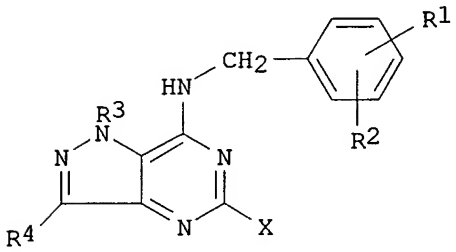
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR,

CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,
IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
MA, MD, MG, MK, MN, MW, MX, NO, NZ, PH, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2001-10104095 A 20010131
DE 2001-10104096 A 20010131
DE 2001-10104097 A 20010131

OTHER SOURCE(S): MARPAT 137:125171

GI



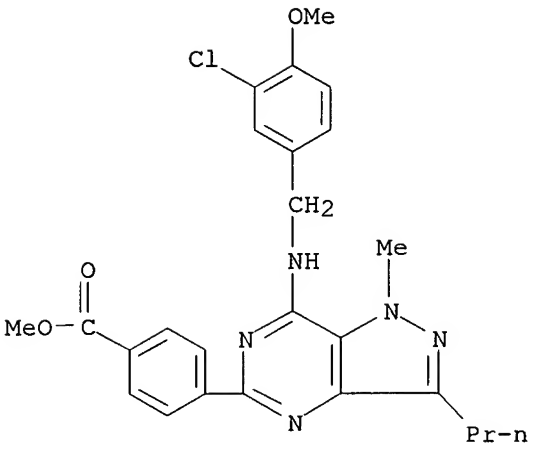
I

AB Pharmaceutical formylation contg. title compds. [I; R1, R2 = H, A, OA, OH, halo; or R1R2 = C3-5 alkylene, OCH2CH2, CH2OCH2, OCH2O, OCH2CH2O; R3, R4 = H, A; X = (CO2H-, CO2A-, CONH2-, CONHA-, CONA2-, cyano-substituted) (interrupted) alkylene, cycloalkyl, cycloalkylalkylene, Ph, PhMe; A = C1-6 alkyl] and salts, solvates, and nitrates thereof for the prodn. of a drug for the treatment of angina, hypertension, pulmonary hypertension, congestive heart failure, chronic obstructive pulmonary disease, cor pulmonale, right ventricular heart failure, atherosclerosis, peripheral blood vessel disease, apoplexia, bronchitis, allergic and chronic asthma, allergic rhinitis, glaucoma, irritable bowel syndrome, tumor, kidney insufficiency, and liver cirrhosis, is claimed. Thus, Me 4-[7-chloro-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-2-yl]phenylcarboxylic acid ester was heated at 110.degree. with 3-chloro-4-methoxybenzylamine in N-methylpyrrolidone for 4 h to give ca. 54% Me 4-[7-(3-chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-2-yl]benzoate. I were said to have affinity to cGMP- and cAMP-phosphodiesterase (PDE V) (no data).

IT **428438-43-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of (benzylamino)pyrazolopyrimidines as inhibitors of cGMP- and cAMP-phosphodiesterase (PDE V))

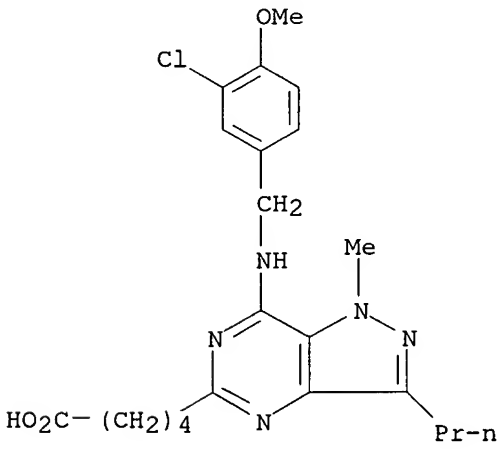
RN 428438-43-1 HCAPLUS

CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)



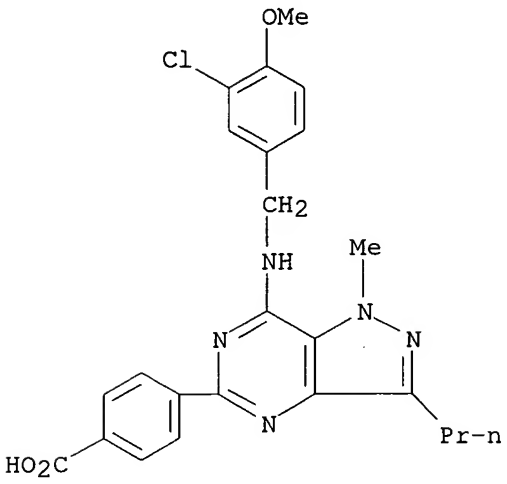
IT **329746-15-8P 329746-16-9P 329746-17-0P**
329746-18-1P 329746-20-5P 329746-21-6P
329746-23-8P 329746-25-0P 329746-26-1P
329746-27-2P 329746-28-3P 329746-29-4P
329746-31-8P 329746-32-9P 329746-33-0P
329746-34-1P 329746-35-2P 329746-36-3P
329746-37-4P 329746-42-1P 428438-42-0P
428438-44-2P 428438-45-3P 428438-47-5P
428438-48-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (benzylamino)pyrazolopyrimidines as inhibitors of cGMP- and cAMP-phosphodiesterase (PDE V))

RN 329746-15-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)

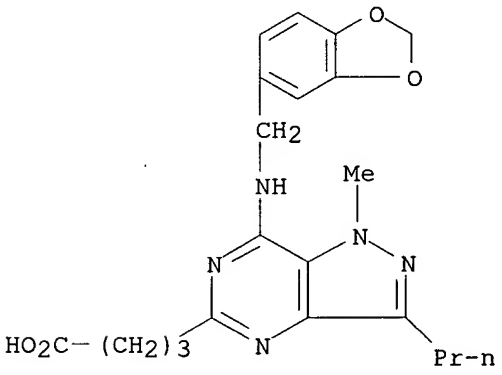


RN 329746-16-9 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-

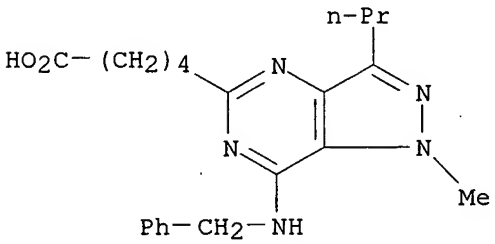
propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)



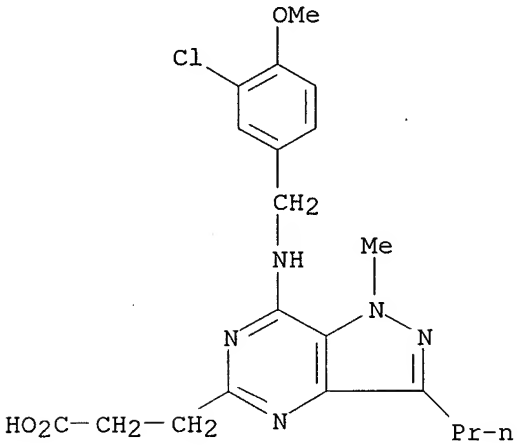
RN 329746-17-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



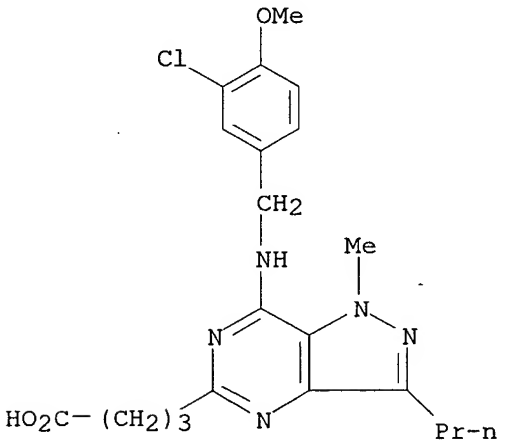
RN 329746-18-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 1-methyl-7-[(phenylmethyl)amino]-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-20-5 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



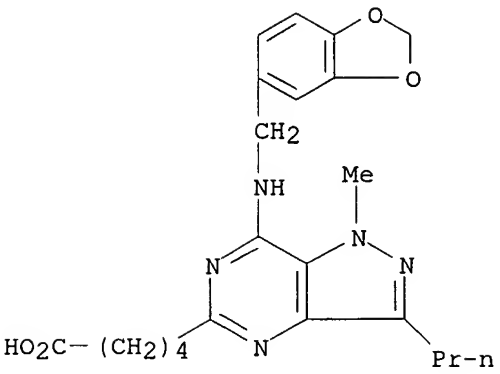
RN 329746-21-6 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-23-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 329746-22-7
CMF C22 H27 N5 O4



CM 2

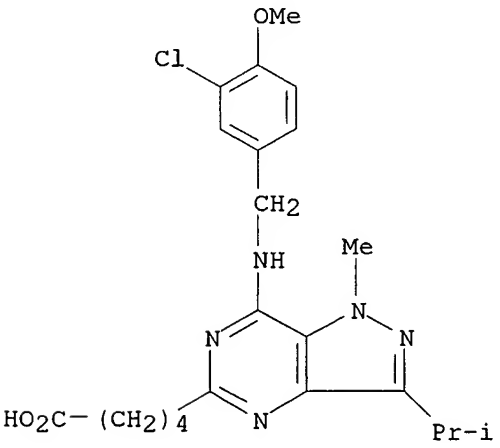
CRN 141-43-5
CMF C2 H7 N O

$H_2N-CH_2-CH_2-OH$

RN 329746-25-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-(1-methylethyl)-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

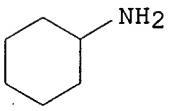
CM 1

CRN 329746-24-9
CMF C22 H28 Cl N5 O3

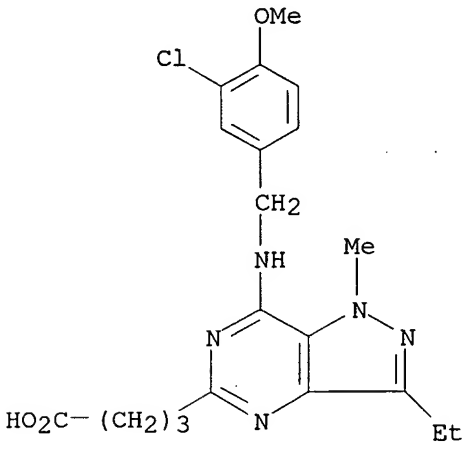


CM 2

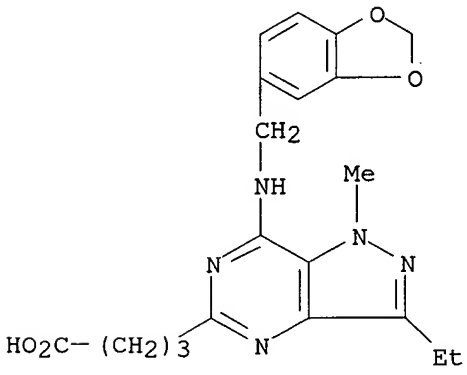
CRN 108-91-8
CMF C6 H13 N



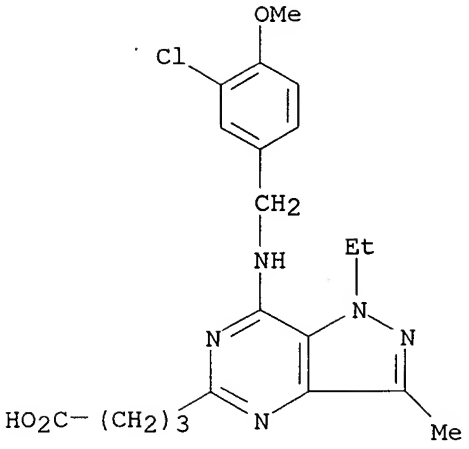
RN 329746-26-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



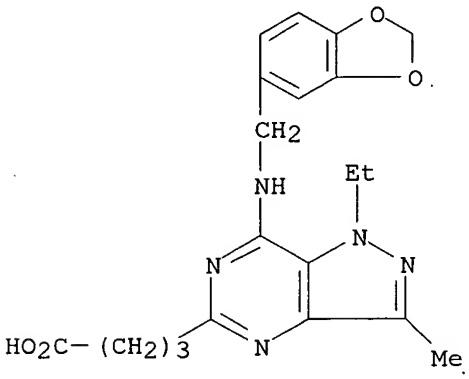
RN 329746-27-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



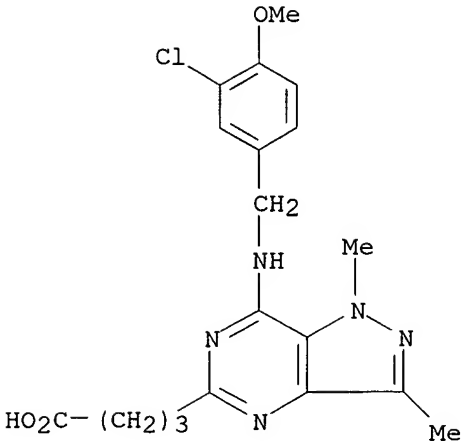
RN 329746-28-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



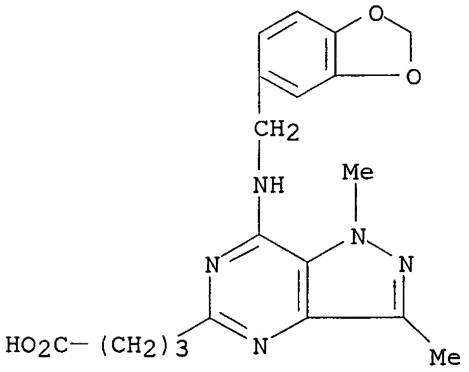
RN 329746-29-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



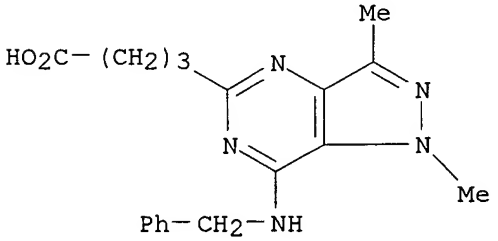
RN 329746-31-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



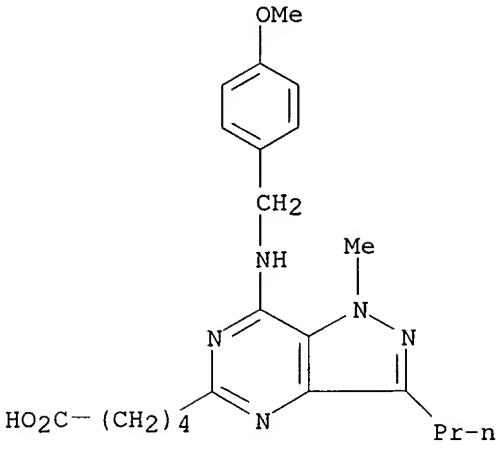
RN 329746-32-9 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



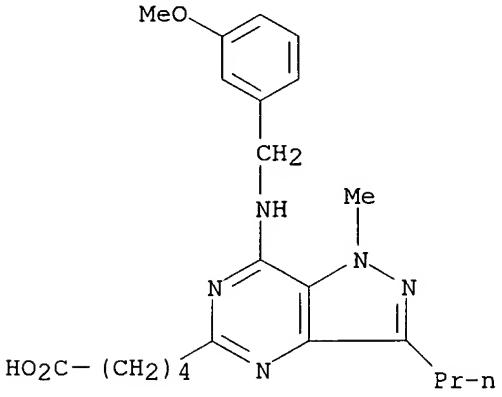
RN 329746-33-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 1,3-dimethyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



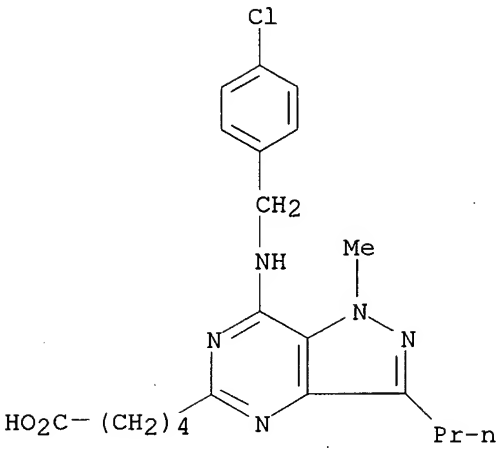
RN 329746-34-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



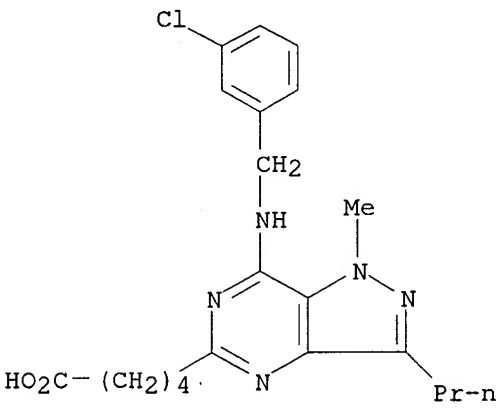
RN 329746-35-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



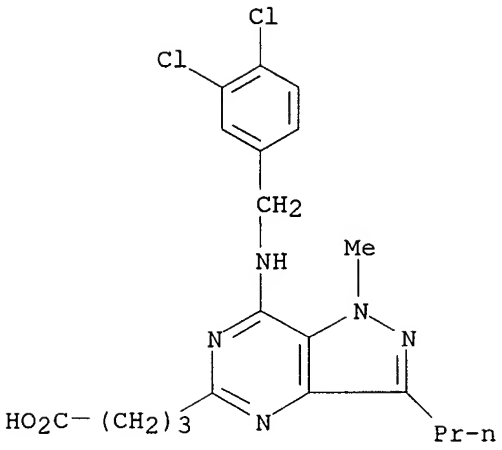
RN 329746-36-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



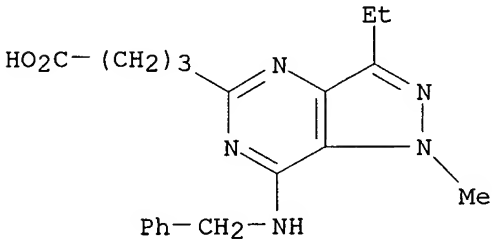
RN 329746-37-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-42-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3,4-dichlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



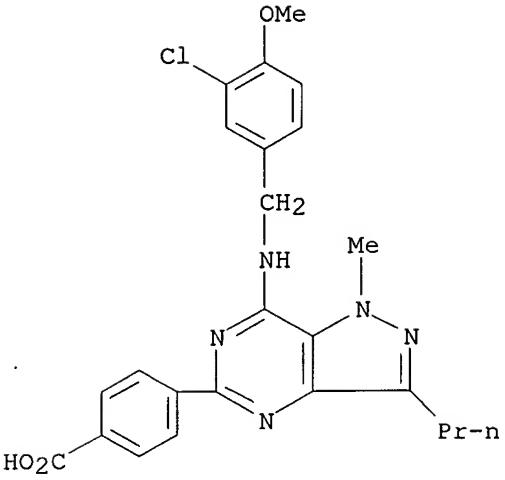
RN 428438-42-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 3-ethyl-1-methyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 428438-44-2 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 329746-16-9
CMF C24 H24 Cl N5 O3



CM 2

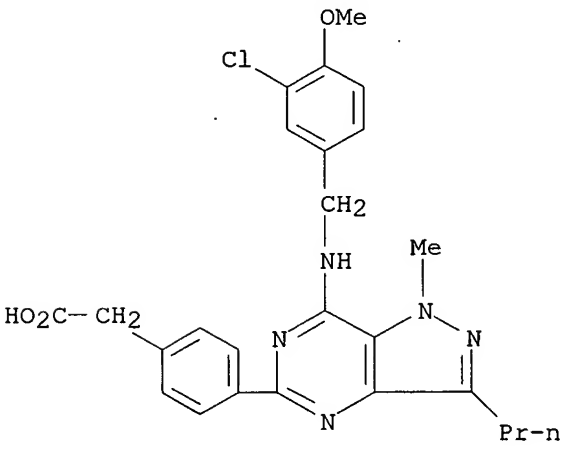
CRN 141-43-5
CMF C2 H7 N O

$H_2N-CH_2-CH_2-OH$

RN 428438-45-3 HCAPLUS
CN D-Glucitol, 1-amino-1-deoxy-, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]benzeneacetate (salt) (9CI) (CA INDEX NAME)

CM 1

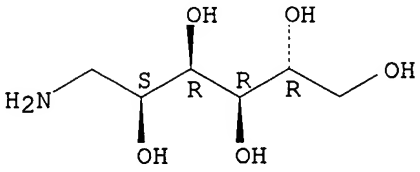
CRN 329746-41-0
CMF C25 H26 Cl N5 O3



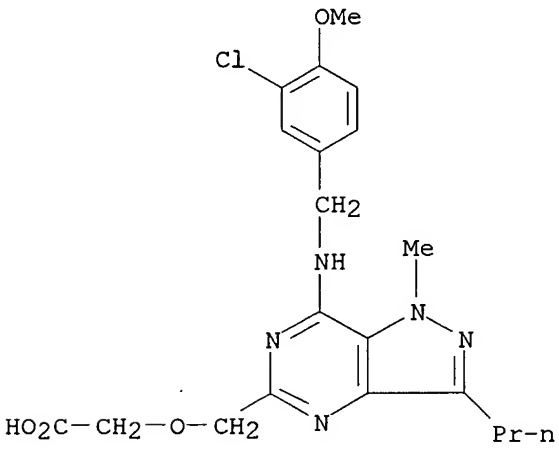
CM 2

CRN 488-43-7
CMF C6 H15 N O5

Absolute stereochemistry.



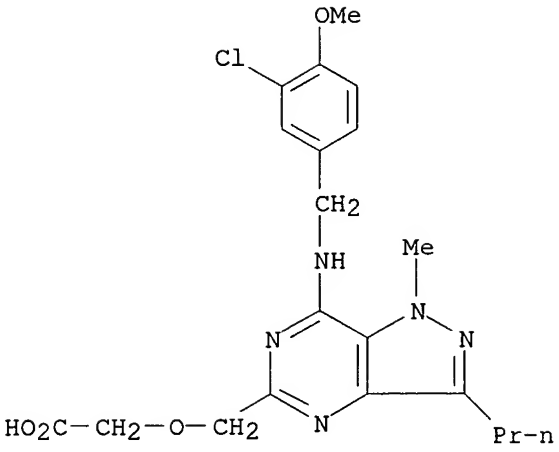
RN 428438-47-5 HCAPLUS
CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]- (9CI) (CA INDEX NAME)



RN 428438-48-6 HCAPLUS
CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 428438-47-5
CMF C20 H24 Cl N5 O4

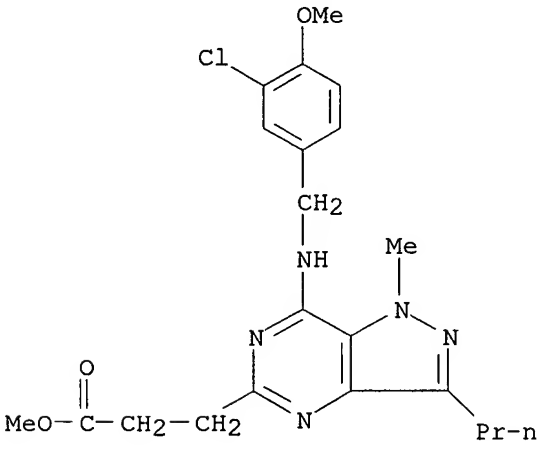


CM 2

CRN 141-43-5
CMF C2 H7 N O

$H_2N-CH_2-CH_2-OH$

IT **329746-19-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (benzylamino)pyrazolopyrimidines as inhibitors of cGMP- and cAMP-phosphodiesterase (PDE V))
RN 329746-19-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-, methyl ester (9CI) (CA INDEX NAME)



L53 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:487404 HCAPLUS
DOCUMENT NUMBER: 137:47219
TITLE: Preparation of pyrazolo[4,3-d]pyrimidine derivatives as phosphodiesterase V inhibitors and their pharmaceutical formulations containing antithrombotic, calcium antagonist, prostaglandin or prostaglandin derivative medicaments.
INVENTOR(S): Eggenweiler, Hans-Michael; Eiermann, Volker
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049651	A1	20020627	WO 2001-EP13916	20011128
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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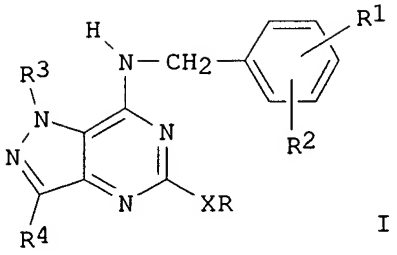
DE 10063224	A1	20020620	DE 2000-10063224	20001219
DE 10063882	A1	20020711	DE 2000-10063882	20001221
DE 10064993	A1	20020704	DE 2000-10064993	20001223
AU 2002029573	A5	20020701	AU 2002-29573	20011128

PRIORITY APPLN. INFO.:
DE 2000-10063224 A 20001219
DE 2000-10063882 A 20001221
DE 2000-10064993 A 20001223
WO 2001-EP13916 W 20011128

OTHER SOURCE(S): MARPAT 137:47219
GI



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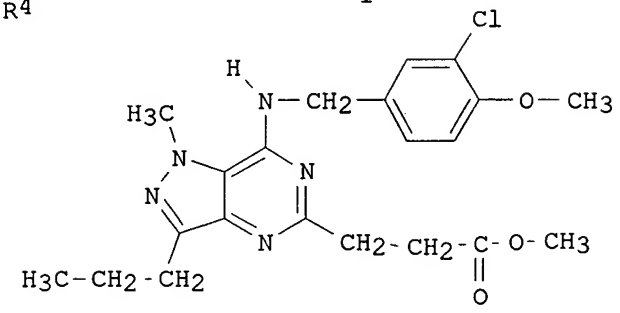


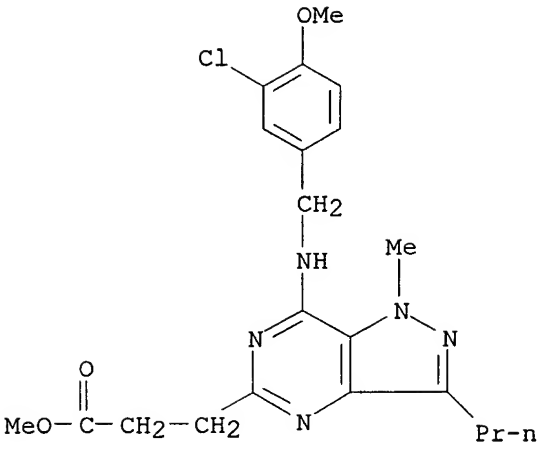
II

AB This invention discloses the prepn. of title compds. I and their pharmaceutically acceptable salts and solvates [wherein: R1, R2 independently = H, A, OH, OA, halogen; or R1R2 = C3-5 alkylene, OCH2CH2, CH2OCH2, OCH2O, OCH2CH2O; R3, R4 independently = H, A; X = cycloalkyl, cycloalkylalkyl, Ph, benzyl, C1-10 linear or branched alkyl with 1-2 optional CH:CH in lieu of CH2, or optionally interrupted by O, S, or SO; R = CO2H, CO2A, CONH2, CONHA, CONA2, CN; A = alkyl]. For example, condensation of 3-[7-chloro-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propionic acid Me ester and 3-chloro-4-methoxybenzylamine provided claimed pyrazolo[4,3-d]pyrimidin-5-ylpropanoate II as an oil. Pharmaceutical formulations contg. I (as phosphodiesterase V inhibitors) in combination with an antithrombotic, calcium antagonist, prostaglandin or prostaglandin deriv. medicament are claimed for the treatment of angina, hypertension, pulmonary hypertension, congestive heart failure (CHF), chronic obstructive pulmonary disease (COPD), cor pulmonale, right ventricular failure, atherosclerosis, conditions of reduced patency of the heart vessels, peripheral vascular diseases, cerebrovascular accident, bronchitis, allergic asthma, chronic asthma, allergic rhinitis, glaucoma, irritable bowel syndrome, tumors, kidney failure, cirrhosis of the liver, and female sexual dysfunctions (no data provided).

IT **329746-19-2P**, 3-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propanoic acid methyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of benzothieno[2,3-d]pyrimidine derivs. for use in pharmaceutical formulations with antithrombotic, calcium antagonist, prostaglandin or prostaglandin deriv. medicaments)

RN 329746-19-2 HCAPLUS

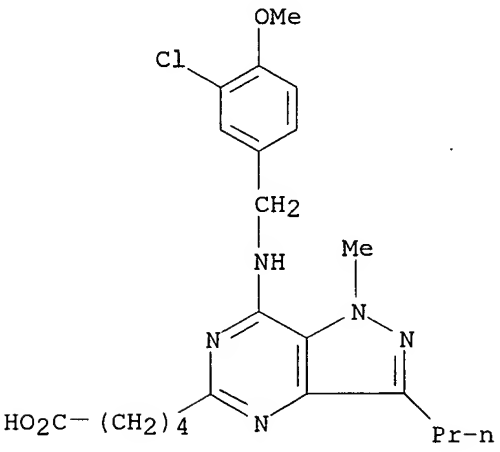
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-, methyl ester (9CI) (CA INDEX NAME)



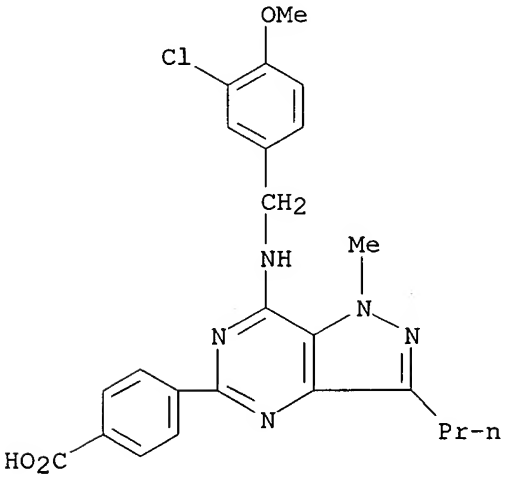
IT **329746-15-8P**, 5-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]pentanoic acid **329746-16-9P**, 4-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]benzoic acid **329746-17-0P**, 4-[7-(3,4-Methylenedioxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-18-1P**, 5-[7-(Benzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]pentanoic acid **329746-20-5P**, 3-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propanoic acid **329746-21-6P**, 4-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-23-8P**, 5-[7-(3,4-Methylenedioxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]valeric acid Ethanolamine **329746-25-0P** **329746-26-1P**, 4-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-ethyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-27-2P**, 4-[7-(3,4-Methylenedioxybenzylamino)-1-methyl-3-ethyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-28-3P**, 4-[7-(3-Chloro-4-methoxybenzylamino)-1-ethyl-3-methyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-29-4P**, 4-[7-(3,4-Methylenedioxybenzylamino)-1-ethyl-3-methyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-31-8P**, 4-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-methyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-32-9P**, 4-[7-(3,4-Methylenedioxybenzylamino)-1-methyl-3-methyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-33-0P**, 4-(7-Benzylamino-1-methyl-3-methyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)butyric acid **329746-34-1P**, 5-[7-(4-Methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]valeric acid **329746-35-2P**, 5-[7-(3-Methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]valeric acid **329746-36-3P**, 5-[7-(4-Chloro-benzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]valeric acid **329746-37-4P**, 5-[7-(3-Chloro-benzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]valeric acid **329746-42-1P**, 4-[7-(3,4-Dichlorobenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **428438-42-0P**, 4-(7-Benzylamino-1-methyl-3-ethyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)butyric acid **428438-45-3P** **428438-47-5P**, [7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxyacetic acid **428438-48-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzothieno[2,3-d]pyrimidine derivs. for use in pharmaceutical formulations with antithrombotic, calcium antagonist, prostaglandin or prostaglandin deriv. medicaments)

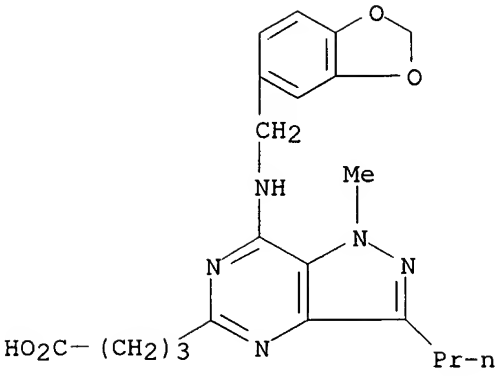
RN 329746-15-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



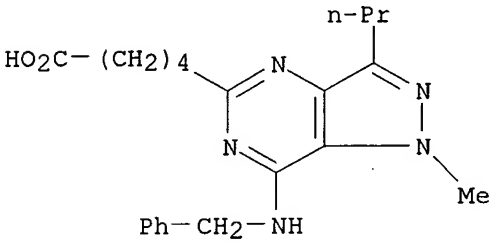
RN 329746-16-9 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)



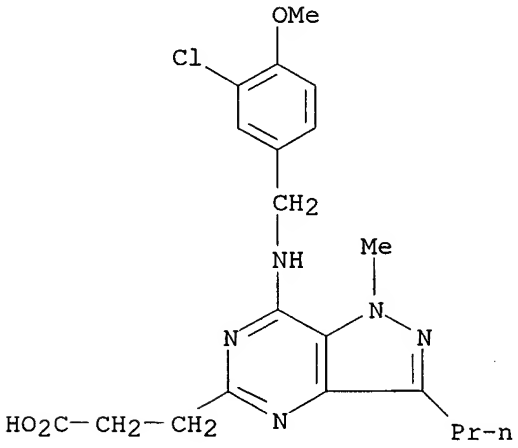
RN 329746-17-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



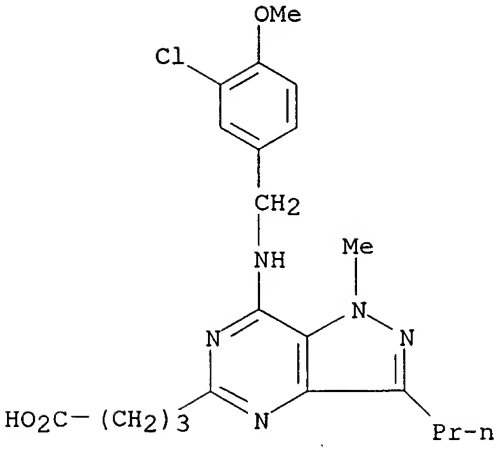
RN 329746-18-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 1-methyl-7-[(phenylmethyl)amino]-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-20-5 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



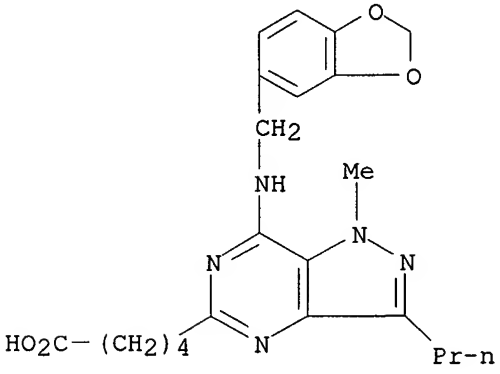
RN 329746-21-6 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-23-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 329746-22-7
CMF C22 H27 N5 O4



CM 2

CRN 141-43-5
CMF C2 H7 N O

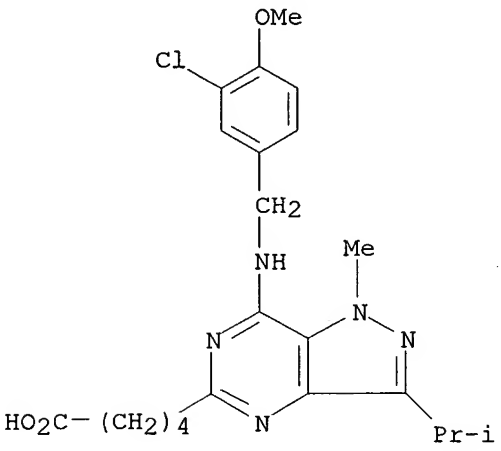
$H_2N-CH_2-CH_2-OH$

RN 329746-25-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-

methoxyphenyl)methyl]amino]-1-methyl-3-(1-methylethyl)-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

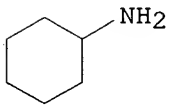
CM 1

CRN 329746-24-9
CMF C22 H28 Cl N5 O3

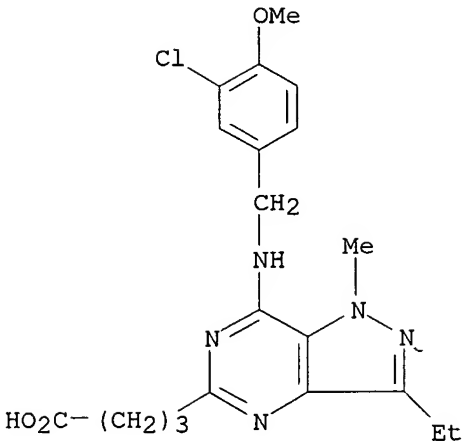


CM 2

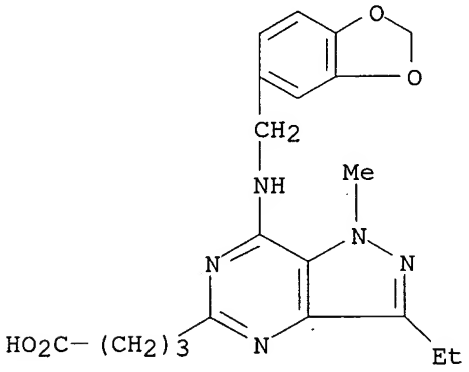
CRN 108-91-8
CMF C6 H13 N



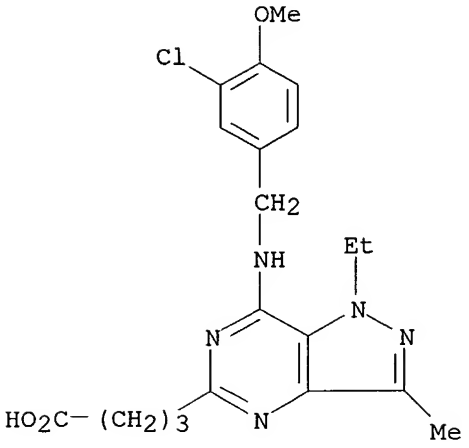
RN 329746-26-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



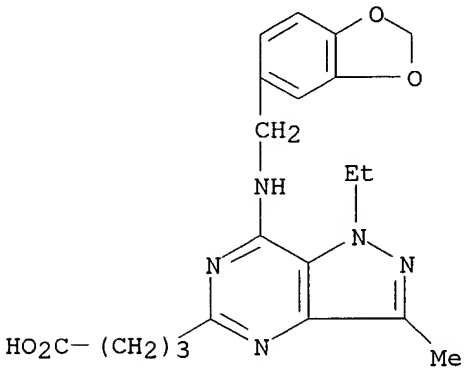
RN 329746-27-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



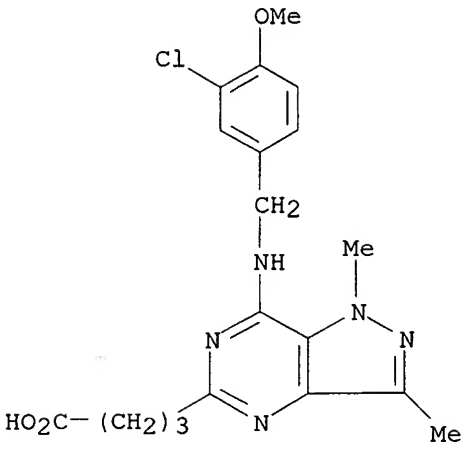
RN 329746-28-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



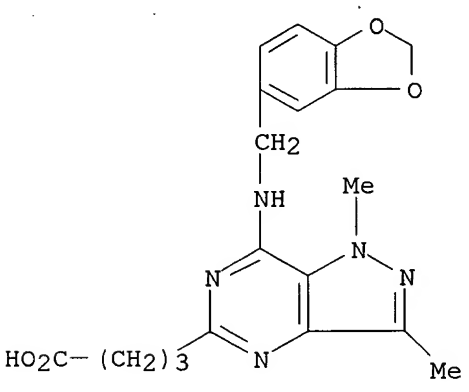
RN 329746-29-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



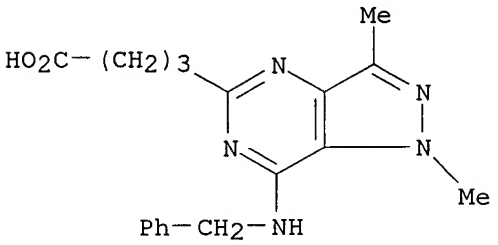
RN 329746-31-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



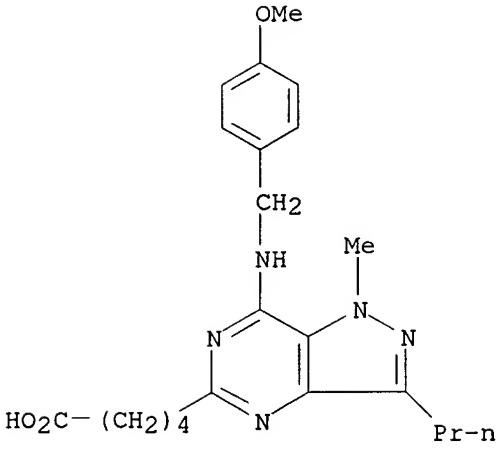
RN 329746-32-9 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



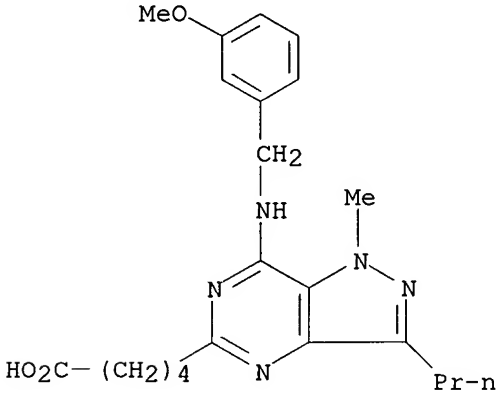
RN 329746-33-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 1,3-dimethyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



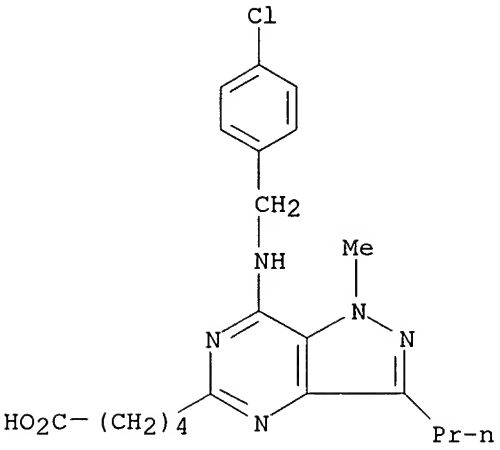
RN 329746-34-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



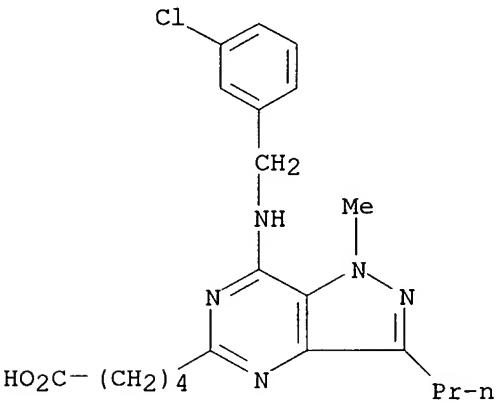
RN 329746-35-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



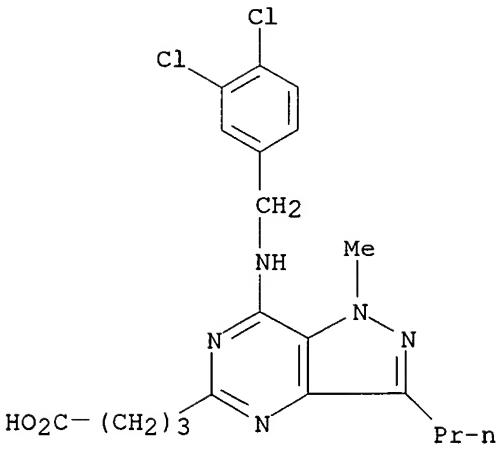
RN 329746-36-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



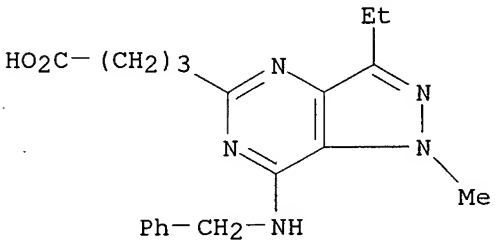
RN 329746-37-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-42-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3,4-dichlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



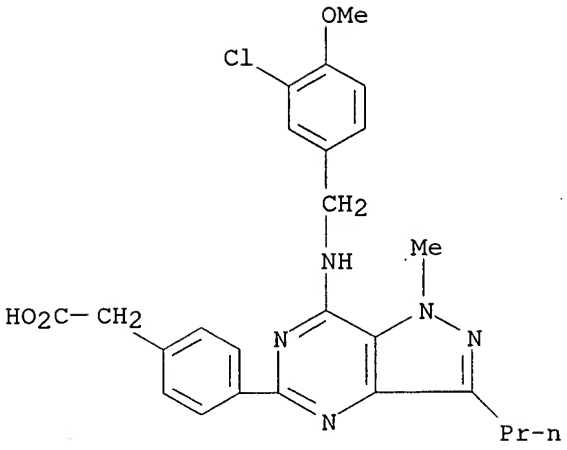
RN 428438-42-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 3-ethyl-1-methyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 428438-45-3 HCAPLUS
CN D-Glucitol, 1-amino-1-deoxy-, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]benzeneacetate (salt) (9CI) (CA INDEX NAME)

CM 1

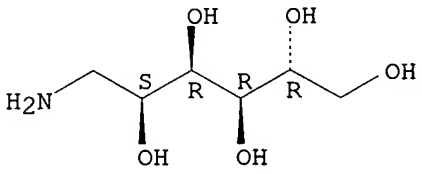
CRN 329746-41-0
CMF C25 H26 Cl N5 O3



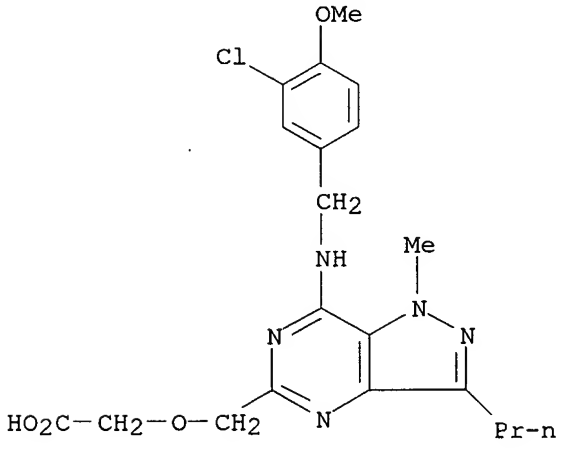
CM 2

CRN 488-43-7
CMF C6 H15 N O5

Absolute stereochemistry.



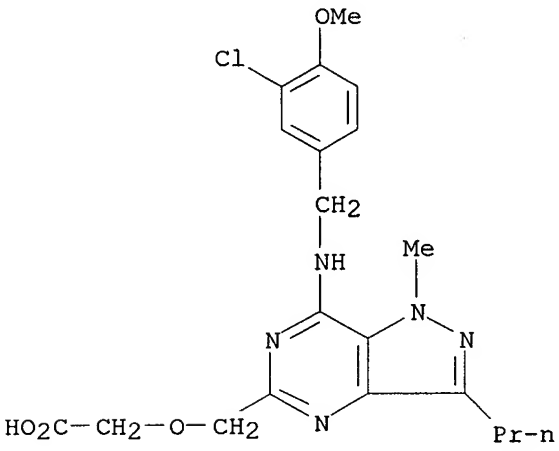
RN 428438-47-5 HCAPLUS
CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]- (9CI) (CA INDEX NAME)



RN 428438-48-6 HCAPLUS
CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

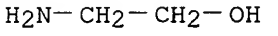
CM 1

CRN 428438-47-5
CMF C20 H24 Cl N5 O4



CM 2

CRN 141-43-5
CMF C2 H7 N O



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:462400 HCAPLUS
DOCUMENT NUMBER: 137:47210
TITLE: Preparation of pyrazolo[4,3-d]pyrimidine derivatives as phosphodiesterase V inhibitors and their pharmaceutical formulations containing antithrombotic medicaments.
INVENTOR(S): Eggenweiler, Hans-Michael; Eiermann, Volker
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 28 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

Somewhat

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10063224	A1	20020620	DE 2000-10063224	20001219
WO 2002049651	A1	20020627	WO 2001-EP13916	20011128

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

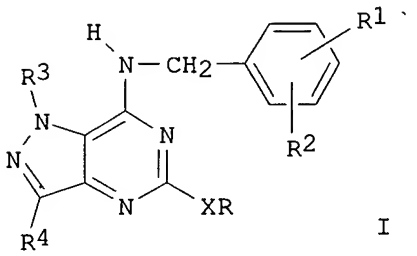
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002029573	A5	20020701	AU 2002-29573	20011128

PRIORITY APPLN. INFO.: DE 2000-10063224 A 20001219
DE 2000-10063882 A 20001221
DE 2000-10064993 A 20001223
WO 2001-EP13916 W 20011128

OTHER SOURCE(S): CASREACT 137:47210; MARPAT 137:47210

GI



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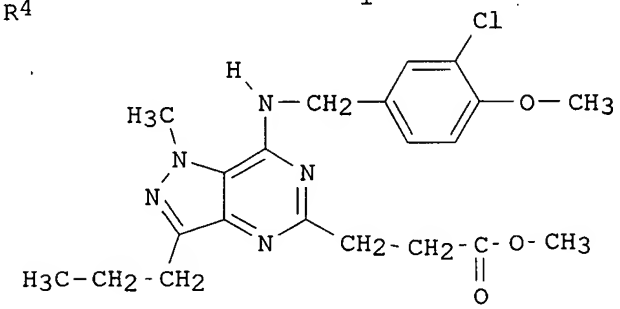


II

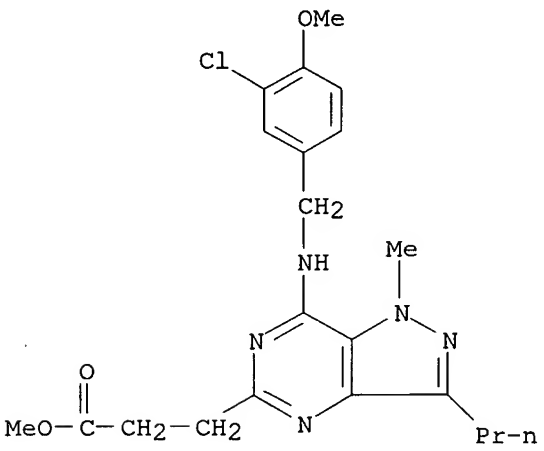
AB This invention discloses the prepn. of title compds. I and their pharmaceutically acceptable salts and solvates [wherein: R1, R2 independently = H, A, OH, OA, halogen; R1R2 = C3-5 alkylene, OCH2CH2, CH2OCH2, OCH2O, OCH2CH2O; R3, R4 independently = H, A; X = cycloalkyl, cycloalkylalkyl, Ph, benzyl, C1-10 linear or branched alkyl with 1-2 optional CH:CH in lieu of CH2, or optionally interrupted by O, S, or SO; R = CO2H, CO2A, CONH2, CONHA, CONA2, CN; A = alkyl]. For example, condensation of 3-[7-chloro-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propionic acid Me ester and 3-chloro-4-methoxybenzylamine provided claimed pyrazolo[4,3-d]pyrimidin-5-ylpropanoate II as an oil. Pharmaceutical formulations contg. I (as phosphodiesterase V inhibitors) in combination with an antithrombotic medicament are claimed for the

treatment of angina, (pulmonary) hypertension, congestive heart failure, arteriosclerosis, peripheral vascular diseases, stroke, bronchitis, allergic asthma, chronic asthma, allergic rhinitis, glaucoma, irritable bowel syndrome, tumor, kidney insufficiency, liver cirrhosis, and female sexual dysfunction (no data provided).

IT **329746-19-2P**, 3-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propanoic acid methyl ester **329746-23-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of pyrazolo[4,3-d]pyrimidine derivs. for use in pharmaceutical formulations with antithrombotics)

RN 329746-19-2 HCAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-, methyl ester (9CI) (CA INDEX NAME)

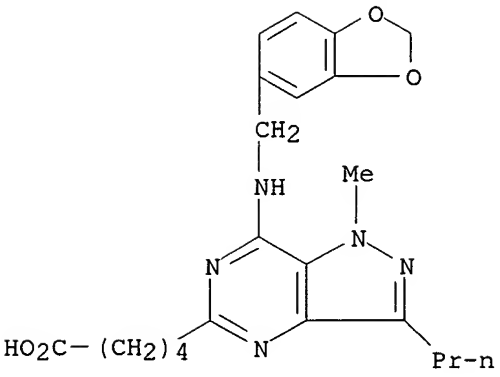


RN 329746-23-8 HCAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 329746-22-7
CMF C22 H27 N5 O4



CM 2

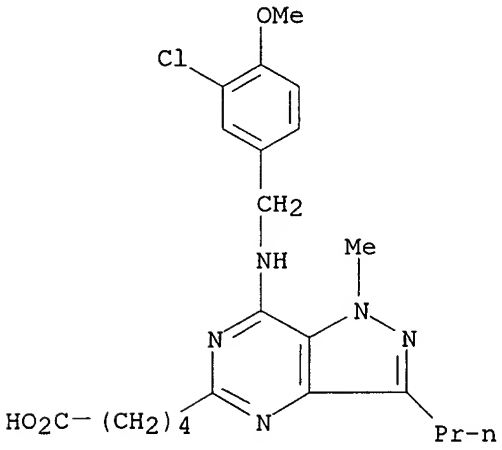
CRN 141-43-5
CMF C2 H7 N O

$H_2N-CH_2-CH_2-OH$

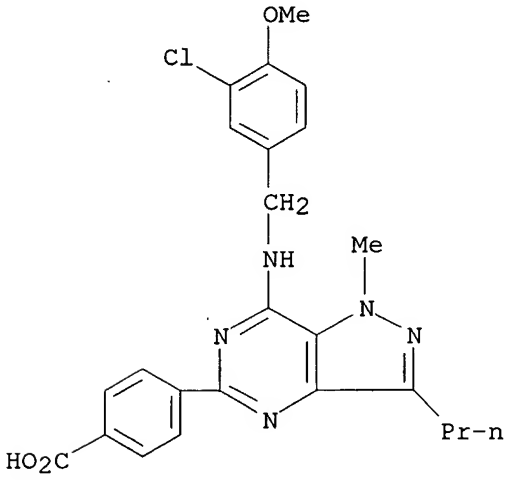
IT **329746-15-8P**, 5-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]pentanoic acid **329746-16-9P**, 4-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]benzoic acid **329746-17-0P** **329746-18-1P**, 5-[7-(Benzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]pentanoic acid **329746-20-5P**, 3-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propanoic acid **329746-21-6P**, 4-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-25-0P** **329746-26-1P**, 4-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-ethyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-27-2P** **329746-28-3P**, 4-[7-(3-Chloro-4-methoxybenzylamino)-1-ethyl-3-methyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-29-4P** **329746-31-8P**, 4-[7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-methyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **329746-32-9P** **329746-33-0P**, 4-(7-Benzylamino-1-methyl-3-methyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)butyric acid **329746-34-1P**, 5-[7-(4-Methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]valeric acid **329746-35-2P**, 5-[7-(3-Methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]valeric acid **329746-36-3P**, 5-[7-(4-Chloro-benzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]valeric acid **329746-37-4P**, 5-[7-(3-Chloro-benzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]valeric acid **329746-42-1P**, 4-[7-(3,4-Dichlorobenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]butyric acid **428438-42-0P**, 4-(7-Benzylamino-1-methyl-3-ethyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)butyric acid **428438-43-1P** **428438-44-2P** **428438-45-3P** **428438-47-5P**, [7-(3-Chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxyacetic acid **428438-48-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(drug candidate; prepn. of pyrazolo[4,3-d]pyrimidine derivs. for use in pharmaceutical formulations with antithrombotics)

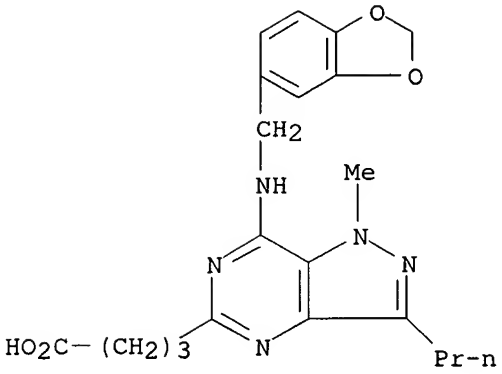
RN 329746-15-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



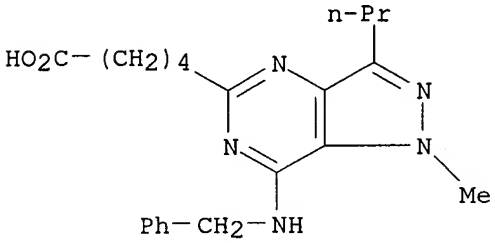
RN 329746-16-9 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)



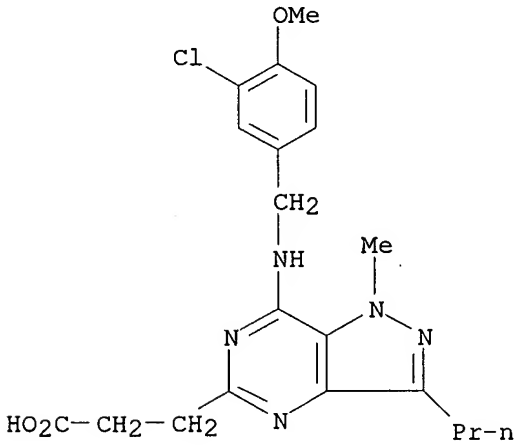
RN 329746-17-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



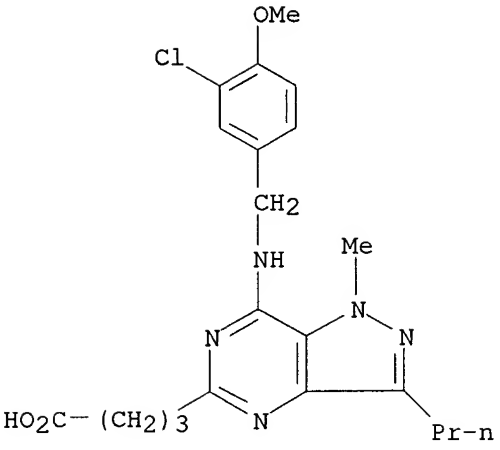
RN 329746-18-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 1-methyl-7-[(phenylmethyl)amino]-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-20-5 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



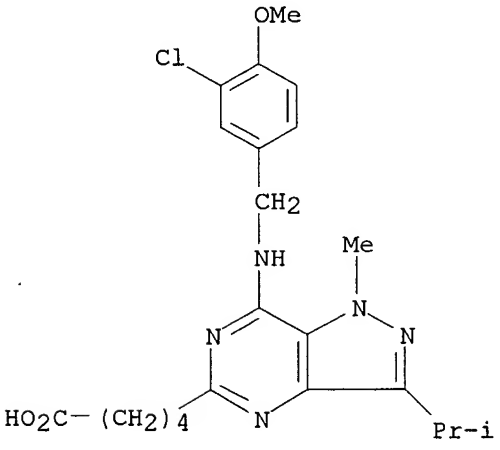
RN 329746-21-6 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-25-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-(1-methylethyl)-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

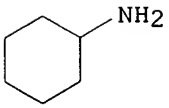
CM 1

CRN 329746-24-9
CMF C22 H28 Cl N5 O3

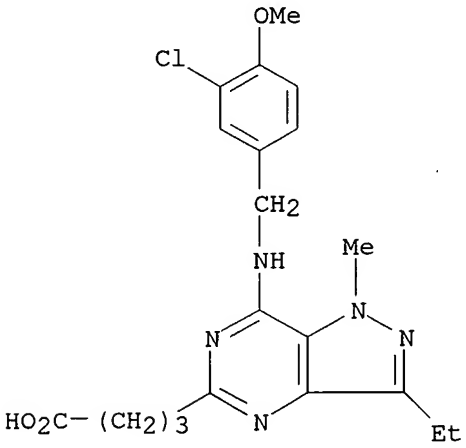


CM 2

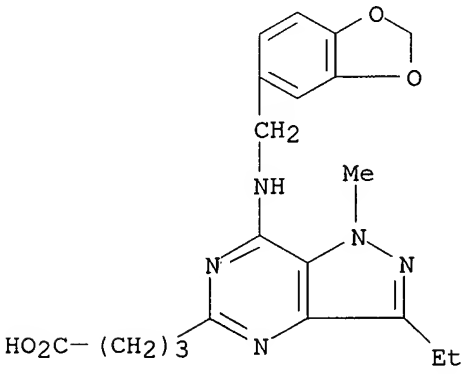
CRN 108-91-8
CMF C6 H13 N



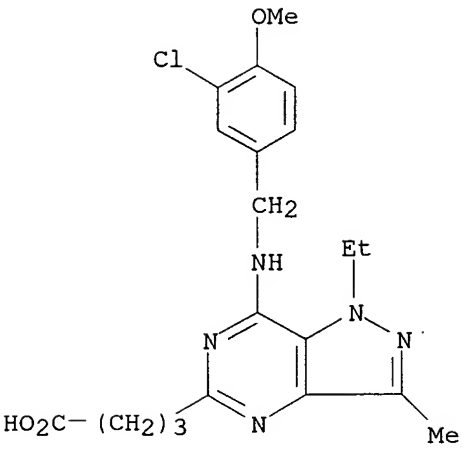
RN 329746-26-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



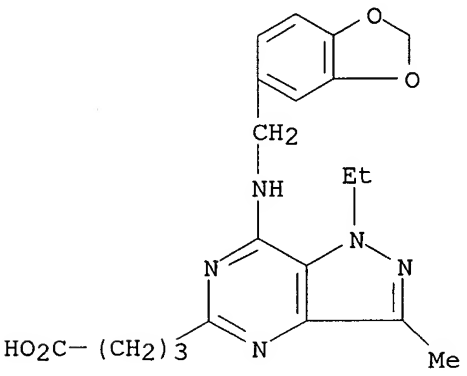
RN 329746-27-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



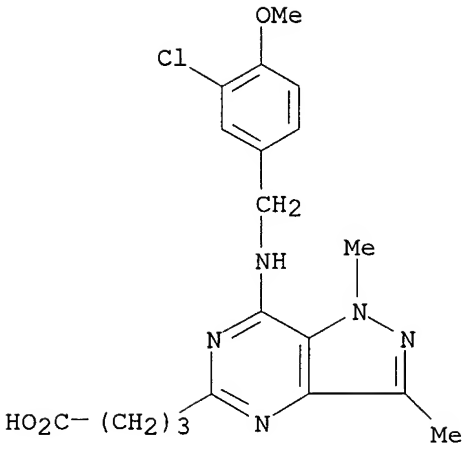
RN 329746-28-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



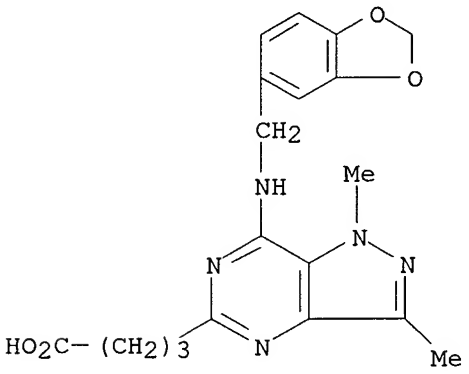
RN 329746-29-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



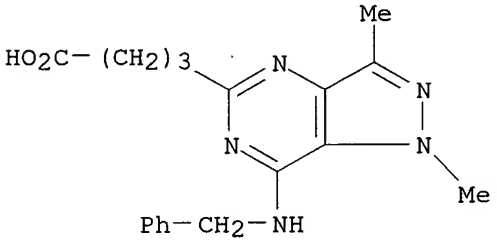
RN 329746-31-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



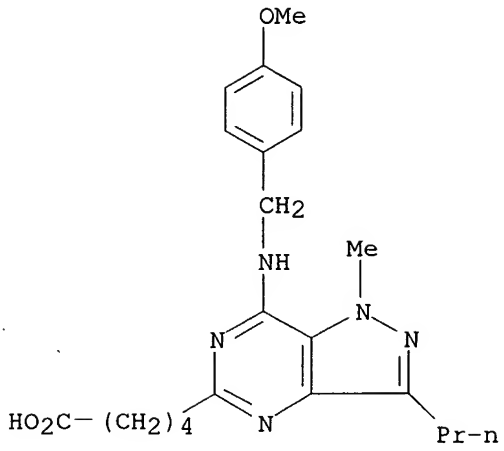
RN 329746-32-9 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



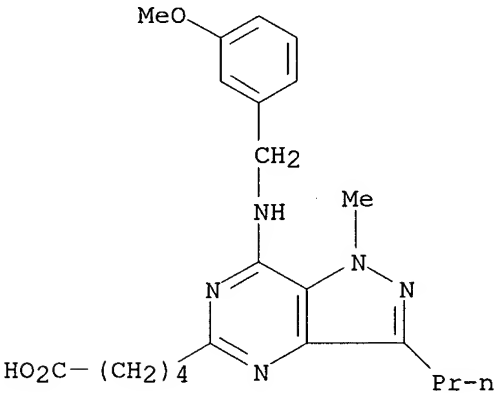
RN 329746-33-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 1,3-dimethyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



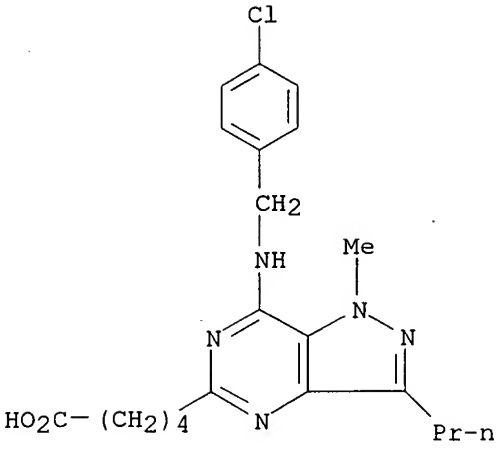
RN 329746-34-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



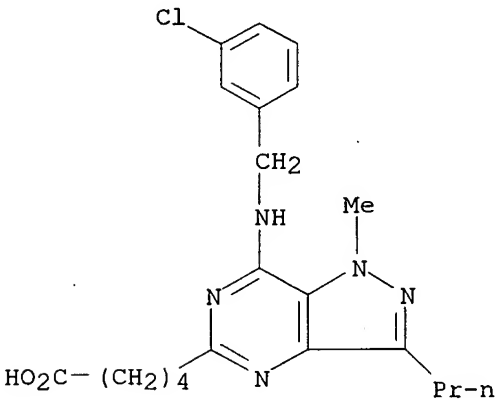
RN 329746-35-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



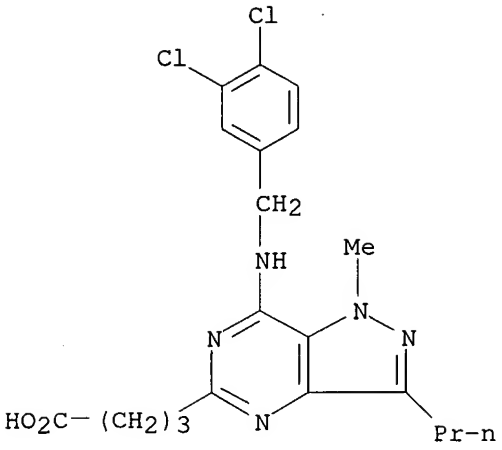
RN 329746-36-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



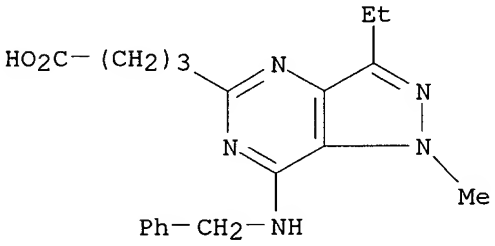
RN 329746-37-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



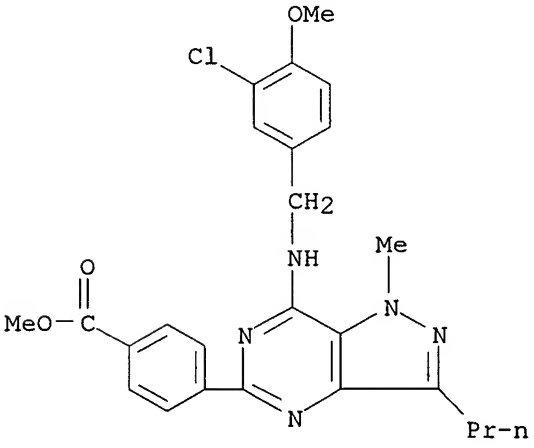
RN 329746-42-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3,4-dichlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 428438-42-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 3-ethyl-1-methyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



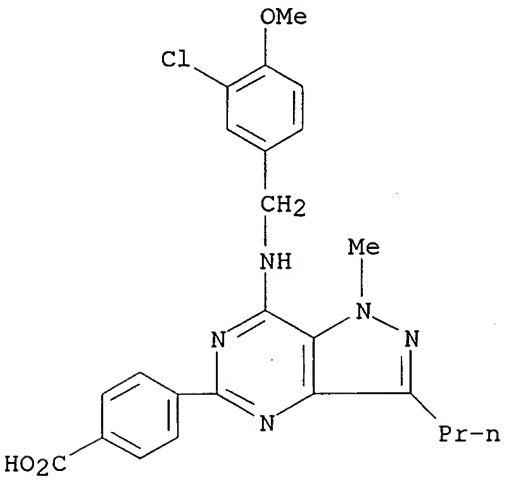
RN 428438-43-1 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 428438-44-2 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

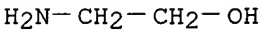
CM 1

CRN 329746-16-9
CMF C24 H24 Cl N5 O3



CM 2

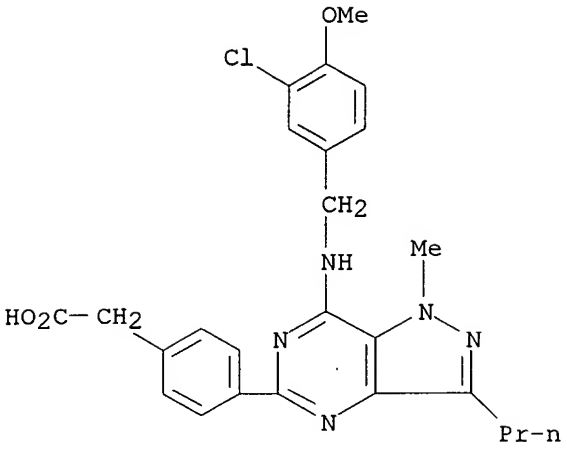
CRN 141-43-5
CMF C2 H7 N O



RN 428438-45-3 HCAPLUS
CN D-Glucitol, 1-amino-1-deoxy-, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]benzeneacetate (salt) (9CI) (CA INDEX NAME)

CM 1

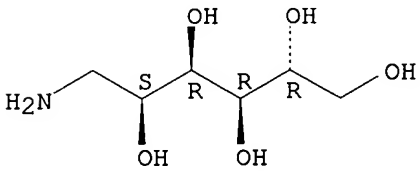
CRN 329746-41-0
CMF C25 H26 Cl N5 O3



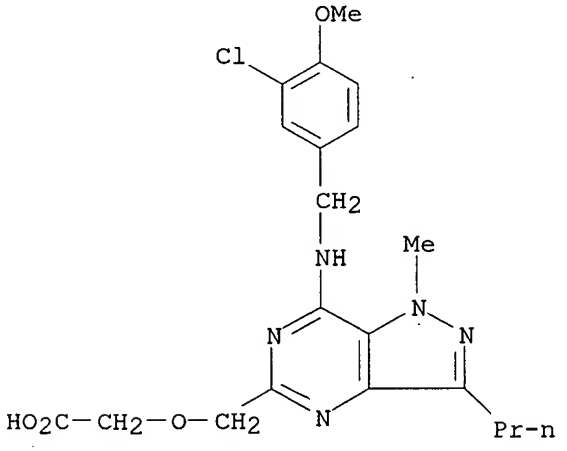
CM 2

CRN 488-43-7
CMF C6 H15 N O5

Absolute stereochemistry.



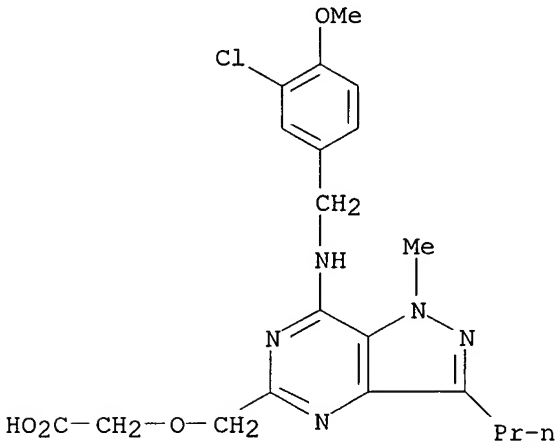
RN 428438-47-5 HCAPLUS
CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]- (9CI) (CA INDEX NAME)



RN 428438-48-6 HCAPLUS
CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

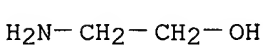
CM 1

CRN 428438-47-5
CMF C20 H24 Cl N5 O4



CM 2

CRN 141-43-5
CMF C2 H7 N O



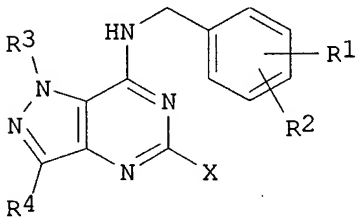
L53 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:427600 HCAPLUS
DOCUMENT NUMBER: 137:6191
TITLE: Preparation of pyrazolo[4,3-d]pyrimidines as antitumor agents
INVENTOR(S): Eggenweiler, Hans-Michael
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10060388	A1	20020606	DE 2000-10060388	20001205
WO 2002045716	A1	20020613	WO 2001-EP13036	20011109

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002016033 A5 20020618 AU 2002-16033 20011109
PRIORITY APPLN. INFO.: DE 2000-10060388 A 20001205
WO 2001-EP13036 W 20011109

OTHER SOURCE(S): MARPAT 137:6191
GI



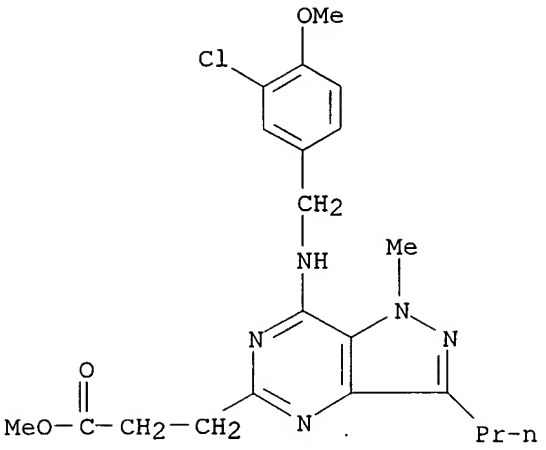
I

AB Title compds. [I; R1, R2 = H, A, OH, OA, halo; R1R2 = C3-5 alkylene, OCH2CH2, CH2OCH2, OCH2O, OCH2CH2O; R3, R4 = H, A; X = (CO2H-, CO2A-, CONH2-, CONHA-, CONA2-, CN-substituted) (branched) (satd.) (O-, S-, SO-interrupted) alkylene, cycloalkyl, cycloalkylalkylene, Ph, PhCH2; A = alkyl] are useful for the prepn. of drugs for the inhibition of neoplastic cell growth (no data). A mixt. of Me 3-[7-chloro-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propionate and 3-chloro-4-methoxybenzylamine in DMF was stirred in the presence of K2CO3 for 12 h at 60.degree. to give ca. 93% Me 3-[7-(3-chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propionate.

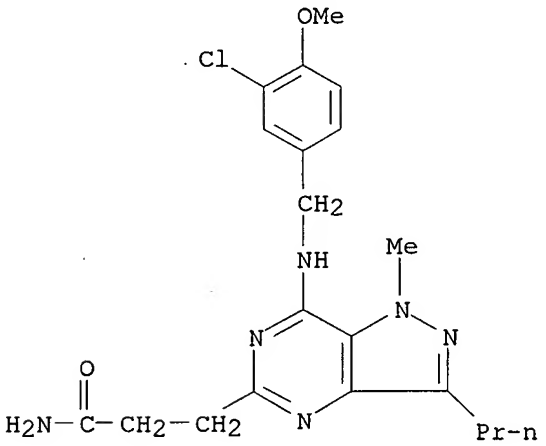
IT **329746-19-2P 428438-46-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of pyrazolopyrimidines as antitumor agents)

RN 329746-19-2 HCAPLUS

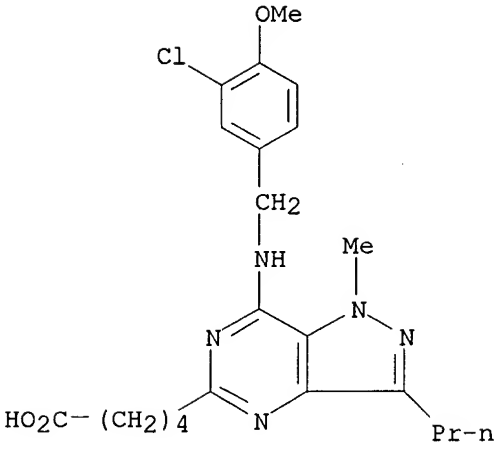
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-, methyl ester (9CI) (CA INDEX NAME)



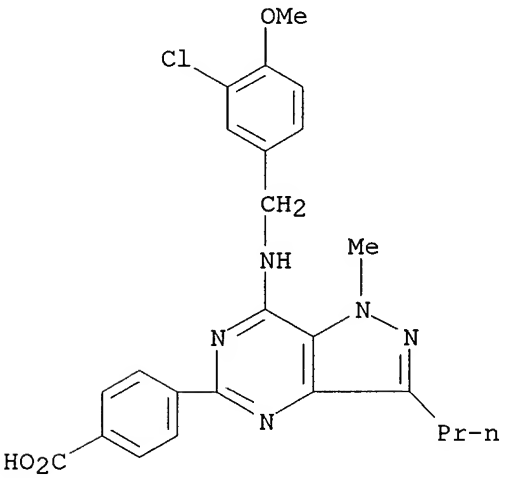
RN 428438-46-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanamide, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



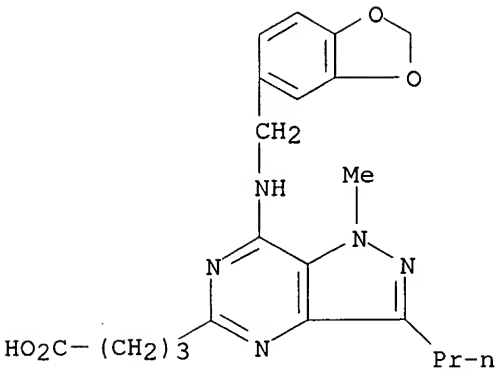
IT **329746-15-8P 329746-16-9P 329746-17-0P**
329746-18-1P 329746-20-5P 329746-21-6P
329746-22-7P 329746-25-0P 329746-26-1P
329746-27-2P 329746-28-3P 329746-29-4P
329746-31-8P 329746-32-9P 329746-33-0P
329746-34-1P 329746-35-2P 329746-36-3P
329746-37-4P 329746-42-1P 428438-42-0P
428438-43-1P 428438-44-2P 428438-45-3P
428438-47-5P 428438-48-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolopyrimidines as antitumor agents)
RN 329746-15-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



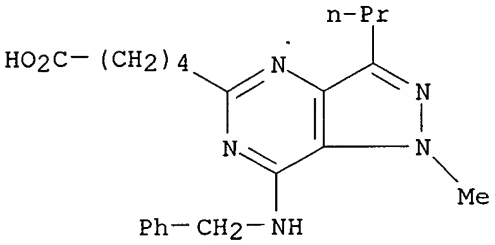
RN 329746-16-9 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)



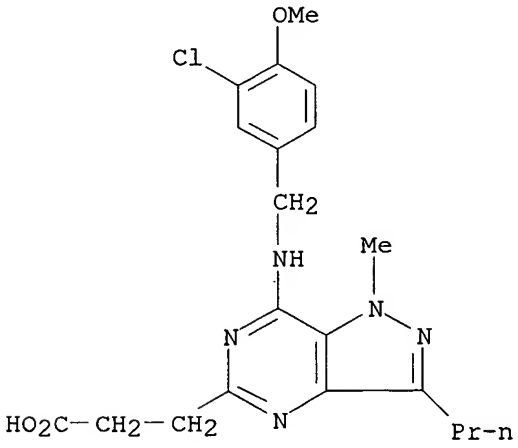
RN 329746-17-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



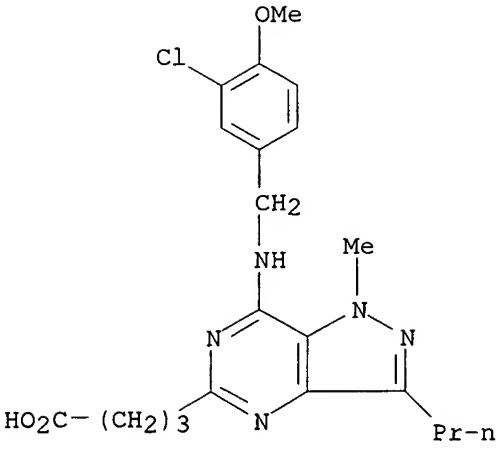
RN 329746-18-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 1-methyl-7-[(phenylmethyl)amino]-3-propyl- (9CI) (CA INDEX NAME)



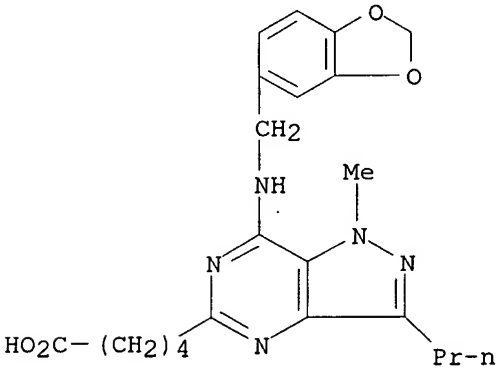
RN 329746-20-5 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-21-6 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



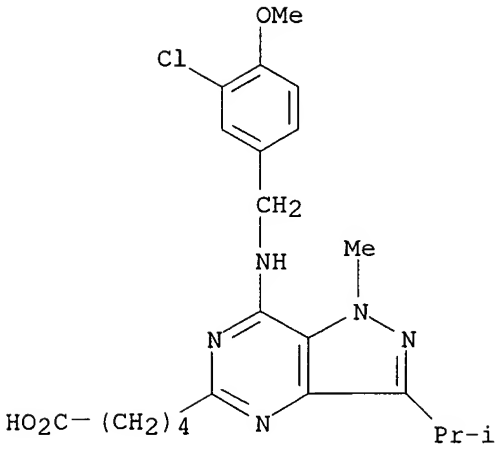
RN 329746-22-7 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-25-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-(1-methylethyl)-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

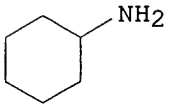
CM 1

CRN 329746-24-9
CMF C22 H28 Cl N5 O3

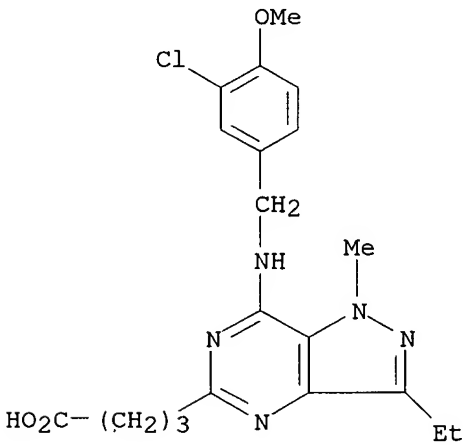


CM 2

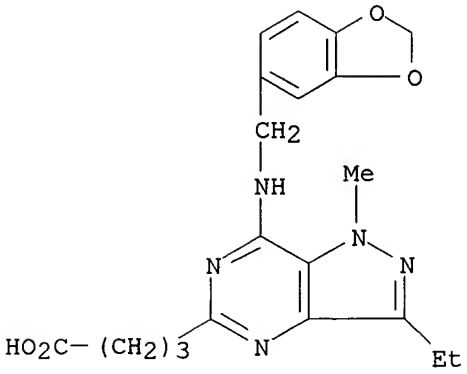
CRN 108-91-8
CMF C6 H13 N



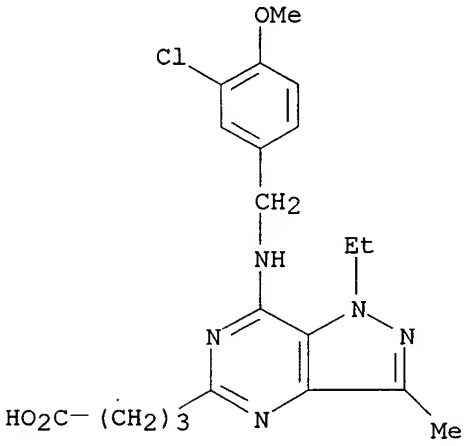
RN 329746-26-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



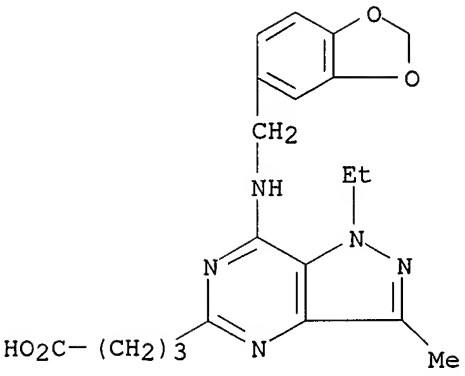
RN 329746-27-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



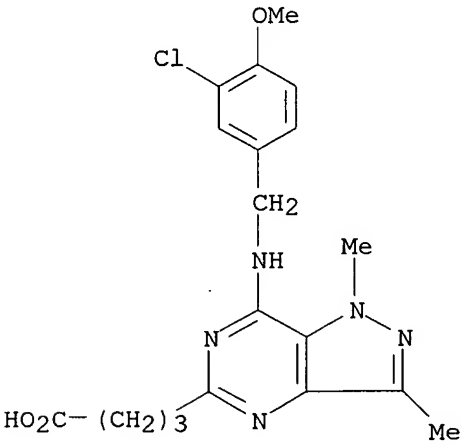
RN 329746-28-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



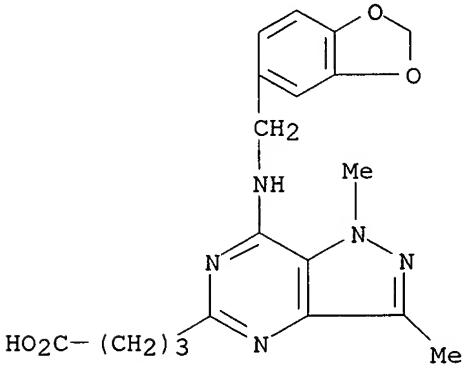
RN 329746-29-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



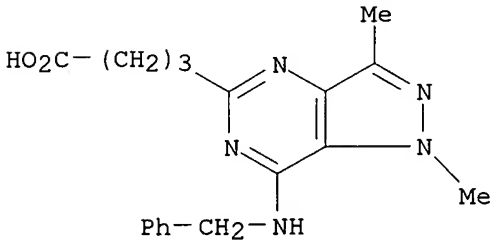
RN 329746-31-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



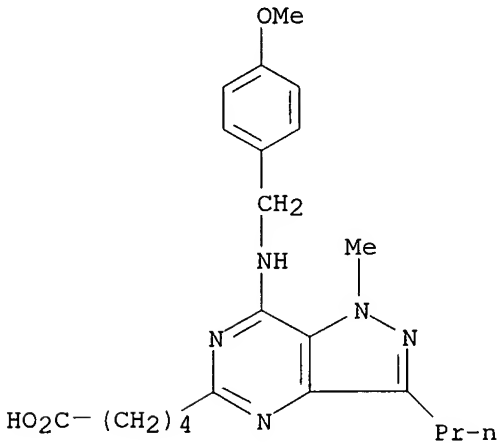
RN 329746-32-9 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



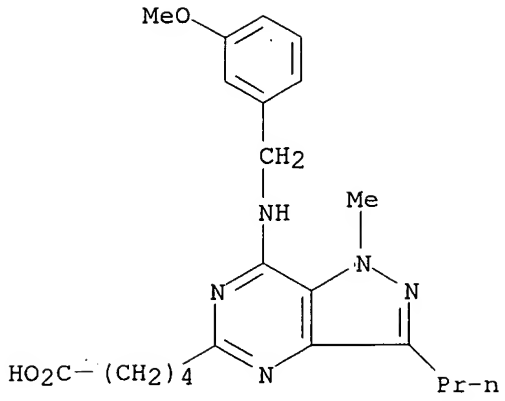
RN 329746-33-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 1,3-dimethyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



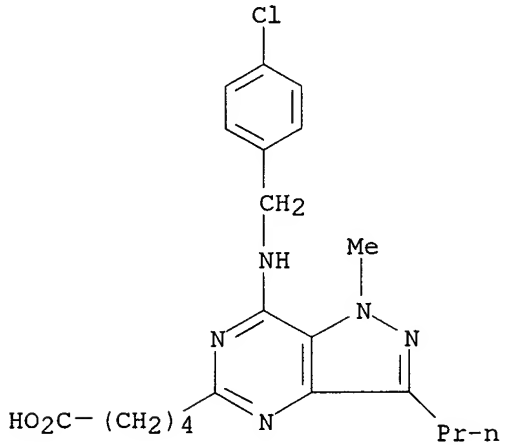
RN 329746-34-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



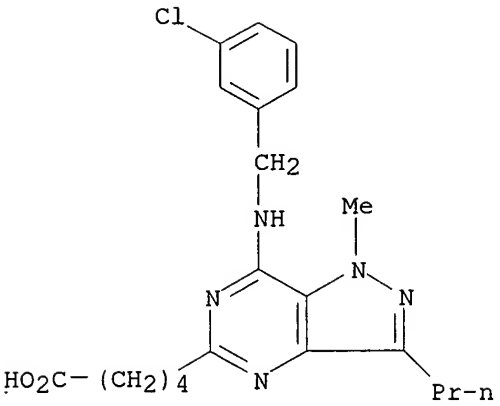
RN 329746-35-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



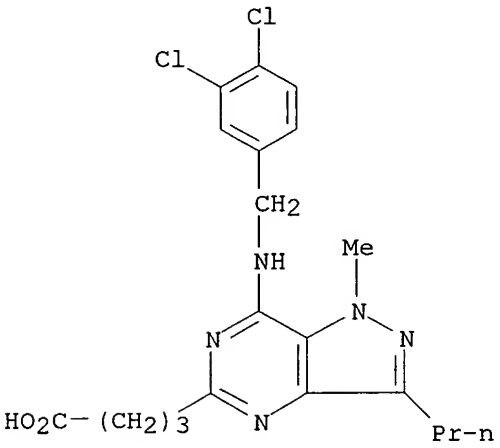
RN 329746-36-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



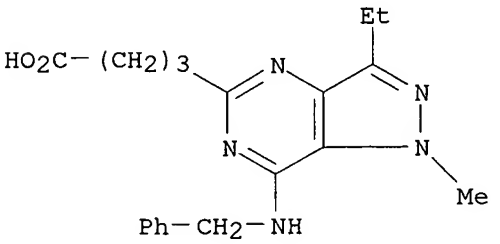
RN 329746-37-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-42-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3,4-dichlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)

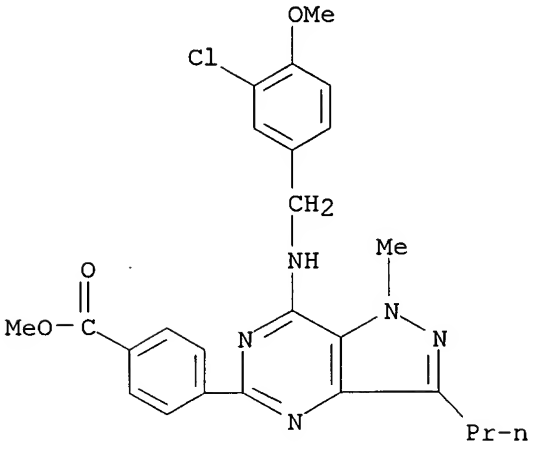


RN 428438-42-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 3-ethyl-1-methyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 428438-43-1 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-

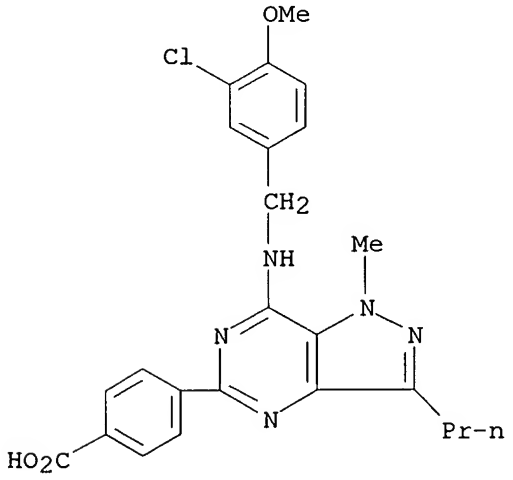
propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 428438-44-2 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 329746-16-9
CMF C24 H24 Cl N5 O3



CM 2

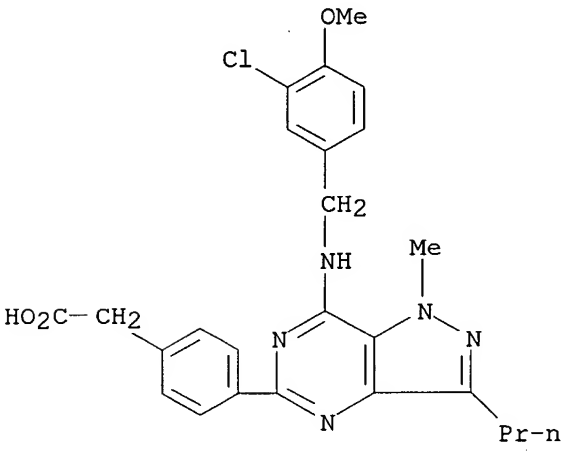
CRN 141-43-5
CMF C2 H7 N O

$H_2N-CH_2-CH_2-OH$

RN 428438-45-3 HCAPLUS
CN D-Glucitol, 1-amino-1-deoxy-, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]benzeneacetate (salt) (9CI) (CA INDEX NAME)

CM 1

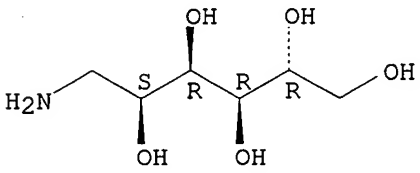
CRN 329746-41-0
CMF C25 H26 Cl N5 O3



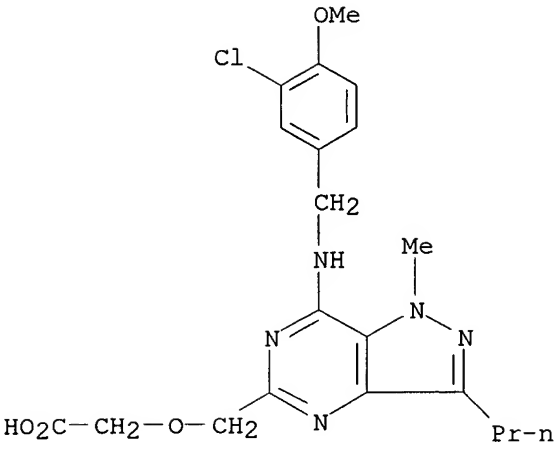
CM 2

CRN 488-43-7
CMF C6 H15 N O5

Absolute stereochemistry.



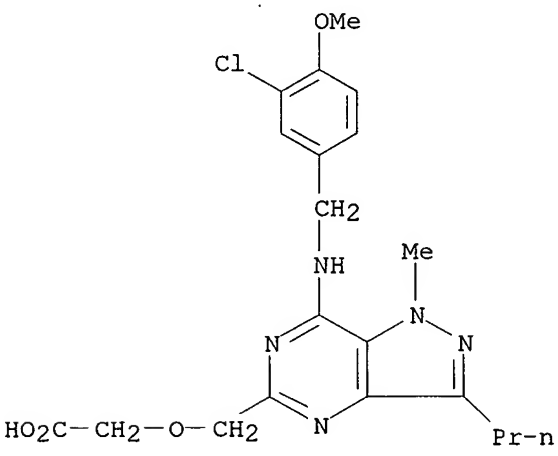
RN 428438-47-5 HCAPLUS
CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]- (9CI) (CA INDEX NAME)



RN 428438-48-6 HCAPLUS
CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

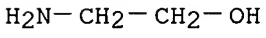
CM 1

CRN 428438-47-5
CMF C20 H24 Cl N5 O4



CM 2

CRN 141-43-5
CMF C2 H7 N O

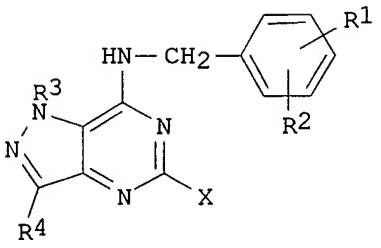


L53 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:403612 HCAPLUS
DOCUMENT NUMBER: 136:401778
TITLE: Preparation of pyrazolo[4,3-d]pyrimidines
INVENTOR(S): Eggenweiler, Hans-Michael; Eiermann, Volker
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10058662	A1	20020529	DE 2000-10058662	20001125
WO 2002041880	A2	20020530	WO 2001-EP12493	20011029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002015979	A5	20020603	AU 2002-15979	20011029

PRIORITY APPLN. INFO.: DE 2000-10058662 A 20001125
WO 2001-EP12493 W 20011029

OTHER SOURCE(S): MARPAT 136:401778
GI



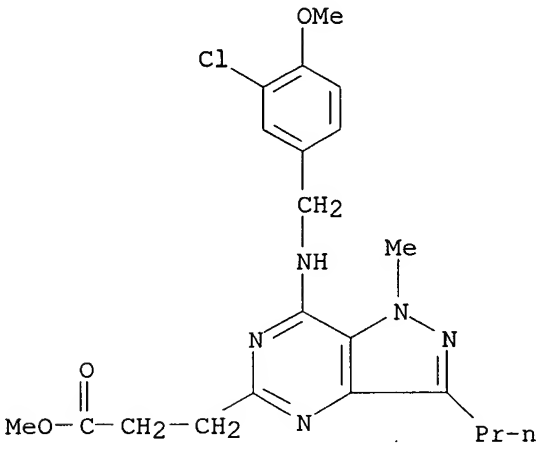
I

AB Use of the title compds. [I; R1, R2 = H, A, OH, OA, halo; R1R2 = C3-5 alkylene, OCH2CH2, CH2OCH2, OCH2O, OCH2CH2O; R3, R4 = H, A; X = (CO2H-, CO2A-, CONH2-, CONHA-, CONA2-, CN- substituted) (branched) (satd.) (O-, S-, SO-interrupted) alkylene, cycloalkyl, cycloalkylalkylene, Ph, PhCH2; A = alkyl] for the prepn. of drugs for the treatment of angina, (pulmonary) hypertension, congestive heart failure, atherosclerosis, peripheral vascular diseases, stroke, bronchitis, allergic asthma, chronic asthma, allergic rhinitis, glaucoma, irritable bowel syndrome, tumor, kidney insufficiency, liver cirrhosis, female sexual dysfunction is claimed. Thus, a mixt. of Me 3-[7-chloro-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propionate and 3-chloro-4-methoxybenzylamine in DMF was stirred in the presence of K2CO3 for 12 h at 60.degree. to give ca. 93% Me

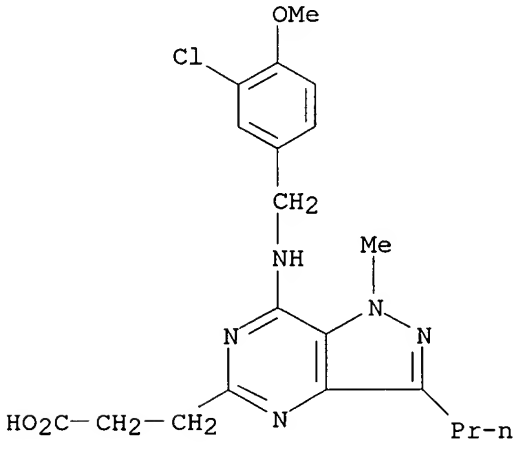
3-[7-(3-chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propionate.

IT **329746-19-2P 329746-20-5P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of pyrazolopyrimidines)

RN 329746-19-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-, methyl ester (9CI) (CA INDEX NAME)

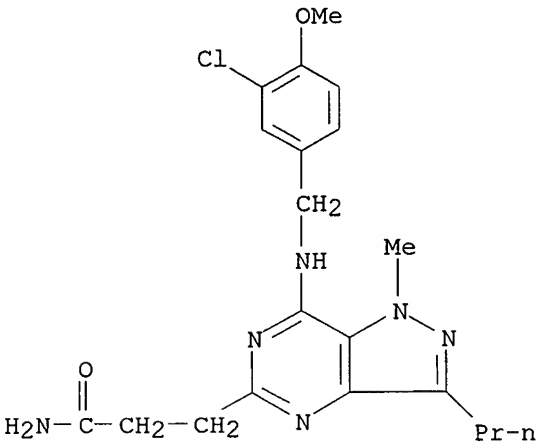


RN 329746-20-5 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



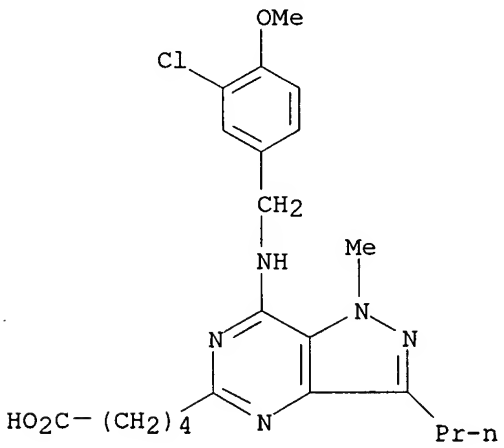
IT **428438-46-4**
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(prepn. of pyrazolopyrimidines)

RN 428438-46-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanamide, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)

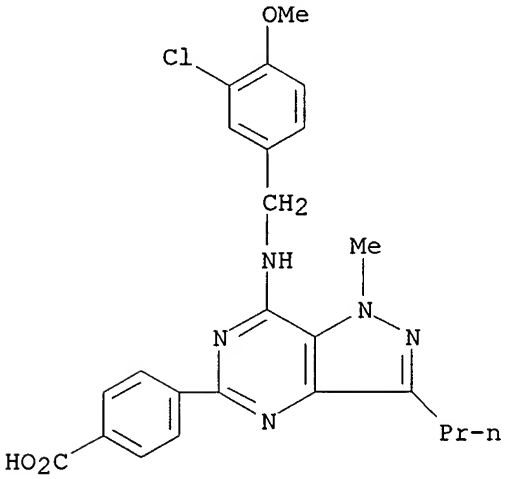


IT **329746-15-8P 329746-16-9P 329746-17-0P**
329746-18-1P 329746-21-6P 329746-23-8P
329746-25-0P 329746-26-1P 329746-27-2P
329746-28-3P 329746-29-4P 329746-31-8P
329746-32-9P 329746-33-0P 329746-34-1P
329746-35-2P 329746-36-3P 329746-37-4P
329746-42-1P 428438-42-0P 428438-43-1P
428438-44-2P 428438-45-3P 428438-47-5P
428438-48-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolopyrimidines)

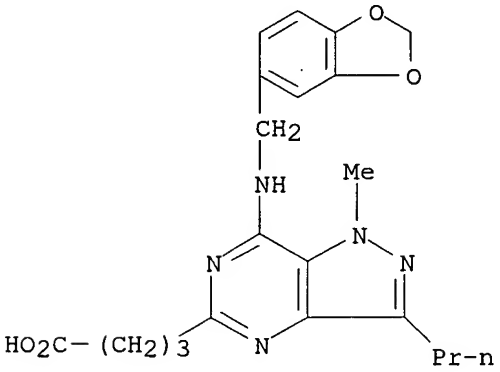
RN 329746-15-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



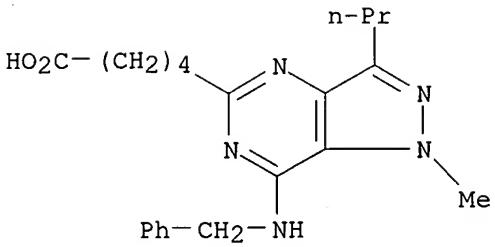
RN 329746-16-9 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)



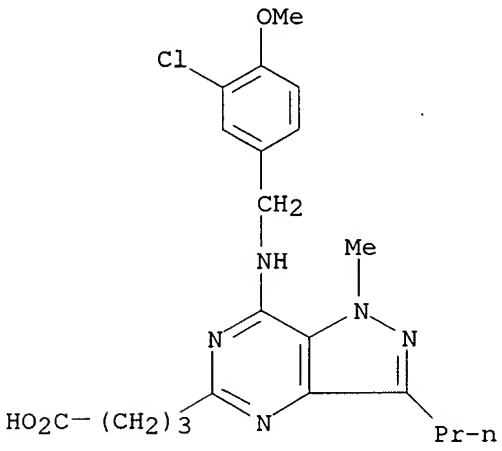
RN 329746-17-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-18-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 1-methyl-7-[(phenylmethyl)amino]-3-propyl- (9CI) (CA INDEX NAME)



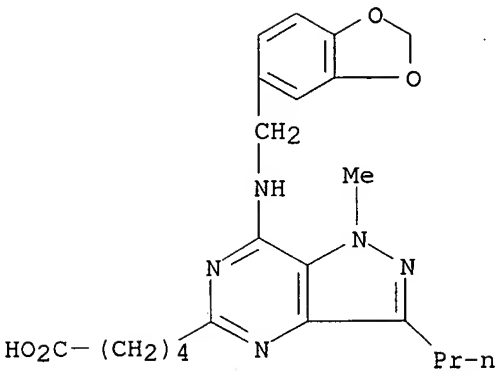
RN 329746-21-6 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-23-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 329746-22-7
CMF C22 H27 N5 O4



CM 2

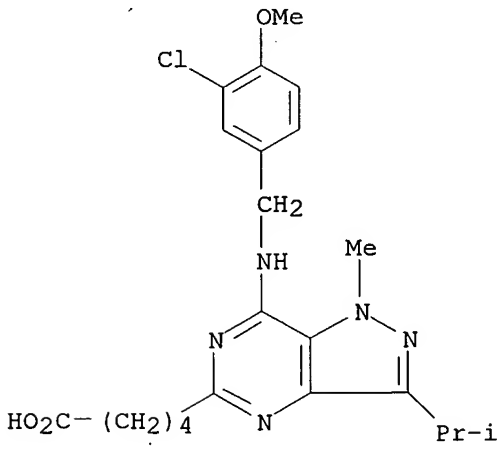
CRN 141-43-5
CMF C2 H7 N O

$H_2N-CH_2-CH_2-OH$

RN 329746-25-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-(1-methylethyl)-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

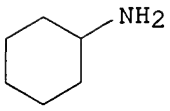
CM 1

CRN 329746-24-9
CMF C22 H28 Cl N5 O3

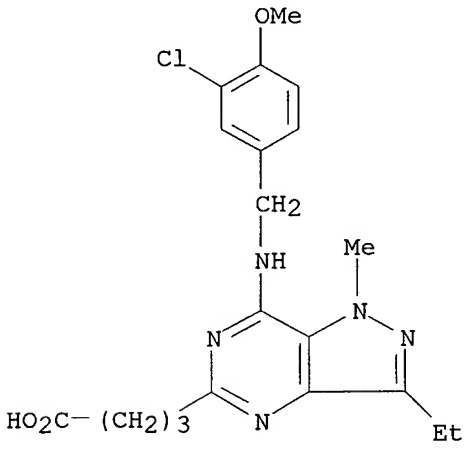


CM 2

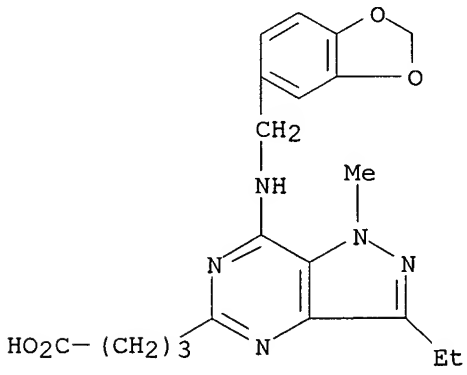
CRN 108-91-8
CMF C6 H13 N



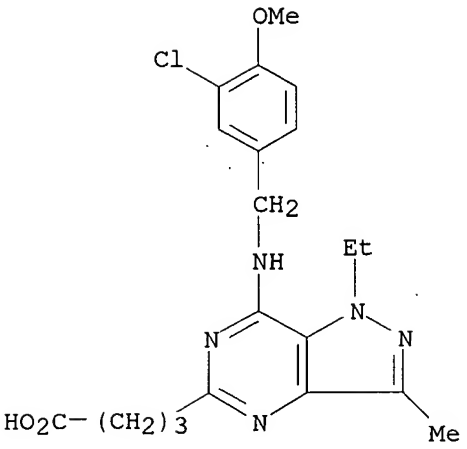
RN 329746-26-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



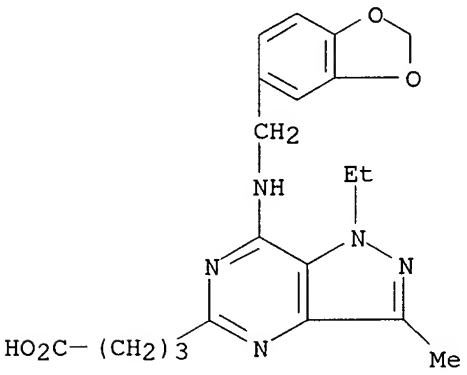
RN 329746-27-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



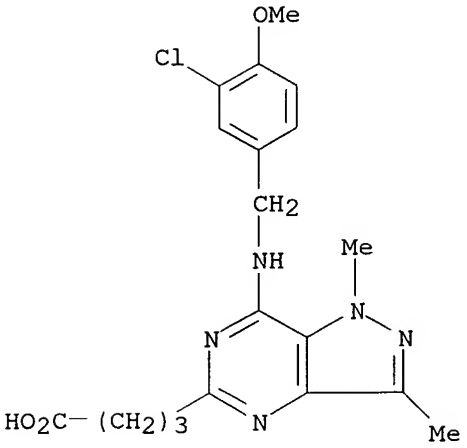
RN 329746-28-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



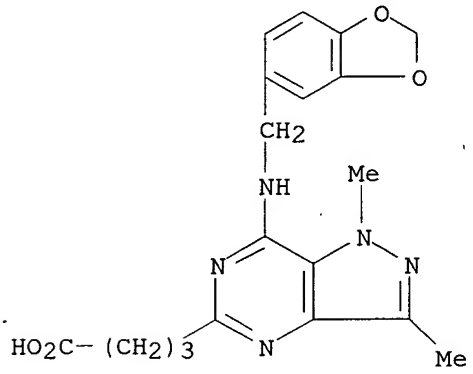
RN 329746-29-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



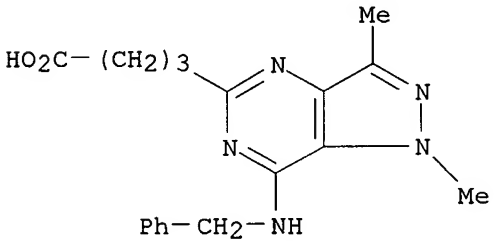
RN 329746-31-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



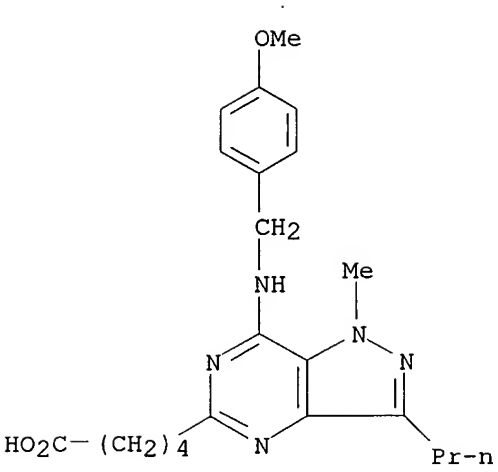
RN 329746-32-9 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



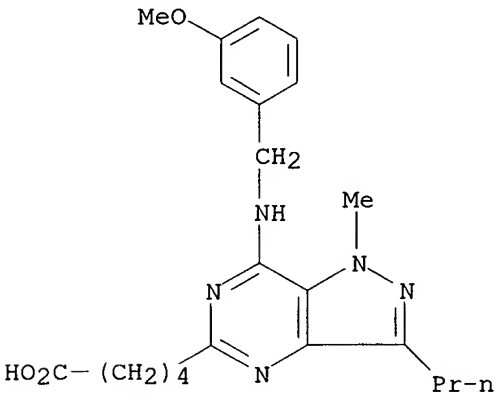
RN 329746-33-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 1,3-dimethyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



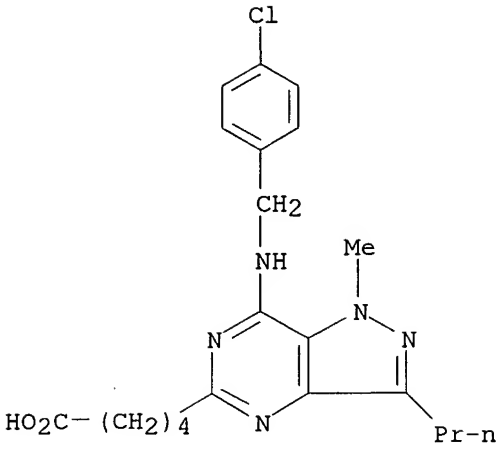
RN 329746-34-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



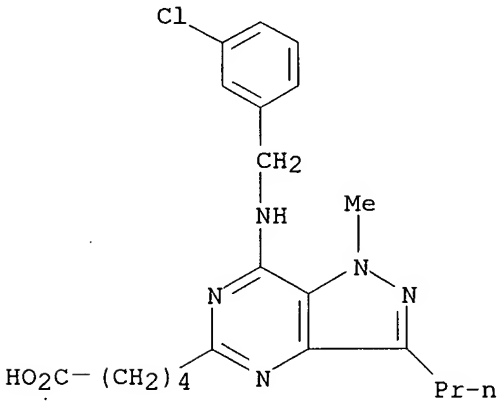
RN 329746-35-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



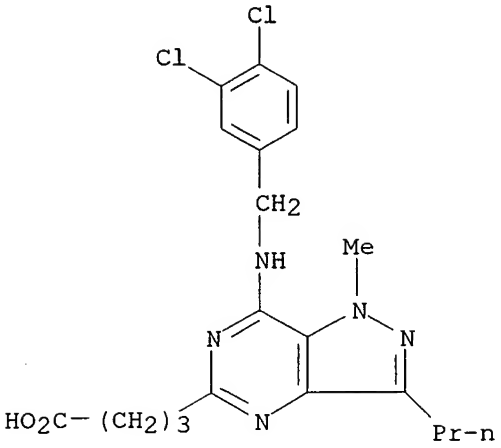
RN 329746-36-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



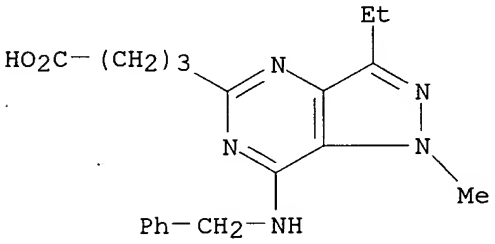
RN 329746-37-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



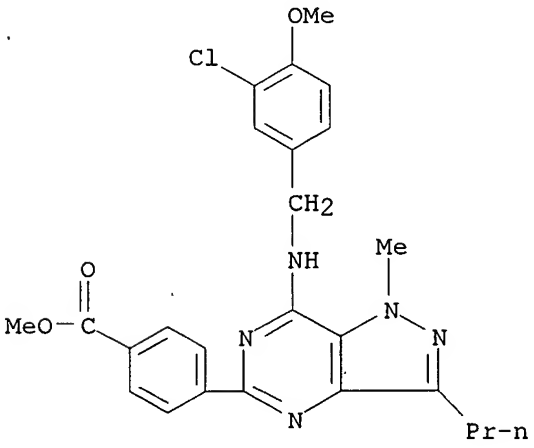
RN 329746-42-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3,4-dichlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 428438-42-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 3-ethyl-1-methyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



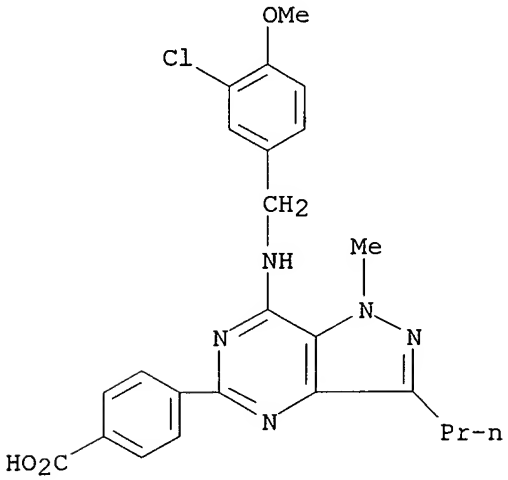
RN 428438-43-1 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 428438-44-2 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

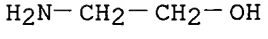
CM 1

CRN 329746-16-9
CMF C24 H24 Cl N5 O3



CM 2

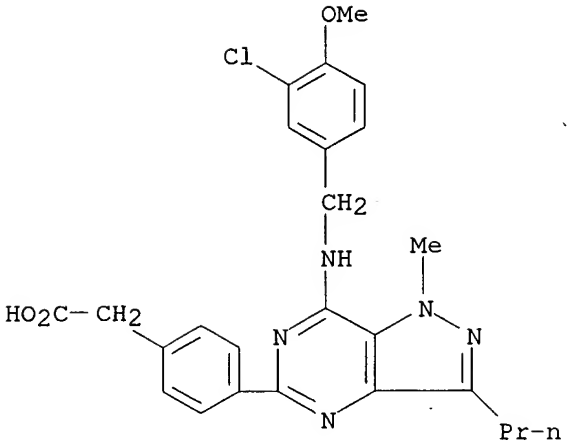
CRN 141-43-5
CMF C2 H7 N O



RN 428438-45-3 HCAPLUS
CN D-Glucitol, 1-amino-1-deoxy-, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]benzeneacetate (salt) (9CI) (CA INDEX NAME)

CM 1

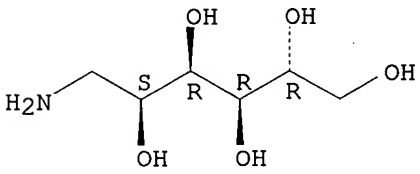
CRN 329746-41-0
CMF C25 H26 Cl N5 O3



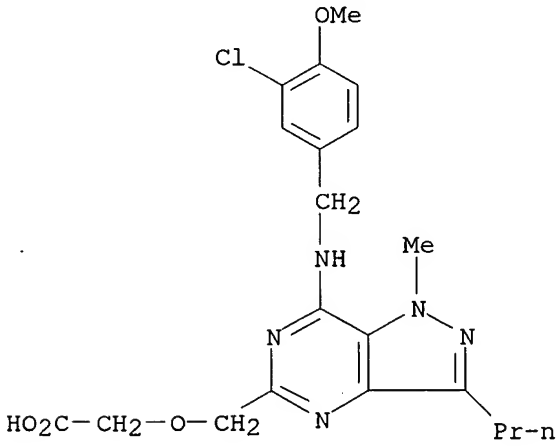
CM 2

CRN 488-43-7
CMF C6 H15 N O5

Absolute stereochemistry.



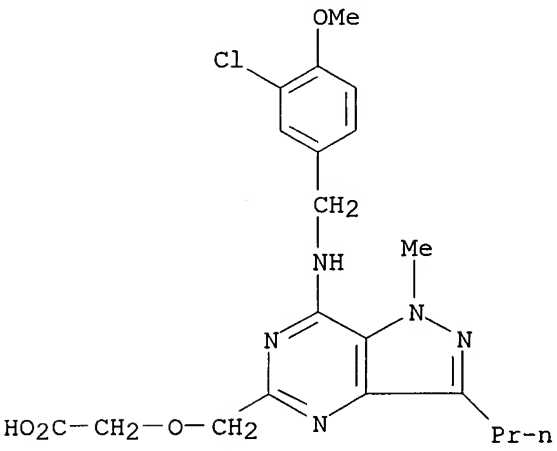
RN 428438-47-5 HCAPLUS
CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]- (9CI) (CA INDEX NAME)



RN 428438-48-6 HCAPLUS
CN Acetic acid, [[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methoxy]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

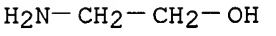
CM 1

CRN 428438-47-5
CMF C20 H24 Cl N5 O4



CM 2

CRN 141-43-5
CMF C2 H7 N O



L53 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:10479 HCAPLUS
DOCUMENT NUMBER: 136:85817
TITLE: Preparation of 5-aminoalkyl-1H-pyrazolo[4,3-d]pyrimidines as phosphodiesterase V inhibitors
INVENTOR(S): Jonas, Rochus; Schelling, Pierre; Christadler, Maria; Beier, Norbert
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000660	A1	20020103	WO 2001-EP7378	20010628

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 10031584	A1	20020110	DE 2000-10031584 20000629
AU 2001079700	A5	20020108	AU 2001-79700 20010628

PRIORITY APPLN. INFO.: DE 2000-10031584 A 20000629
WO 2001-EP7378 W 20010628

OTHER SOURCE(S): MARPAT 136:85817

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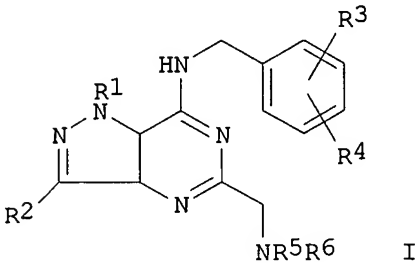


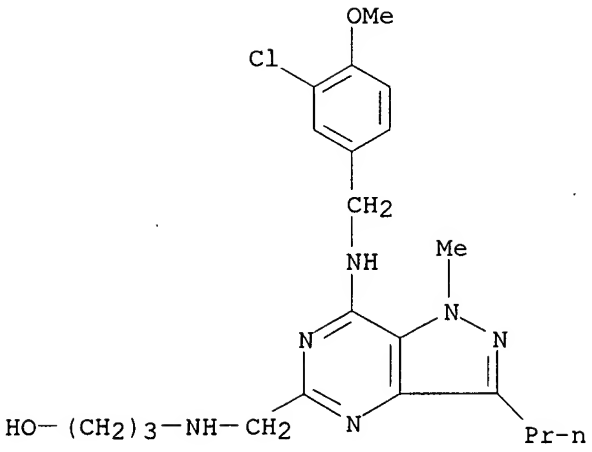
I

AB Title compds. [I; R1, R2 = H, alkyl; R3, R4 = H, OH, (branched) alkyl, alkoxy, halo; R3R4 = (O-interrupted) cyclyl; R5, R6 = H, (branched) (substituted) alkyl, cyclyl; R5R6 = (substituted) satd. heterocyclyl], were prepd. as phosphodiesterase V inhibitors (no data). Thus, 7-(3-chloro-4-methoxybenzylamino)-5-chloromethyl-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidine in DMF was stirred with 3-aminopropanol for 2 h at room temp. to give ca. 99% 7-(3-chloro-4-methoxybenzylamino)-5-(3-hydroxypropylaminomethyl)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidine.2HCl.

IT **385439-16-7P 385439-17-8P 385439-18-9P**
385439-19-0P 385439-20-3P 385439-21-4P
385439-22-5P 385439-23-6P 385439-24-7P
385439-25-8P 385439-26-9P 385439-27-0P
385439-28-1P 385439-29-2P 385439-30-5P
385439-31-6P 385439-32-7P 385439-33-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminoalkylpyrazolopyrimidines as phosphodiesterase V inhibitors)

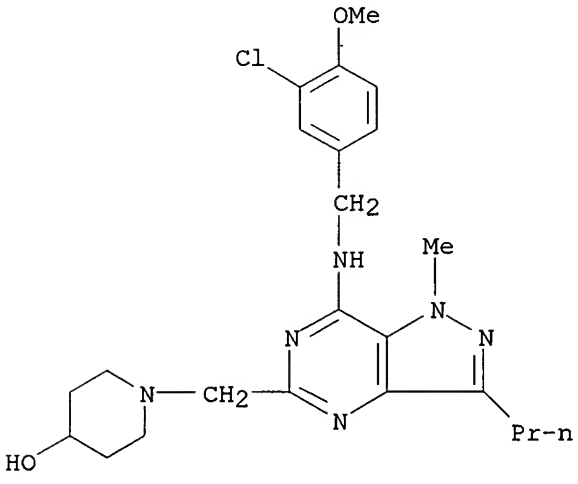
RN 385439-16-7 HCAPLUS

CN 1-Propanol, 3-[[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



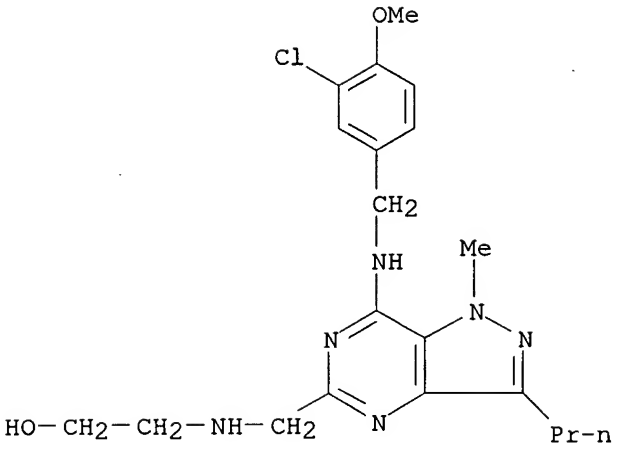
●2 HCl

RN 385439-17-8 HCAPLUS
CN 4-Piperidinol, 1-[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



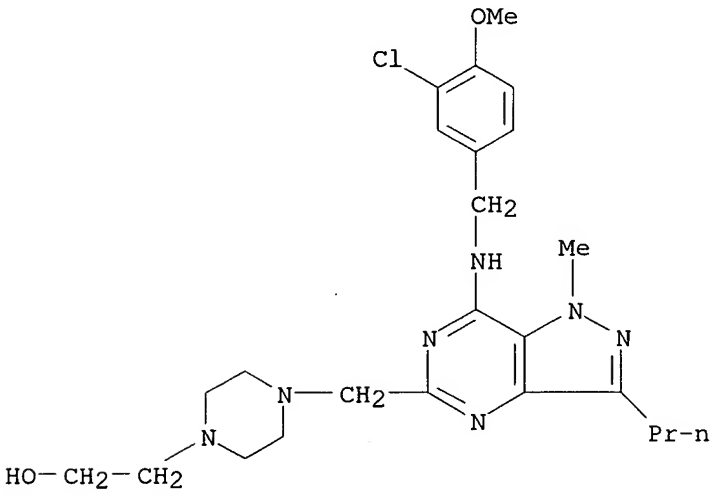
●2 HCl

RN 385439-18-9 HCAPLUS
CN Ethanol, 2-[[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



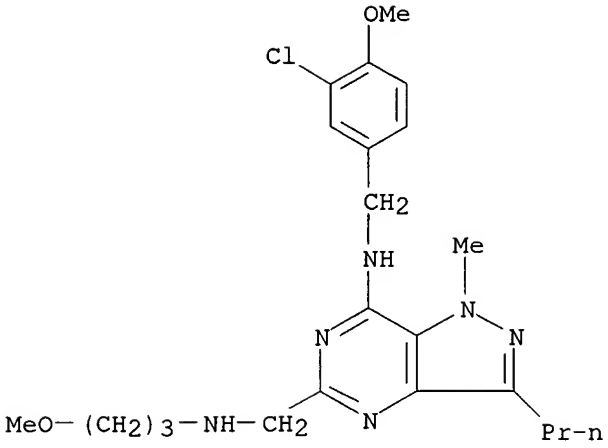
●2 HCl

RN 385439-19-0 HCAPLUS
CN 1-Piperazineethanol, 4-[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



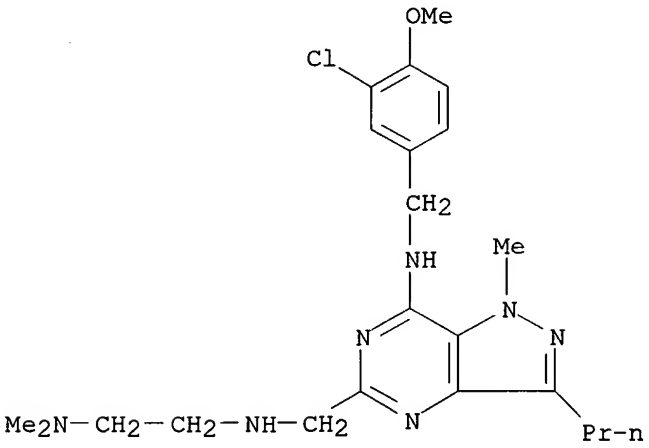
●3 HCl

RN 385439-20-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-methanamine, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(3-methoxypropyl)-1-methyl-3-propyl-, dihydrochloride (9CI) (CA INDEX NAME)



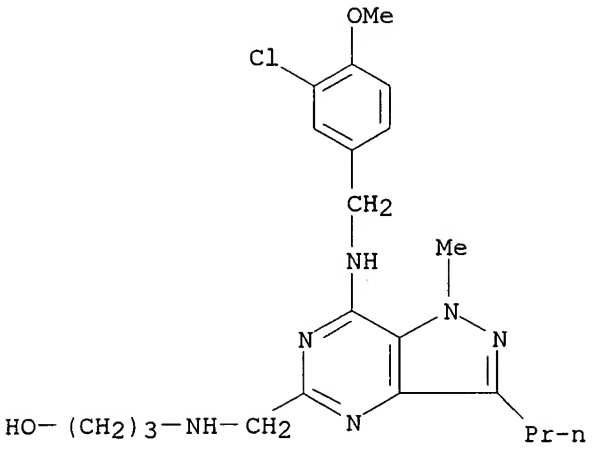
●2 HCl

RN 385439-21-4 HCAPLUS
CN 1,2-Ethanediamine, N'-[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]-N,N-dimethyl-, trihydrochloride (9CI) (CA INDEX NAME)

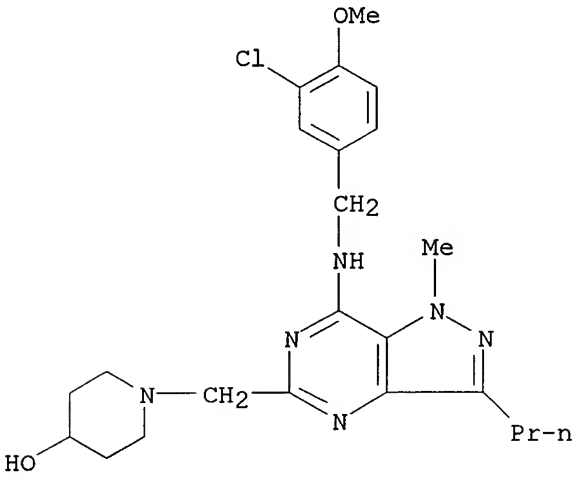


●3 HCl

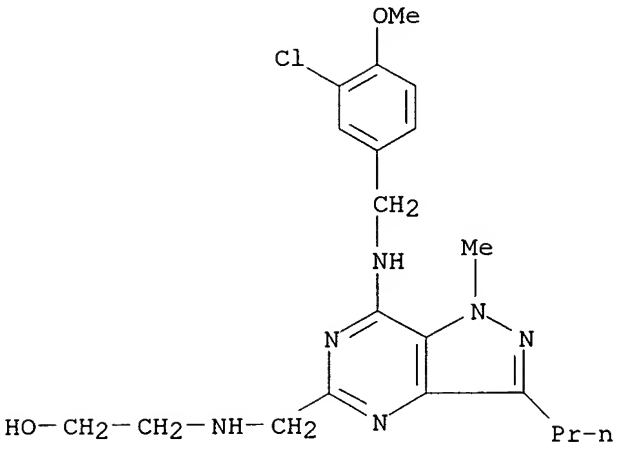
RN 385439-22-5 HCAPLUS
CN 1-Propanol, 3-[[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]amino]- (9CI) (CA INDEX NAME)



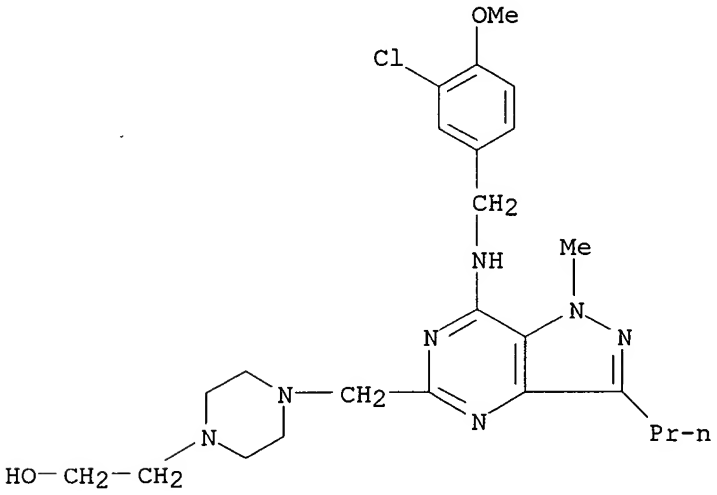
RN 385439-23-6 HCAPLUS
CN 4-Piperidinol, 1-[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]- (9CI) (CA INDEX NAME)



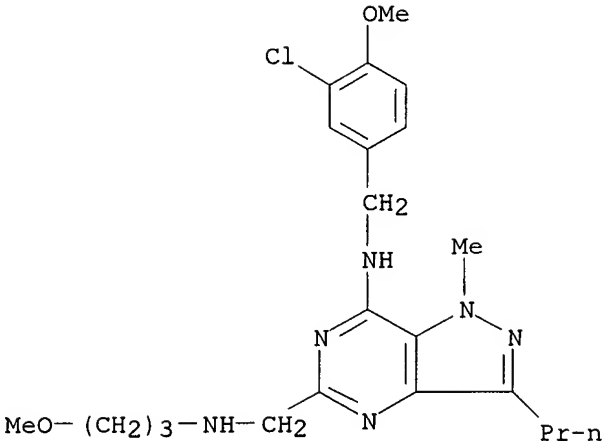
RN 385439-24-7 HCAPLUS
CN Ethanol, 2-[[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]amino]- (9CI) (CA INDEX NAME)



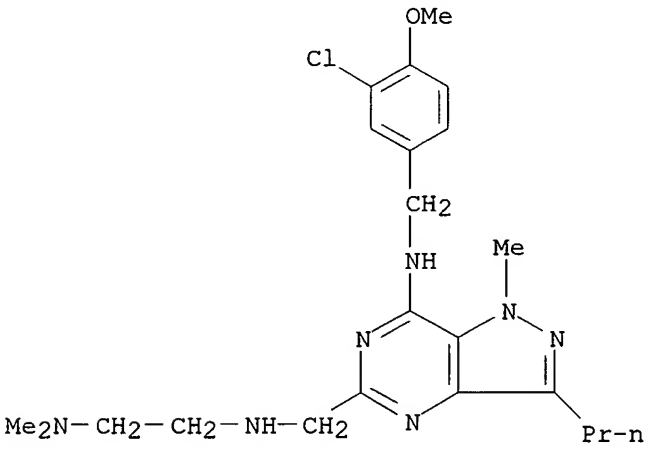
RN 385439-25-8 HCAPLUS
CN 1-Piperazineethanol, 4-[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]- (9CI) (CA INDEX NAME)



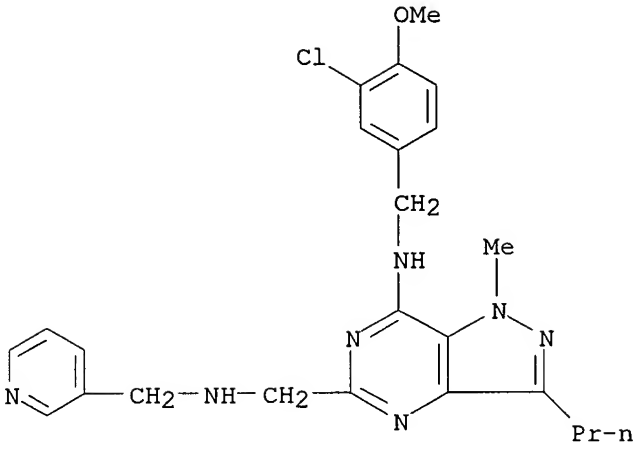
RN 385439-26-9 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-methanamine, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(3-methoxypropyl)-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



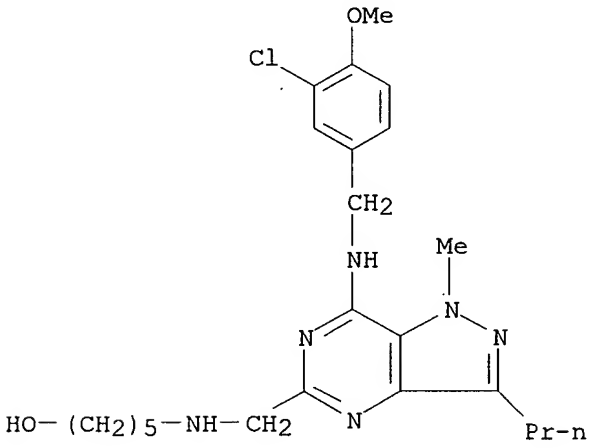
RN 385439-27-0 HCAPLUS
CN 1,2-Ethanediamine, N'-[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



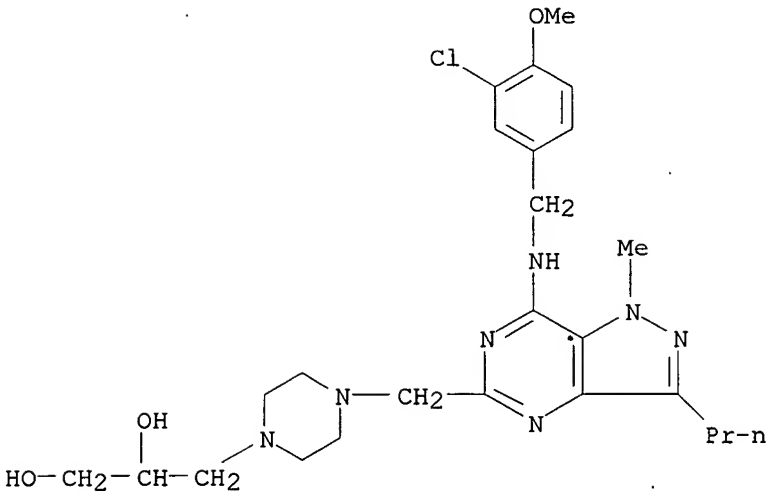
RN 385439-28-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-methanamine, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



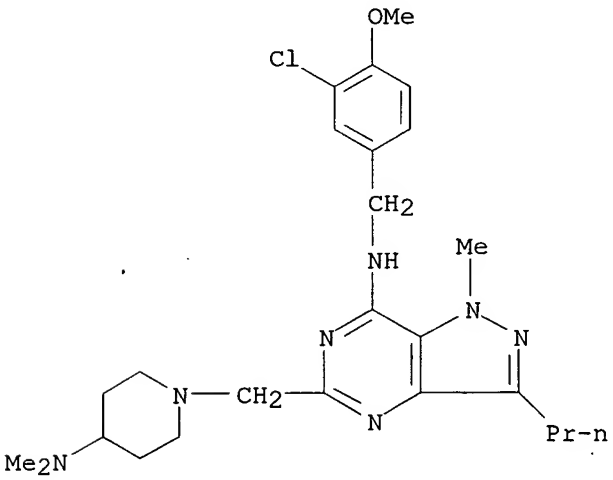
RN 385439-29-2 HCAPLUS
CN 1-Pentanol, 5-[[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]amino]- (9CI) (CA INDEX NAME)



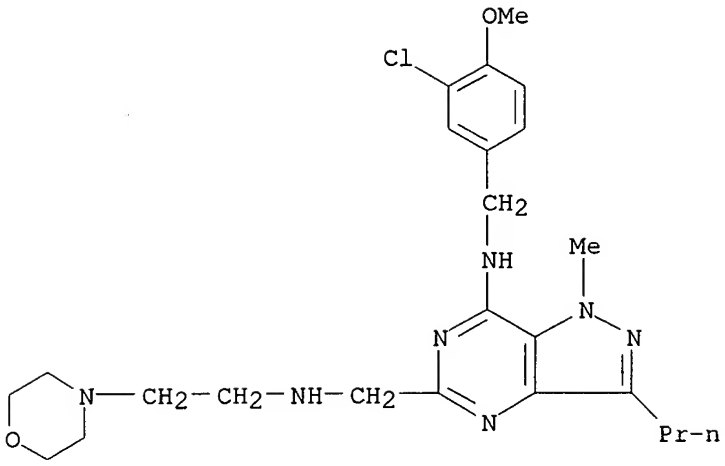
RN 385439-30-5 HCAPLUS
CN 1,2-Propanediol, 3-[4-[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 385439-31-6 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidin-7-amine, N-[(3-chloro-4-methoxyphenyl)methyl]-5-[[4-(dimethylamino)-1-piperidinyl]methyl]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)

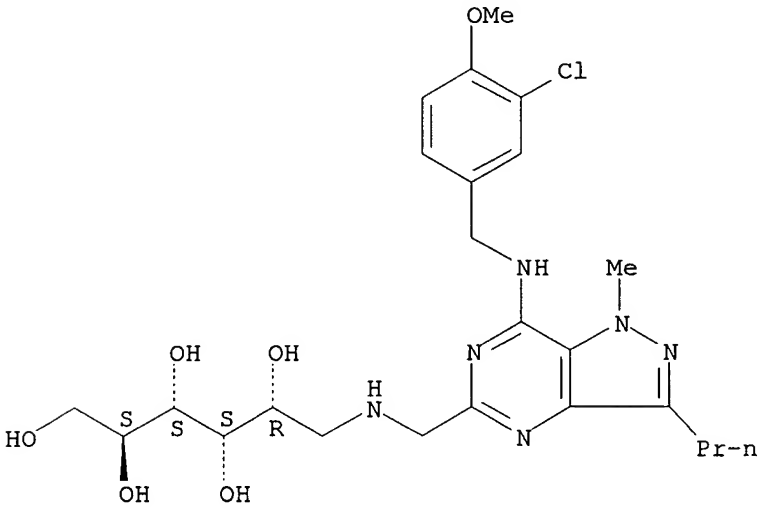


RN 385439-32-7 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-methanamine, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-N-[2-(4-morpholinyl)ethyl]-3-propyl- (9CI) (CA INDEX NAME)

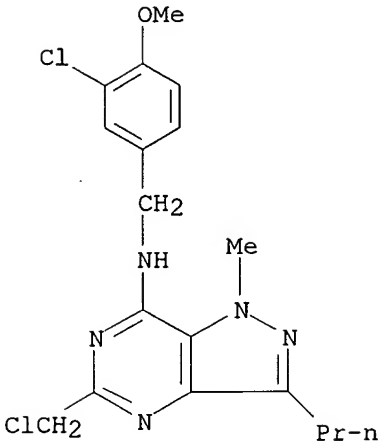


RN 385439-33-8 HCAPLUS
CN L-Glucitol, 1-[[[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]methyl]amino]-1-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **385439-15-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of aminoalkylpyrazolopyrimidines as phosphodiesterase V inhibitors)
RN 385439-15-6 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidin-7-amine, N-[(3-chloro-4-methoxyphenyl)methyl]-5-(chloromethyl)-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:185884 HCAPLUS
DOCUMENT NUMBER: 134:218320
TITLE: Control of vegetative propagation in transgenic plants with cytokinin biosynthesis inhibitors and analogs
INVENTOR(S): Van der Krieken, Wilhelmus Maria; Van Lookeren Campagne, Michiel Maria; Kodde, Jan
PATENT ASSIGNEE(S): Plant Research International B.V., Neth.
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001018170	A2	20010315	WO 2000-NL597	20000828
WO 2001018170	A3	20010920		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000073230	A5	20010410	AU 2000-73230	20000828
EP 1206559	A2	20020522	EP 2000-961249	20000828
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: NL 1999-1012917 A 19990826
WO 2000-NL597 W 20000828

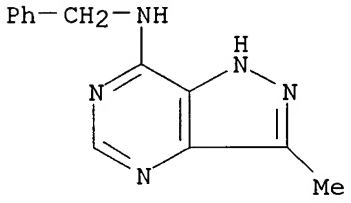
AB The invention relates to a method for enabling rooting or grafting of a plant which contains in its genome a gene which is placed under the

regulation of an inducible promoter and which codes for a rooting-inhibiting product or a product leading to rooting inhibition, comprising of treating the plant prior to prodn. of a cutting or graft or treating the cutting or graft after prodn. of the cutting or graft with a substance which either discontinues the effect of the rooting-inhibiting gene; and/or results in expression of a second gene likewise present in the plant which is under the regulation of an inducible promoter and the expression product of which discontinues the effect of the rooting-inhibiting gene. The invention further relates to a transgenic plant which is provided with a mechanism for rooting inhibition and a mechanism which discontinues rooting inhibition, and to a DNA construct for effecting the discontinuation of rooting inhibition.

IT **73376-45-1**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(control of vegetative propagation in transgenic plants with)

RN 73376-45-1 HCAPLUS

CN 1H-Pyrazolo[4,3-d]pyrimidin-7-amine, 3-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



This work

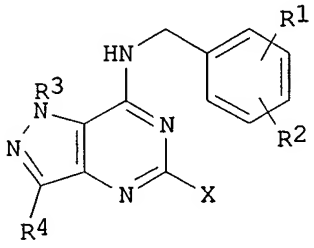
L53 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:179654 HCAPLUS
DOCUMENT NUMBER: 134:222724
TITLE: Preparation of pyrazolo[4,3-d]pyrimidines as phosphodiesterase V inhibitors.
INVENTOR(S): Jonas, Rochus; Eggenweiler, Hans-michael; Schelling, Pierre; Christadler, Maria; Beier, Norbert
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19942474	A1	20010315	DE 1999-19942474	19990906
WO 2001018004	A2	20010315	WO 2000-EP8257	20000824
WO 2001018004	A3	20010809		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
BR 2000013781 A 20020514 BR 2000-13781 20000824
EP 1210349 A2 20020605 EP 2000-960511 20000824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
NO 2002001083 A 20020305 NO 2002-1083 20020305
PRIORITY APPLN. INFO.: DE 1999-19942474 A 19990906
WO 2000-EP8257 W 20000824
OTHER SOURCE(S): MARPAT 134:222724

GI



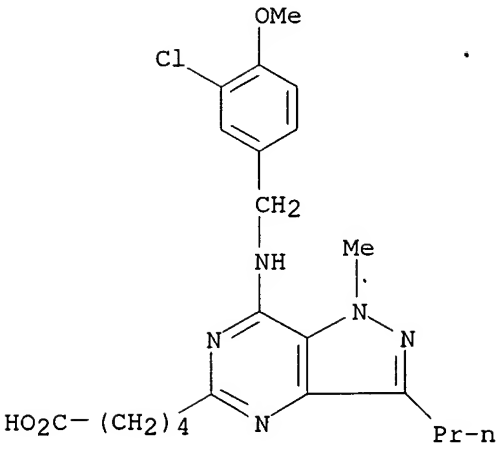
I

AB Title compds. (I; R1, R2 = H, A, OH, OA, halo; R1R2 = alkylene, OCH2CH2, CH2OCH2, OCH2O, OCH2CH2O; R3, R4 = H, A; X = R8-substituted R5, R6, R7; R5 = alkylene, alkenylene; R6 = cycloalkyl, cycloalkylalkylene; R7 = Ph, PhCH2; R8 = CO2H, CO2A, CONH2, CONHA, CONA2, cyano; A = alkyl), were prepd. for treatment of cardiovascular disease and impotence (no data). Thus, Me 3-[7-chloro-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propionate, 3-chloro-4-methoxybenzylamine, and K2CO3 were stirred 12 h in DMF at 60.degree. to give Me 3-[7-(3-chloro-4-methoxybenzylamino)-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]propionate.

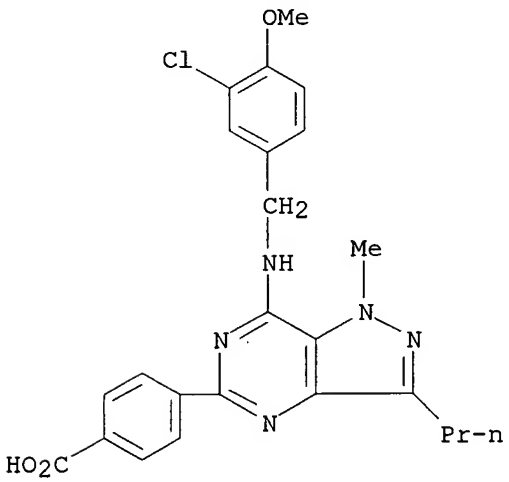
IT **329746-15-8P 329746-16-9P 329746-17-0P**
329746-18-1P 329746-19-2P 329746-20-5P
329746-21-6P 329746-23-8P 329746-25-0P
329746-26-1P 329746-27-2P 329746-28-3P
329746-29-4P 329746-30-7P 329746-31-8P
329746-32-9P 329746-33-0P 329746-34-1P
329746-35-2P 329746-36-3P 329746-37-4P
329746-38-5P 329746-40-9P 329746-41-0P
329746-42-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolopyrimidines as phosphodiesterase V inhibitors)

RN 329746-15-8 HCAPLUS

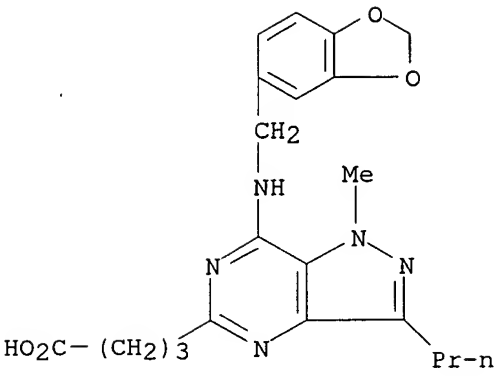
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



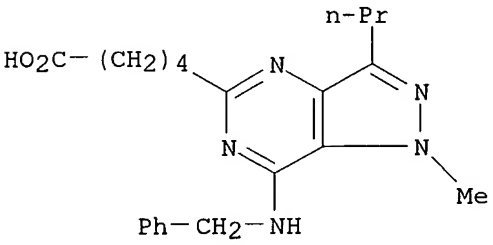
RN 329746-16-9 HCAPLUS
CN Benzoic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)



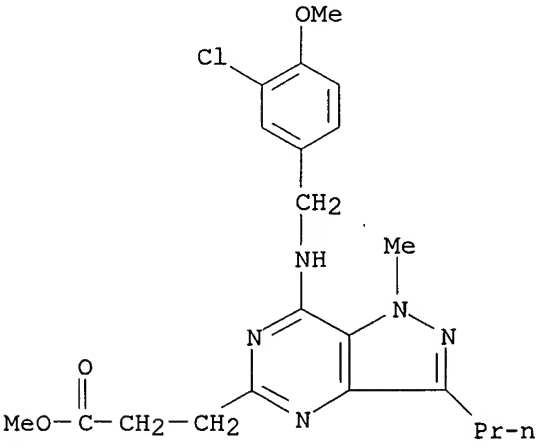
RN 329746-17-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-18-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 1-methyl-7-[(phenylmethyl)amino]-3-propyl- (9CI) (CA INDEX NAME)

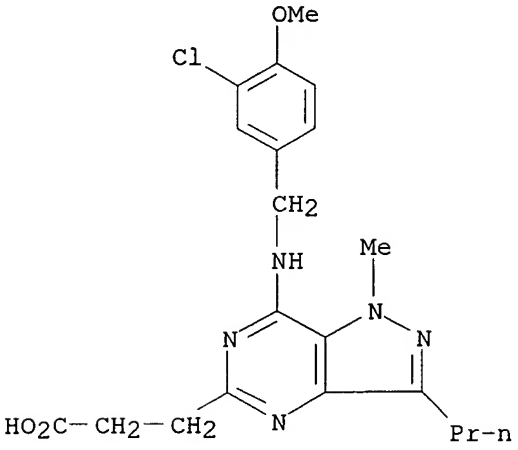


RN 329746-19-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-, methyl ester (9CI) (CA INDEX NAME)

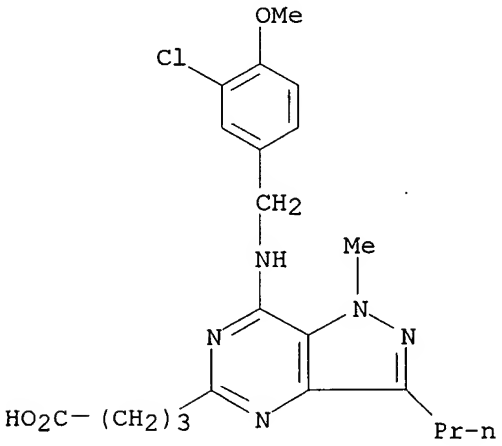


RN 329746-20-5 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-propanoic acid, 7-[[(3-chloro-4-

methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



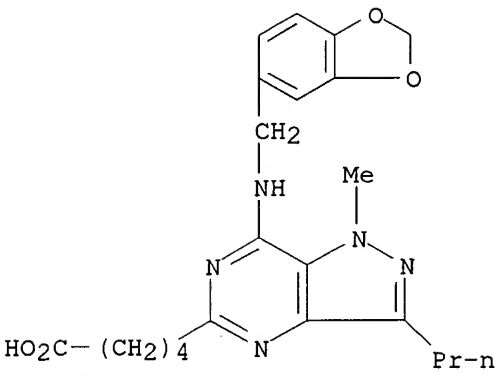
RN 329746-21-6 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-23-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-methyl-3-propyl-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 329746-22-7
CMF C22 H27 N5 O4



CM 2

CRN 141-43-5
CMF C2 H7 N O

$H_2N-CH_2-CH_2-OH$

RN 329746-25-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-(1-methylethyl)-, compd. with cyclohexanamine (1:1) (9CI) (CA INDEX NAME)

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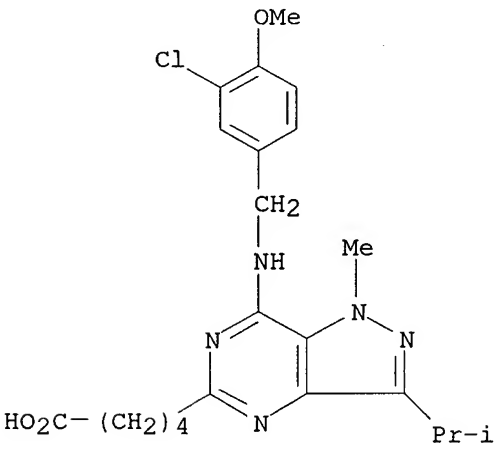
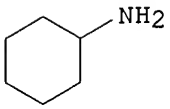
CRN 329746-24-9
CMF C22 H28 Cl N5 O3

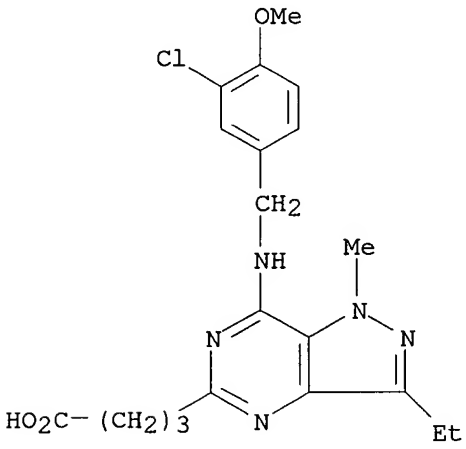


CM 2

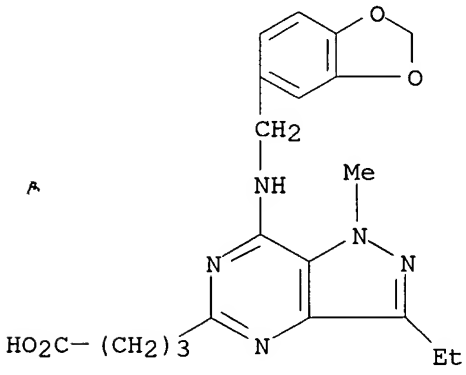
CRN 108-91-8
CMF C6 H13 N



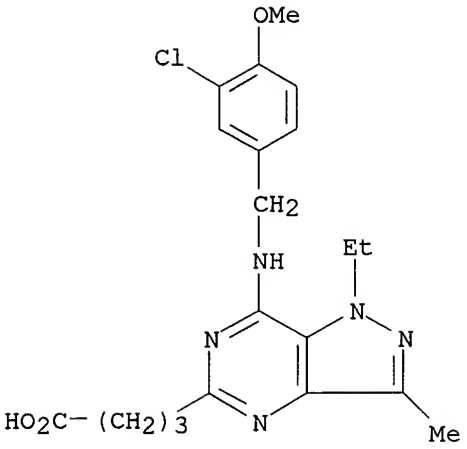
RN 329746-26-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



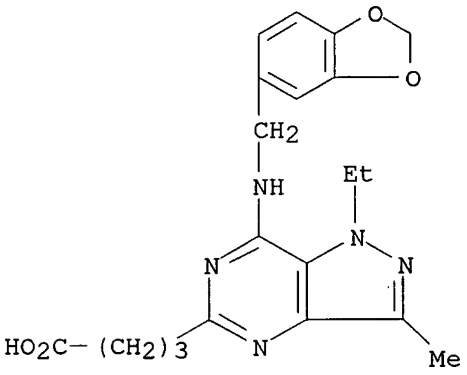
RN 329746-27-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-3-ethyl-1-methyl- (9CI) (CA INDEX NAME)



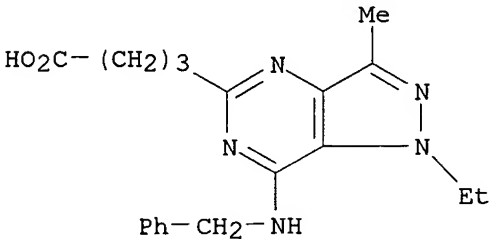
RN 329746-28-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



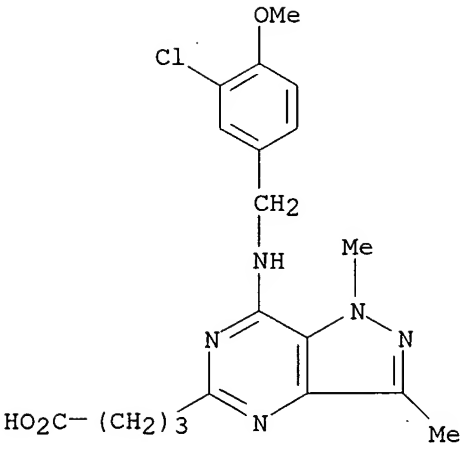
RN 329746-29-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1-ethyl-3-methyl- (9CI) (CA INDEX NAME)



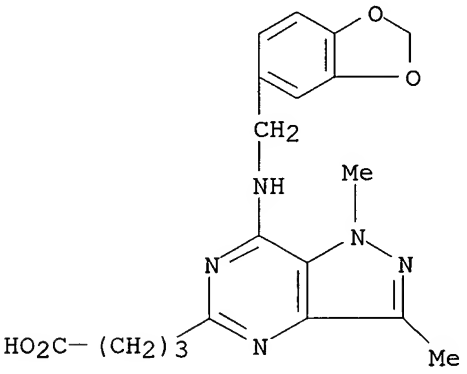
RN 329746-30-7 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 1-ethyl-3-methyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



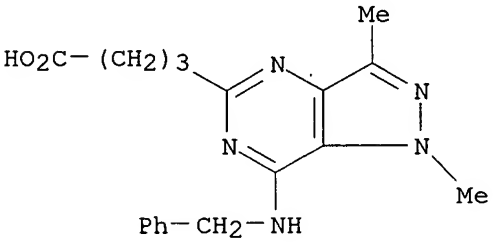
RN 329746-31-8 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



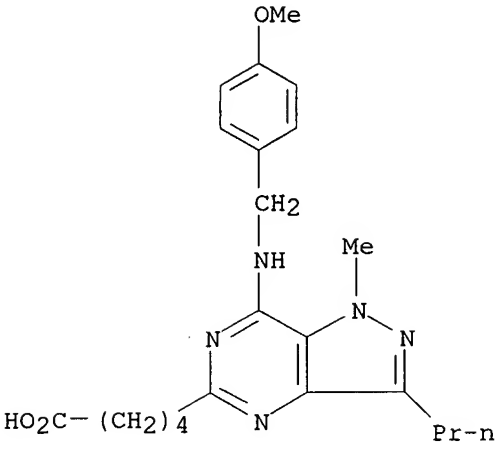
RN 329746-32-9 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[(1,3-benzodioxol-5-ylmethyl)amino]-1,3-dimethyl- (9CI) (CA INDEX NAME)



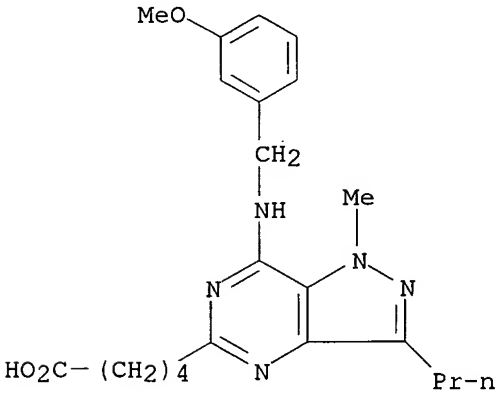
RN 329746-33-0 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 1,3-dimethyl-7-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



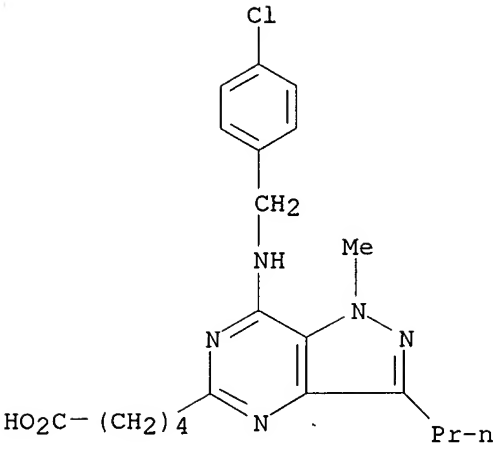
RN 329746-34-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



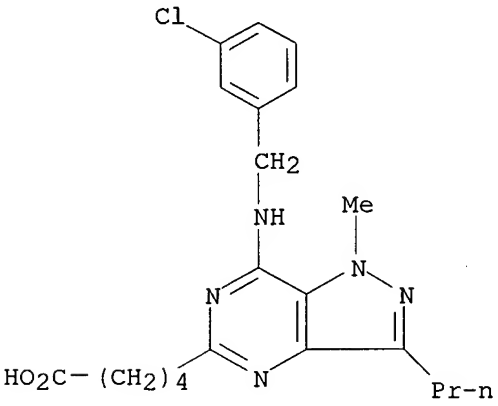
RN 329746-35-2 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-methoxyphenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



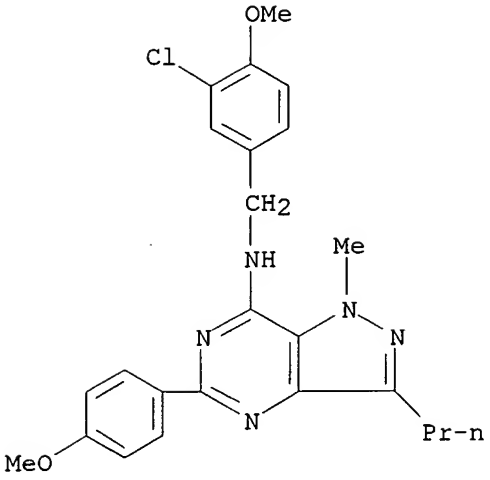
RN 329746-36-3 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(4-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-37-4 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-pentanoic acid, 7-[[(3-chlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



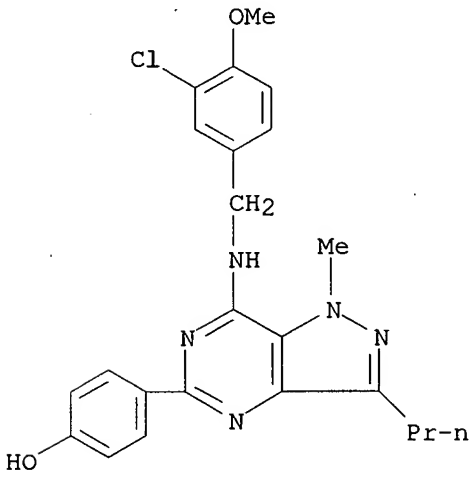
RN 329746-38-5 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidin-7-amine, N-[(3-chloro-4-methoxyphenyl)methyl]-5-(4-methoxyphenyl)-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



RN 329746-40-9 HCAPLUS
CN Phenol, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 329746-39-6
CMF C23 H24 Cl N5 O2

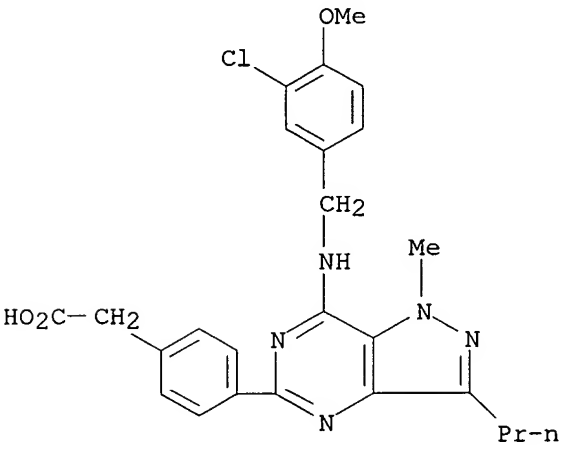


CM 2

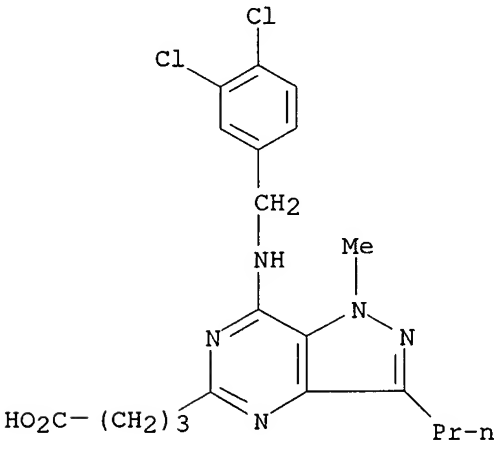
CRN 141-43-5
CMF C2 H7 N O

$H_2N-CH_2-CH_2-OH$

RN 329746-41-0 HCAPLUS
CN Benzeneacetic acid, 4-[7-[[(3-chloro-4-methoxyphenyl)methyl]amino]-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]- (9CI) (CA INDEX NAME)



RN 329746-42-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidine-5-butanoic acid, 7-[[(3,4-dichlorophenyl)methyl]amino]-1-methyl-3-propyl- (9CI) (CA INDEX NAME)



L53 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:513698 HCAPLUS
DOCUMENT NUMBER: 133:129894
TITLE: Substituted nitrogen heterocyclic derivatives and pharmaceutical use thereof
INVENTOR(S): Hanus, Jan; Krystof, Vladimir; Hajduch, Marian; Vesely, Jaroslav; Strnad, Miroslav

PATENT ASSIGNEE(S): Ustav Experimentalni Botaniky Av Cr, Czech Rep.; Lachema, A.S.
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043394	A1	20000727	WO 2000-CZ2	20000125
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1147108	A1	20011024	EP 2000-901478	20000125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

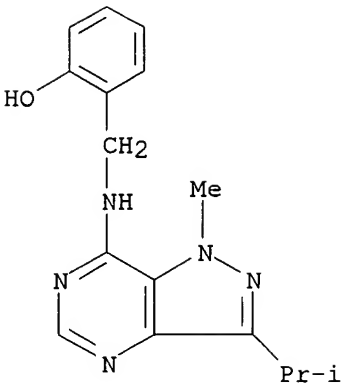
PRIORITY APPLN. INFO.: CZ 1999-273 A 19990126
WO 2000-CZ2 W 20000125

OTHER SOURCE(S): MARPAT 133:129894

AB Substituted nitrogen heterocyclic derivs. having cytostatic, anticancer, antimitotic, antineurogenerative, immunosuppressive and antimicrobial effects are provided. Also provided are methods for prepn. of these derivs., the use of the compds. as drugs, pharmaceutical compns. and combined pharmaceutical applications,, and the use of these derivs. for drug prodn. Compds. of the invention include e.g. 9-isopropylpurine derivs.

IT **286406-81-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted nitrogen heterocyclic derivs., prepn., pharmaceutical compns., and therapeutic, diagnostic, and other uses)

RN 286406-81-3 HCAPLUS
CN Phenol, 2-[[[1-methyl-3-(1-methylethyl)-1H-pyrazolo[4,3-d]pyrimidin-7-yl]amino]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

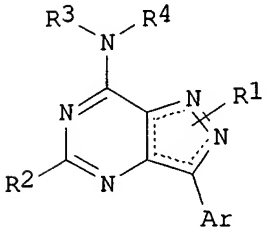
L53 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:157451 HCAPLUS
DOCUMENT NUMBER: 128:204897
TITLE: Preparation of 3-aryl-substituted pyrazolo[4,3-d]pyrimidines as corticotropin-releasing factor receptor (CRF1) specific ligands
INVENTOR(S): Yuan, Jun
PATENT ASSIGNEE(S): Neurogen Corp., USA
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5723608	A	19980303	US 1996-775404	19961231
WO 9829413	A1	19980709	WO 1997-US24172	19971223
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9858110	A1	19980731	AU 1998-58110	19971223
AU 738324	B2	20010913		
EP 960110	A1	19991201	EP 1997-954301	19971223
EP 960110	B1	20020320		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9713808	A	20000125	BR 1997-13808	19971223
CN 1246860	A	20000308	CN 1997-181857	19971223
JP 2001507705	T2	20010612	JP 1998-530312	19971223
AT 214701	E	20020415	AT 1997-954301	19971223
ES 2172830	T3	20021001	ES 1997-954301	19971223

NO 9903240	A	19990827	NO 1999-3240		19990629
US 6211187	B1	20010403	US 1999-341024		19991022
US 2002058668	A1	20020516	US 2001-816692		20010323
PRIORITY APPLN. INFO.:			US 1996-775404	A1	19961231
			WO 1997-US24172	W	19971223
			US 1999-341024	A1	19991022

OTHER SOURCE(S): MARPAT 128:204897

GI



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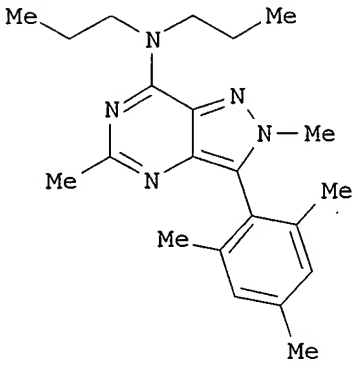


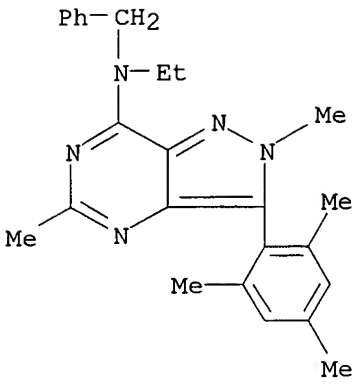
II

AB The title compds. [I; Ar = mono-, di- or trisubstituted aryl group where at least one position on Ar ortho to the point of attachment to the pyrazole ring is substituted; R1 = lower alkyl; R2 = H, lower alkyl; R3, R4 = H, lower alkyl, alkenyl, etc.], highly selective partial agonists or antagonists at human CRF1 receptors and useful in the diagnosis and treatment of stress related disorders such as post-traumatic stress disorder (PTSD) as well as depression, headache and anxiety, were prepd. Thus, a 7-step synthesis of the title compd. II which showed IC50 of 1.4 nM against CRF receptor binding, is described.

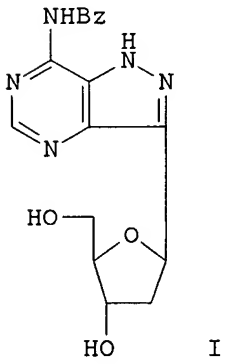
IT **203870-49-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-aryl-substituted pyrazolo[4,3-d]pyrimidines as corticotropin-releasing factor receptor (CRF1) specific ligands)

RN 203870-49-9 HCAPLUS

CN 2H-Pyrazolo[4,3-d]pyrimidin-7-amine, N-ethyl-2,5-dimethyl-N-(phenylmethyl)-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



L53 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:558087 HCAPLUS
DOCUMENT NUMBER: 121:158087
TITLE: Synthesis of triple helix forming oligonucleotides containing 2'-deoxyformycin A
AUTHOR(S): Rao, T. Sudhakar; Hogan, Michael E.; Revankar, Ganapathi R.
CORPORATE SOURCE: Triplex Pharm. Corp., Woodlands, TX, 77380, USA
SOURCE: Nucleosides & Nucleotides (1994), 13(1-3), 95-107
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

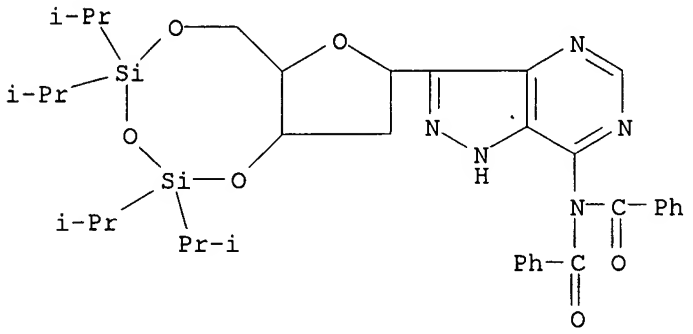


I

AB 2'-Deoxyformycin A I was prepd. from formycin A and incorporated into the triple helix forming oligonucleotide at CG inversion sites. The modified oligonucleotide contg. three substitutions of 2'-deoxyformycin A displayed a 10-fold increase in binding affinity as compared to its unmodified counterpart. This provided a method to accommodate CG inversion sites within target sites for antiparallel triple helix formation.

IT **149099-35-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of 2'-deoxyformycin A-contg. DNA

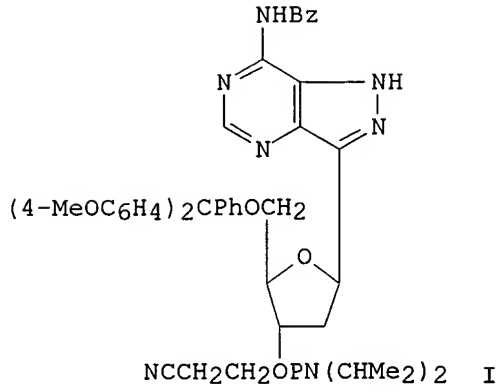
triplexes)
RN 149099-35-4 HCAPLUS
CN Benzamide, N-benzoyl-N-[3-[2-deoxy-3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-.beta.-D-erythro-pentofuranosyl]-1H-pyrazolo[4,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



L53 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:603763 HCAPLUS
DOCUMENT NUMBER: 119:203763
TITLE: Purine base modified 2'-deoxyribonucleosides, use in triplex-forming oligonucleotides and process for preparing the same
INVENTOR(S): Revankar, Ganapathi Ramakrishna; Hogan, Michael Edward; Rao, Takkellapati Sudhakar; Shroff, Hitesh Navinchandra
PATENT ASSIGNEE(S): Triplex Pharmaceutical Corp., USA; Baylor College of Medicine
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9221690	A1	19921210	WO 1992-US4795	19920604
W: CA, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2088787	AA	19921206	CA 1992-2088787	19920604
EP 543004	A1	19930526	EP 1992-914426	19920604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06500797	T2	19940127	JP 1993-500688	19920604
NO 9300398	A	19930401	NO 1993-398	19930204
PRIORITY APPLN. INFO.:			US 1991-712151	19910605
			WO 1992-US4795	19920604

OTHER SOURCE(S): MARPAT 119:203763
GI



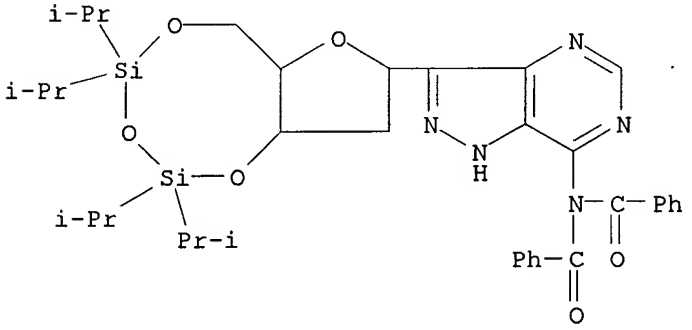
I

AB Title compds., esp. the deoxyformycin deriv. I, were prepd. for incorporation into triplex-forming oligonucleotides. Thus, I was prepd. from formycin and was incorporated into an HIV-1 mRNA fragment to give the sequence 5'-TGGGTGGFGTGGFFTGGGFGGGTFTGGGGTGTGGFGTG-3' (F = deoxyformycin nucleotide). This sequence is useful in treating HIV-1 infection (no data).

IT **149099-35-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and desilylation of)

RN 149099-35-4 HCAPLUS

CN Benzamide, N-benzoyl-N-[3-[2-deoxy-3,5-O-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]-.beta.-D-erythro-pentofuranosyl]-1H-pyrazolo[4,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



L53 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1989:192852 HCAPLUS

DOCUMENT NUMBER: 110:192852

TITLE: Preparation of pyrazolopyrimidines as hypolipemics

INVENTOR(S): Fujikawa, Yoshihiro; Suzuki, Mikio; Sakashita, Mitsuaki; Tsuruzoe, Nobutomo; Wakamatsu, Masazumi; Miyasaka, Sada

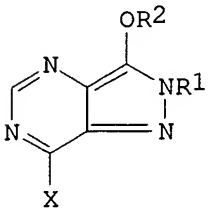
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63246377	A2	19881013	JP 1987-81578	19870402

OTHER SOURCE(S): MARPAT 110:192852
GI



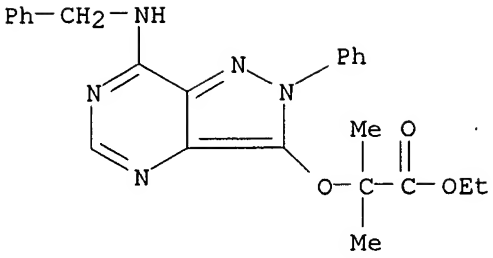
I

AB The title compds. [I; R1 = C1-4 alkyl, lower alkoxy, (halo)phenyl; R2 = straight- or branched-chain, (un)satd. C2-20 aliph., ACO2R3, phenylalkyl optionally substituted by alkyl, alkoxy, halo; A = (C1-3 alkyl-substtituted)-C1-3 alkylene; R3 = C1-4 alkyl; X = cyano, Cl, Br, iodo, OR4, SH, NR5R6, HCR8R9; R4 = straight- or branched-chain, (un)satd. C1-20 aliph., (alkyl-, alkoxy-, or halo-substituted) Ph, ACO2R3, (alkyl-substituted)pyridinylmethyl; R5, R6 = H, alkyl, (alkyl- or alkoxy-substituted) Ph, BCO2R7; B = substituted (CH2)1-3; R7 = H, alkyl; R8, R9 = cyano, C1-4 alkoxycarbonyl] (II) were prepd. as hypolipemic agents. To a stirred suspension of 0.33 g NaH in DMF was added 1.05 g H2NCH2CO2Et.HCl, followed by a soln. of 0.61 g 7-chloro-3-(hexadecyloxy)-2-methylpyrazolo[4.3-d]pyrimidine in DMF, and the mixt. was stirred .apprx.1 wk at room temp. to give 78.6% I (R1 = Me, R2 = hexadecyl, X = NHCH2CO2Et) (III). At 300 mg/kg orally III reduced serum cholesterol and triglycerides 51% and 25%, resp., in rats which had been administered once 2.5 mL of a soln. of cholesterol 22.5, sodium cholate 10, sucrose 90, and olive oil 150 g, adjusting the total vol. to 300 mL with H2O, and also given a normal diet during the 3 day course of the expt. Tablets of 250 mg were prepd. from III 500, potato starch 334, CM-cellulose 87.5, polyvinylalc. 61, and Mg stearate 17.5 g.

IT **120339-85-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as anticholesteremic and hypolipemic)

RN 120339-85-7 HCAPLUS

CN Propanoic acid, 2-methyl-2-[[2-phenyl-7-[(phenylmethyl)amino]-2H-pyrazolo[4,3-d]pyrimidin-3-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

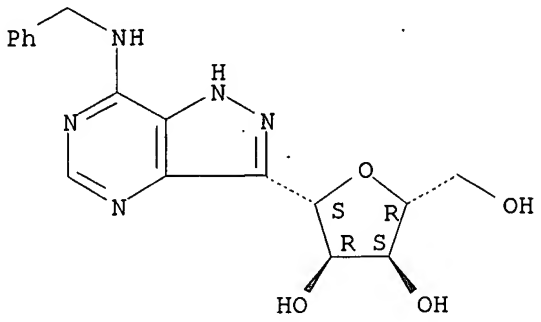


L53 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1986:166020 HCAPLUS
DOCUMENT NUMBER: 104:166020
TITLE: Novel mutants of CHO cells resistant to adenosine analogs and containing biochemically altered form of adenosine kinase in cell extracts
AUTHOR(S): Mehta, Kamal D.; Gupta, Radhey S.
CORPORATE SOURCE: Dep. Biochem., McMaster Univ., Hamilton, ON, L8N 3Z5, Can.
SOURCE: Somatic Cell and Molecular Genetics (1986), 12(1), 21-31
CODEN: SCMGDN; ISSN: 0740-7750
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Stable mutants which are .apprx.5- and 8-fold resistant to an inosine analog, formycin B (Fomr), were selected in a single-step from CHO cells at a frequency of .apprx.106. Cross-resistance studies with these mutants show that the Fomr mutants exhibit increased resistance to all adenosine analogs (N- and C-nucleosides) examd. and, in accordance with their cross-resistance pattern, the mutants exhibited decreased cellular uptake and phosphorylation of formycin B and various adenosine analogs. In cell hybrids formed with sensitive cells, the drug-resistant phenotype of these mutants behaved recessively. However, unlike mutants resistant to adenosine analogs that were obtained previously, which contain no measurable activity of adenosine kinase (AK) in cell exts., the 2 Fomr mutants studied contained .apprx.60 and 110% of the enzyme activity (compared to the parental cells) in their cell exts. Biochem. studies with AK from the mutant cell show that in comparison to the wild-type enzyme, the mutant enzymes required much higher concns. of the adenosine analog N7-(.DELTA.2-isopentenyl)formycin A for similar inhibitions of [3H]adenosine phosphorylation. Apparently, AK from the Fomr mutants has lower affinity for phosphorylation of adenosine analogs in comparison to the enzyme from the parental cells. The genetic lesion in the Fomr mutants may thus be directly affecting the structural gene for AK.

IT **42519-61-9**
RL: BIOL (Biological study)
(CHO cells resistant to, properties of, altered form of adenosine kinase in relation to)
RN 42519-61-9 HCAPLUS
CN D-Ribitol, 1,4-anhydro-1-C-[7-[(phenylmethyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]-, (1S)- (9CI) (CA INDEX NAME)

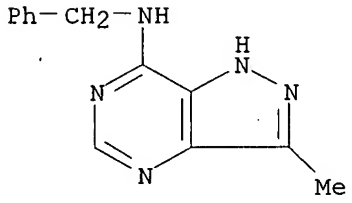
Absolute stereochemistry.



L53 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:122923 HCAPLUS
DOCUMENT NUMBER: 98:122923
TITLE: Biological effects of cytokinin analogs 7-(pentylamino) and 7-(benzylamino)-3-methylpyrazolo(4,3-d)pyrimidines on suspension cultured tobacco cells (Wisconsin 38)
AUTHOR(S): Gregorini, Giovanna; Laloue, Michel
CORPORATE SOURCE: Ist. Ortic. Floric., Univ. Pisa, Pisa, Italy
SOURCE: Quaderni de La Ricerca Scientifica (1982), 110(Biol. Riprod.), 329-32
CODEN: QRSCAJ; ISSN: 0556-9664
DOCUMENT TYPE: Journal
LANGUAGE: Italian

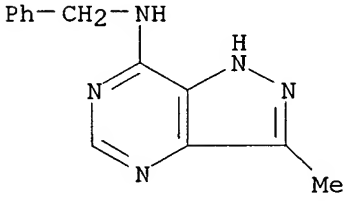
AB 7-Pentylamino- and 7-benzylamino-3-methylpyrazolo[4,3-d]pyrimidine inhibited the growth of both cytokinin-requiring and nonrequiring tobacco pith cell suspension cultures. BA antagonized the inhibition. Total mortality occurred on the 4th day of culture in the presence of 10-7 and 10-6M pentylamino deriv. Growth inhibition was related to cell death and dependent on cell division.

IT **73376-45-1**
RL: BIOL (Biological study)
(cell suspension culture growth inhibition by, of tobacco)
RN 73376-45-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidin-7-amine, 3-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L53 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:160645 HCAPLUS
DOCUMENT NUMBER: 92:160645
TITLE: Biological effects of cytokinin antagonists 7-(pentylamino) and 7-(benzylamino)-3-

methylpyrazolo(4,3-d)pyrimidines on suspension-cultured tobacco cells
AUTHOR(S): Gregorini, Giovanna; Laloue, Michel
CORPORATE SOURCE: Lab. G.P.D.P./P.C., Cent. Natl. Rech. Sci., Gif-sur-Yvette, 91190, Fr.
SOURCE: Plant Physiol. (1980), 65(2), 363-7
CODEN: PLPHAY; ISSN: 0032-0889
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The biol. effects of 2 structural analogs of cytokinins, 3-methyl-7-(pentylamino)pyrazolo(4,3-d)pyrimidine and 3-methyl-7-(benzylamino)pyrazolo(4,3-d)pyrimidine, on tobacco cell suspension cultures were studied. These 2 cytokinin analogs were highly inhibitory to cytokinin-autonomous and cytokinin-requiring tobacco cells. The growth inhibitory effect was markedly antagonized by BA, but not by adenine. Cell suspensions of tobacco cells of a cytokinin-autonomous strain become cytokinin-dependent in the presence of 0.1 .mu.M 3-methyl-7-(benzylamino)pyrazolo(4,3-d)pyrimidine. The growth inhibition of cell suspension cultures was accompanied by cell death and only dividing cells were sensitive to this cytotoxic effect.
IT **73376-45-1**
RL: BIOL (Biological study)
(suspension-culture tobacco cells response to)
RN 73376-45-1 HCAPLUS
CN 1H-Pyrazolo[4,3-d]pyrimidin-7-amine, 3-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L53 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1978:444084 HCAPLUS
DOCUMENT NUMBER: 89:44084
TITLE: Cyclic phosphates of formycin
AUTHOR(S): Makabe, Osamu; Miyadera, Akihiko; Kinoshita, Mitsuhiro; Umezawa, Sumio; Takeuchi, Tomio
CORPORATE SOURCE: Fac. Eng., Keio Univ., Yokohama, Japan
SOURCE: J. Antibiot. (1978), 31(5), 456-67
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 2',3'-Cyclic and 3',5'-cyclic phosphates of formycin and of N2-methyl- and N2-isopropylformycin were prepd. Thus, formycin was phosphorylated with Cl3CP(O)Cl2 in (EtO)3PO and the resultant formycin 5'-[(trichloromethyl)phosphonate] was hydrolyzed with Me3COK to give formycin 3,5'-cyclic phosphate. Methylation and ispropylation of formycin gave mixts. of N1-alkyl and N2-alkylformycins, which were sepd. and the latter were converted to the cyclic phosphates. Cyclic phosphorylation or N1- or N2-substitution with a bulky alkyl group made formycin resistant to deamination by adenosine deaminase. The cyclic phosphates were not

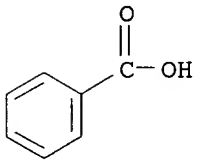
effective as antitumor agents against L-1210 at 250 .mu.g/mouse/day.

IT **67184-77-4P 67187-22-8P 67187-26-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deisopropylidination of)

RN 67184-77-4 HCAPLUS
CN Benzamide, N-benzoyl-N-[1(or 2)-benzoyl-3-[5-O-benzoyl-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-1H(or 2H)-pyrazolo[4,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

CM 1

CRN 65-85-0
CMF C7 H6 O2



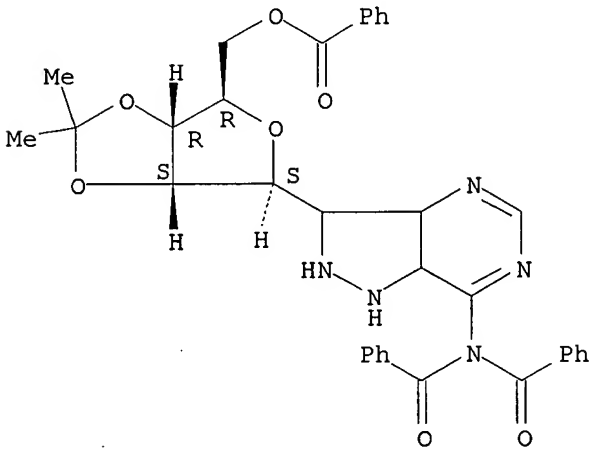
CM 2

CRN 67184-76-3
CMF C34 H29 N5 O7
CCI IDS

CM 3

CRN 67184-75-2
CMF C34 H33 N5 O7

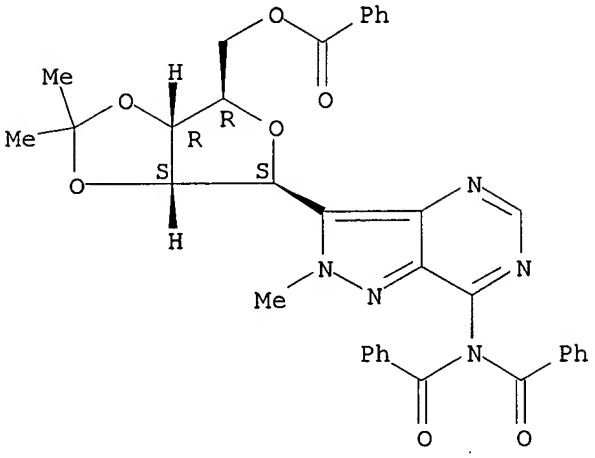
Absolute stereochemistry.



RN 67187-22-8 HCAPLUS
CN Benzamide, N-benzoyl-N-[3-[5-O-benzoyl-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-2-methyl-2H-pyrazolo[4,3-d]pyrimidin-7-yl]- (9CI) (CA

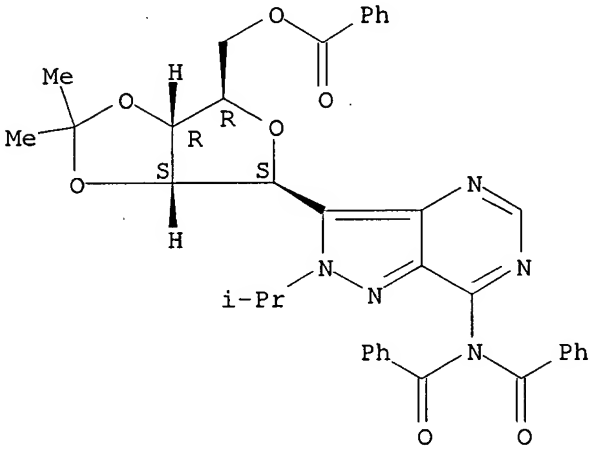
INDEX NAME)

Absolute stereochemistry.



RN 67187-26-2 HCAPLUS
CN Benzamide, N-benzoyl-N-[3-[5-O-benzoyl-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-2-(1-methylethyl)-2H-pyrazolo[4,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

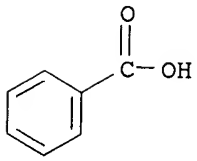


IT **67184-74-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and phosphorylation of)
RN 67184-74-1 HCAPLUS
CN Benzamide, N-benzoyl-N-[1(or 2)-benzoyl-3-(5-O-benzoyl-.beta.-D-ribofuranosyl)-1H(or 2H)-pyrazolo[4,3-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

CM 1

CRN 65-85-0

CMF C7 H6 O2



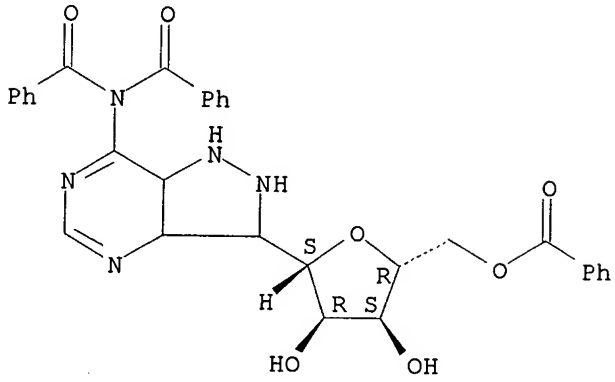
CM 2

CRN 67184-73-0
CMF C31 H25 N5 O7
CCI IDS

CM 3

CRN 67184-72-9
CMF C31 H29 N5 O7

Absolute stereochemistry.



L53 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1973:432227 HCAPLUS
DOCUMENT NUMBER: 79:32227
TITLE: Nucleic acid related compounds. 6. Sugar-modified N6-(3-methyl-2-butenyl)adenosine derivatives, N6-benzyl analogs, and cytokinin-related nucleosides containing sulfur or formycin
AUTHOR(S): Robins, Morris J.; Trip, E. M.
CORPORATE SOURCE: Dep. Chem., Univ. Alberta, Edmonton, Alberta, Can.
SOURCE: Biochemistry (1973), 12(12), 2179-87
CODEN: BICHAW
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Nucleoside analogs of N6-(3-methyl-2-butenyl)-adenosine (iPA) (I) and their corresponding biologically analogous benzyl derivs. were prepd. Nonnucleophilic acid acceptors were found to increase the yield of N1-alkylation of adenine nucleosides by 3-methyl-2-butenyl bromide.

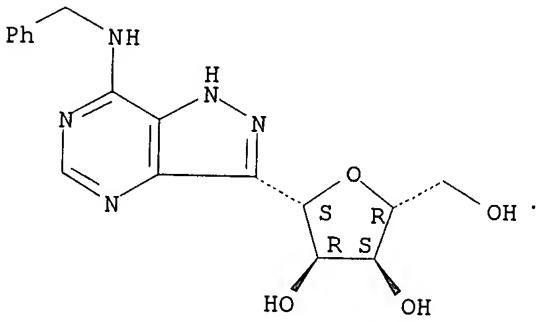
N6-(3-Methyl-2-butenyl) and N6-benzyl derivs. of adenosine, 2'-deoxyadenosine, arabinosyladenine, 2'-O-methyladenosine, 3'-O-methyladenosine, and the corresponding N7-substituted formycins were prepd. In addn., N6-benzyl-3'-deoxyadenosine and the S6-(3-methyl-2-butenyl)-substituted 6-mercapto-9-N-.beta.-D-ribofuranosylpurine and 6-thioguanosine were prepd. Biochem. rationale for the analogs of the tRNA minor component I with respect to its plant cytokinin and mammalian inhibitory activities and preliminary biological results are discussed. Mass spectral fragmentation patterns of these nucleosides are tabulated and discussed.

IT **42519-46-0P 42519-61-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 42519-46-0 HCAPLUS

CN D-Ribitol, 1,4-anhydro-1-C-[7-[(phenylmethyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 42519-61-9 HCAPLUS

CN D-Ribitol, 1,4-anhydro-1-C-[7-[(phenylmethyl)amino]-1H-pyrazolo[4,3-d]pyrimidin-3-yl]-, (1S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.